DESCRIPTION

PYRIMIDINE DERIVATIVES AND HERBICIDES CONTAINING THEM

TECHNICAL FIELD

The present invention relates to novel pyrimidine derivatives and herbicides containing them as active ingredients.

BACKGROUND ART

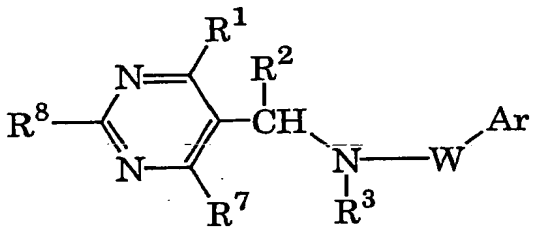
Pyrimidine derivatives are known, for example, by the specification of international application WO95/12582, the specification of international application WO96/22980 and the specification of international application WO97/12877. However, the pyrimidine derivatives of the present invention have not been known.

A herbicide to be used for crop plants is desired to be a chemical which exhibits a sufficient herbicidal effect at a low dose and yet provides selectivity between crop plants and weeds, when applied to an upland field or to a paddy field. Accordingly, it is an object of the present invention to provide a compound which has an excellent herbicidal activity and selectivity between crop plants and weeds.

DISCLOSURE OF THE INVENTION

Under these circumstances, the present inventors have synthesized various substituted pyrimidine derivatives and have studied their physiological activities. As a result, it has been found that novel

substituted pyrimidine derivatives as the compounds of the present invention have excellent herbicidal activities and selectivity between crop plants and weeds, and the present invention has been accomplished. Namely, the present invention provides a pyrimidine derivative represented by the formula (I)

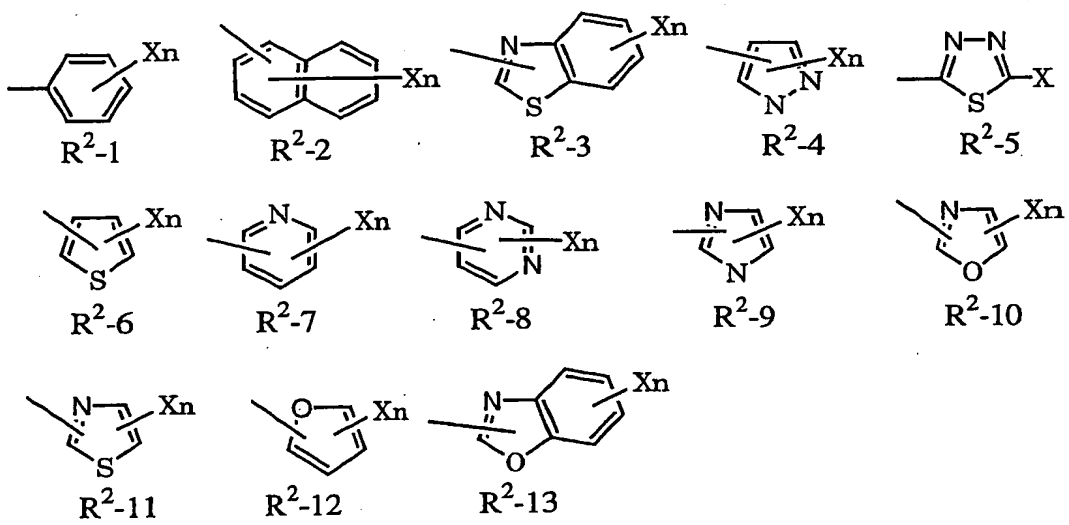


(I)

wherein R^1 is a hydrogen atom (except for a case where R^2=hydrogen atom, and W=SO_2), a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 alkylcarbonyl C_1-C_6 alkyl group, a hydroxyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_3-C_6 cycloalkyl group (this group may be substituted by a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 alkoxy group or a C_1-C_4 haloalkyl group), a C_1-C_4 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_4 haloalkoxy group, a C_2-C_6 alkenyloxy group, a C_2-C_6 alkynyloxy group, a C_3-C_6 cycloalkyloxy group, a phenyl group (this group may be substituted by a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 alkoxy group, a C_1-C_4 haloalkyl group, a C_1-C_4 haloalkoxy group, a cyano group, a cyano C_1-C_6 alkyl group, a nitro group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group or a C_1-C_6 alkylsulfonyl group), a C_1-C_6 alkylthio group (except for a case where R^2=phenyl

group, and $W=SO_2$), a C_2-C_6 alkenylthio group, a C_2-C_6 alkynylthio group, a C_3-C_6 cycloalkylthio group, a C_1-C_6 alkylsulfinyl group, a C_2-C_6 alkenylsulfinyl group, a C_2-C_6 alkynylsulfinyl group, a C_3-C_6 cycloalkylsulfinyl group, a C_1-C_6 alkylsulfonyl group, a C_2-C_6 alkenylsulfonyl group, a C_2-C_6 alkynylsulfonyl group, a C_3-C_6 cycloalkylsulfonyl group, a C_1-C_6 hydroxyalkyl group, a C_2-C_7 acyl group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a cyano group, a C_1-C_6 alkoxycarbonyl group, a C_1-C_6 alkoxycarbonyl C_1-C_6 alkyl group, a C_1-C_6 alkoxycarbonyl C_2-C_6 alkenyl group, a carboxyl group, a carboxyl C_1-C_6 alkyl group, a di C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_1-C_6 alkoxyimino C_1-C_6 alkyl group, a hydroxyimino C_1-C_6 alkyl group, a dioxolanyl group (this group may be substituted by a C_1-C_6 alkyl group), an aldehyde group, an oxiranyl group, a NR^9R^{10} group or a $CONR^9R^{10}$ group, R^9 is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_4 haloalkyl group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_1-C_6 alkylthio C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group, a C_2-C_7 acyl group or a C_1-C_6 alkylsulfonyl group, R^{10} is a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_4 haloalkyl group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_1-C_6 alkylthio C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group, a C_2-C_7 acyl group, a C_1-C_6 alkylsulfonyl group, a C_1-C_6 alkoxycarbonyl group or a benzyloxycarbonyl group, here R^9 and R^{10} may, together with the carbon atom to which they are bonded,

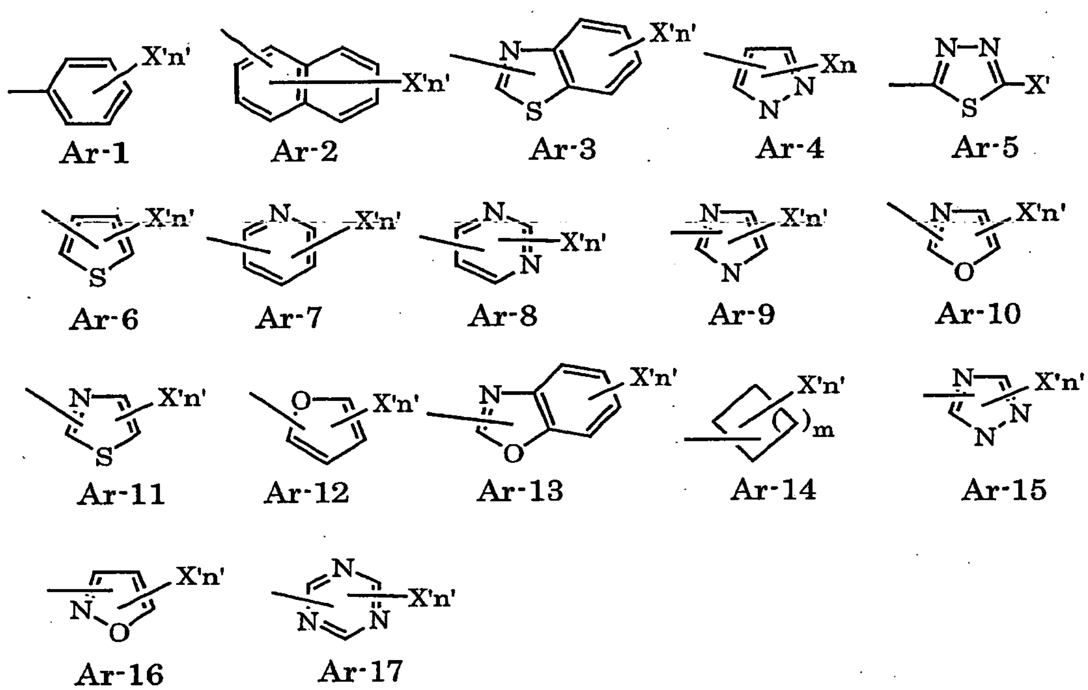
form a 5- to 7-membered saturated ring, R^2 is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkylthio group, a C_1-C_4 haloalkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_1-C_6 alkylthio C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group (this group may be substituted by a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 alkoxy group or a C_1-C_4 haloalkyl group), a C_2-C_7 acyl group, a cyano group, a di C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_1-C_6 alkoxyimino C_1-C_6 alkyl group, a hydroxyimino C_1-C_6 alkyl group, a dioxolanyl group (this group may be substituted by a C_1-C_6 alkyl group), a cyano C_1-C_6 alkyl group, a C_1-C_6 hydroxyalkyl group, a C_1-C_6 alkoxycarbonyl group, a C_1-C_6 alkoxycarbonyl C_1-C_6 alkyl group, a $CR^{11}R^{12}NR^9R^{10}$ group, a $CONR^9R^{10}$ group, a $CR^{11}R^{12}CONR^9R^{10}$ group or a group represented by any one of the formulae R^2-1 to R^2-13:



(wherein X is a hydrogen atom, a halogen atom, a C_1-C_6

alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a NR^9R^{10} group, a $CONR^9R^{10}$ group, a C_1-C_4 haloalkoxy group, a C_2-C_6 alkenyloxy group, a C_3-C_6 cycloalkyloxy group, a C_2-C_7 acyl group, a C_1-C_6 alkoxycarbonyl group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsufonyl group, a cyano group, a nitro group or a C_1-C_4 haloalkyl group, n is an integer of from 1 to 3, when n is an integer of 2 or 3, the plurality of X may be the same or different, and two adjacent lower alkoxy groups may be bonded to each other to form a C_1-C_3 alkylenedioxy group), each of R^{11} and R^{12} is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group or a C_1-C_6 alkoxy group, R^3 is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkoxy group, a di C_1-C_6 alkylamino group, a C_3-C_6 cycloalkyl group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a cyano C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl C_1-C_6 alkyl group, an oxiranyl C_1-C_6 alkyl group or a C_1-C_6 alkoxycarbonyl C_1-C_6 alkyl group, W is a $-C(=Q)Z-$ group or a $-SO_2-$ group, Q is an oxygen atom or a sulfur atom, Z is an oxygen atom, a sulfur atom, a $-NR^6-$ group, a $-CH_2CH_2-$ group, a $-CH=CH-$ group, a $-C(R^4)R^5-$ group, a $-C(R^4)R^5-Q-$ group, a $-Q-C(R^4)R^5-$ group, a $-C(=Q)-$ group, a $-NR^6NR^{6a}-$ group or a $-NR^6C(R^4)R^5-$ group, each of R^4 and R^5 is a hydrogen atom, a C_1-C_6 alkyl group, a halogen atom, a C_1-C_6 alkoxy group or a C_1-C_6 alkylthio group, each of

R^6 and R^{6a} is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group or a C_2-C_6 alkynyl group, here R^3 and R^6 may, together with the carbon atom to which they are bonded, form a 5- to 7-membered cyclic urea, Ar is a group represented by any one of the formulae Ar-1 to Ar-17:



(wherein X′ is a hydrogen atom, a halogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a NR^9R^{10} group, a $CONR^9R^{10}$ group, a C_1-C_4 haloalkoxy group, a C_2-C_6 alkenyloxy group, a C_3-C_6 cycloalkyloxy group, a C_2-C_7 acyl group, a C_1-C_6 alkoxycarbonyl group, a C_1-C_6 alkylthio group, a C_1-C_6 alkylsulfinyl group, a C_1-C_6 alkylsufonyl group, a cyano group, a nitro group or a C_1-C_4 haloalkyl group, n′ is an integer of from 1 to 3, m is an integer of from 0 to 3, when n′ is an integer of 2

or 3, the plurality of X' may be the same or different, and two adjacent lower alkoxy groups may be bonded to each other to form a C_1-C_3 alkylenedioxy group), R^7 is a hydrogen atom, a halogen atom, a C_1-C_6 alkyl group, a C_1-C_6 alkoxy group, a C_1-C_6 alkylthio group, a C_1-C_4 haloalkyl group or a C_3-C_6 cycloalkyl group, and R^8 is a hydrogen atom, a C_1-C_6 alkyl group, a C_1-C_6 alkylthio group, a C_1-C_4 haloalkyl group or a C_3-C_6 cycloalkyl group; and a herbicide containing it as an active ingredient.

Now, definitions of terms used in this specification will be shown below.

The halogen atom represents a fluorine atom, a chlorine atom, a bromine atom or an iodine atom.

The C_1-C_6 alkyl group means a straight chain or branched chain alkyl group having a carbon number of from 1 to 6, unless otherwise specified, and it may, for example, be a methyl group, an ethyl group, a n-propyl group, an isopropyl group, a n-butyl group, an isobutyl group, a sec-butyl group or a tert-butyl group.

The C_3-C_6 cycloalkyl group represents a cycloalkyl group having a carbon number of from 3 to 6, and it may, for example, be a cyclopropyl group, a cyclopentyl group or a cyclohexyl group.

The C_2-C_6 alkenyl group represents a straight chain or branched chain alkenyl group having a carbon number of from 2 to 6, and it may, for example, be an ethenyl group

or a 2-propenyl group.

The C_2-C_6 alkynyl group represents a straight chain or branched chain alkynyl group having a carbon number of from 2 to 6, and it may, for example, be an ethynyl group or a 2-propynyl group.

The C_1-C_4 haloalkyl group represents a straight chain or branched chain alkyl group having a carbon number of from 1 to 4, which is substituted by from 1 to 9 same or different halogen atoms, unless otherwise specified, and it may, for example, be a chloromethyl group, a trifluoromethyl group or a tetrafluoroethyl group.

The C_1-C_6 alkoxy group represents an (alkyl)-O- group wherein the alkyl moiety has the above meaning, and it may, for example, be a methoxy group, an ethoxy group or a propoxy group.

The C_2-C_6 alkenyloxy group represents an (alkenyl)-O- group wherein the alkenyl moiety has the above meaning, and it may, for example, be an ethenyloxy group or a 2-propenyloxy group.

The C_2-C_6 alkynyloxy group represents an (alkynyl)-O- group wherein the alkynyl moiety has the above meaning, and it may, for example, be an ethynyloxy group or a 2-propynyloxy group.

The C_3-C_6 cycloalkyloxy group represents a (cycloalkyl)-O- group wherein the cycloalkyl moiety has the above meaning, and it may, for example, be a cyclopropyloxy group, a cyclopentyloxy group or a

cyclohexyloxy group.

The C_1-C_6 alkoxy C_1-C_6 alkyl group represents an (alkyl)-O-(alkylene)- group, wherein the alkyl moiety has the above meaning, and it may, for example, be a methoxymethyl group or an ethoxymethyl group.

The C_3-C_6 cycloalkyl C_1-C_6 alkyl group represents a (cycloalkyl)-(C_1-C_6 alkylene) group wherein the cycloalkyl moiety has the above meaning, and it may, for example, be a cyclopropylmethyl group, a cyclopentylmethyl group, a cyclohexylmethyl group or a cyclohexylethyl group.

The C_1-C_4 haloalkoxy group represents a (haloalkyl)-O- group wherein the haloalkyl moiety has the above meaning, and it may, for example, be a trifluoromethoxy group or a 2,2,2-trifluoroethoxy group.

The C_1-C_6 alkylthio group, the C_1-C_6 alkylsulfinyl group and the C_1-C_6 alkylsulfonyl group, represent an (alkyl)-S-group, an (alkyl)-SO-group and an (alkyl)-SO_2-group, wherein the alkyl moiety has the above meaning, and they may, for example, be a methylthio group, an ethylthio group, a methylsulfinyl group, an ethylsulfinyl group, a methylsulfonyl group or an ethylsulfonyl group.

The C_2-C_6 alkenylthio group, the C_2-C_6 alkenylsulfinyl group and the C_2-C_6 alkenylsulfonyl group, represent an (alkenyl)-S-group, an (alkenyl)-SO-group and an (alkenyl)-SO_2-group, wherein the alkenyl moiety has the above meaning, and they may, for example, be a

propenylthio group, a butenylthio group, a propenylsulfinyl group, a butenylsulfinyl group, a propenylsulfonyl group or a butenylsulfonyl group.

The C_2-C_6 alkynylthio group, the C_2-C_6 alkynylsulfinyl group and the C_2-C_6 alkynylsulfonyl group, represent an (alkynyl)-S-group, an (alkynyl)-SO-group and an (alkynyl)-SO_2-group, wherein the alkynyl moiety has the above meaning, and they may, for example, be an ethynylthio group, a 2-propynylthio group, an ethynylsulfinyl group, a 2-propynylsulfinyl group, an ethynylsulfonyl group or a 2-propynylsulfonyl group.

The C_3-C_6 cycloalkylthio group, the C_3-C_6 cycloalkylsulfinyl group and the C_3-C_6 cycloalkylsulfonyl group, represent a (cycloalkyl)-S-group, a (cycloalkyl)-SO-group, and a (cycloalkyl)-SO_2-group, wherein the cycloalkyl moiety has the above meaning, and they may, for example, be a cyclopropylthio group, a cyclobutylthio group, a cyclopentylthio group, a cyclohexylthio group, a cyclopropylsulfinyl group, a cyclobutylsulfinyl group, a cyclopentylsulfinyl group, a cyclohexylsulfinyl group, a cyclopropylsulfonyl group, a cyclobutylsulfonyl group, a cyclopentylsulfonyl group or a cyclohexylsulfonyl group.

The C_1-C_6 alkylthio C_1-C_6 alkyl group represents an (alkyl)-S-(alkylene) group wherein the alkyl moiety has the above meaning, and it may, for example, be a methylthiomethyl group, an ethylthiomethyl group, a propylthiomethyl group or a methylthioethyl group.

The C_2-C_7 acyl group represents a C_1-C_6 alkylcarbonyl group, a C_2-C_6 alkenylcarbonyl group, a C_2-C_6 alkynylcarbonyl group, a C_3-C_6 cycloalkylcarbonyl group or a benzoyl group, and it may, for example, be an acetyl group, a propionyl group, a n-butyryl group, an isobutyryl group, a cyclopropylcarbonyl group or a benzoyl group.

The C_1-C_6 alkylcarbonyl C_1-C_6 alkyl group may, for example, be a methylcarbonylmethyl group, an ethylcarbonylmethyl group or a propylcarbonylmethyl group.

The diC_1-C_6 alkoxy C_1-C_6 alkyl group may, for example, be a dimethoxymethyl group or a diethoxymethyl group.

The C_1-C_6 alkoxyimino C_1-C_6 alkyl group may, for example, be a methoxyiminomethyl group or an ethoxyiminomethyl group.

The hydroxyimino C_1-C_6 alkyl group may, for example, be a hydroxyiminomethyl group or a hydroxyiminoethyl group.

The cyano C_1-C_6 alkyl group may, for example, be a cyanomethyl group or a cyanoethyl group.

The C_1-C_6 hydroxyalkyl group may, for example, be a hydroxymethyl group or a hydroxyethyl group.

The C_1-C_6 alkoxycarbonyl group may, for example, be a methoxycarbonyl group or an ethoxycarbonyl group.

The C_1-C_6 alkoxycarbonyl C_1-C_6 alkyl group may, for example, be a methoxycarbonyl methyl group or an

ethoxycarbonyl methyl group.

The carboxyl C_1-C_6 alkyl group may, for example, be a carboxymethyl group or a carboxyethyl group.

The diC_1-C_6 alkoxy C_1-C_6 alkyl group may, for example, be a diethoxymethyl group or a 2-dimethoxyethyl group.

The diC_1-C_6 alkylamino group is a dialkylamino group wherein the alkylalkyl moiety has the above meaning, and it may, for example, be a dimethylamino group or a diethylamino group.

BEST MODE FOR CARRYING OUT THE INVENTION

Now, typical specific examples of the compound of the present invention represented by the formula (I) will be exemplified in Tables 1 to 39. However, the compound of the present invention is not limited to such compounds. The compound numbers will be referred to in the subsequent description. Further, in a case where the compound of the present invention or the disclosed compound has at least one asymmetric carbon, its all steric isomers are included in the compound of the present invention.

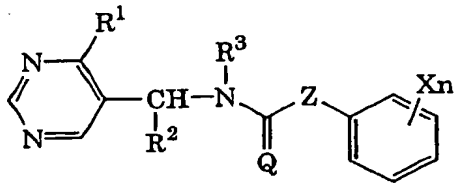
In the tables in this specification, S-isomer and R-isomer represent S-isomer and R-isomer of optical isomers, respectively, and in a case where there is no specific representation even when the compound has an asymmetric carbon, such represents a racemate. Further, in the tables, A-isomer and B-isomer represent diastereomers such that when resolved by silica gel

column chromatography, one eluting first is designated as A-isomer, and one eluting later is designated as B-isomer. In a case where there is no representation even if diastereomers exist, such represents a mixture of diastereomers.

The following representations in the tables in this specification represent the respective corresponding groups as shown below.

Me: methyl group	Et: ethyl group
Pr: n-propyl group	Pr-i: isopropyl group
Pr-c: cyclopropyl group	Bu: n-butyl group
Bu-i: isobutyl group	Bu-s: sec-butyl group
Bu-t: tert-butyl group	Bu-c: cyclobutyl group
Pen: n-pentyl group	Pen-i: isopentyl group
Pen-c: cyclopentyl group	Hex-c: cyclohexyl group

Table 1



Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-1	$CClF_2$	Pr-c	H	CH_2	H	O		124-127
1-2	$CClF_2$	Pr-c	H	CH_2	4-OMe	O		116-119
1-3	$CClF_2$	Pr-c	H	CH_2	4-Cl	O		125-128
1-4	$CClF_2$	Pr-c	H	CH_2	4-CF_3	O		111-114
1-5	CF_3	Pr-i	H	CH_2	H	O		144-146
1-6	CF_3	Pr-i	H	CH_2	4-OMe	O		114-117
1-7	CF_3	Pr-i	H	CH(Me)	H	O		1.5163
1-8	CF_3	Pr-i	Me	CH_2	H	O		106-109
1-9	CF_3	Pr-i	Me	CH_2	4-OMe	O		1.5289
1-10	CF_3	Pr-i	Me	S	H	O		131-133
1-11	CF_3	Pr-i	Me	S	4-OMe	O		156-159
1-12	CF_3	Pr-i	Me	CH_2	4-F	O		109-110
1-13	CF_3	Pr-i	Me	CH_2	4-OEt	O		1.5151
1-14	CF_3	Pr-i	Me	S	4-Cl	O		
1-15	$CClF_2$	Pr-i	Me	CH_2	H	O		109-112
1-16	$CClF_2$	Pr-i	Me	CH_2	4-OMe	O		Not Measurable
1-17	$CClF_2$	Pr-i	Me	S	H	O		127-130
1-18	$CClF_2$	Pr-i	Me	S	4-OMe	O		136-139
1-19	$CClF_2$	Pr-i	Me	O	H	O		115-118
1-20	CF_3	Pr-i	Me	CH_2	2-Cl	O		178-181
1-21	CF_3	Pr-i	Me	CH_2	3-Cl	O		122-125
1-22	CF_3	Pr-i	Me	CH_2	4-Cl	O		98-101
1-23	CF_3	Pr-i	Me	CH_2	4-Me	O		76-79
1-24	CF_3	Pr-i	Me	CH_2	4-CF_3	O		105-108
1-25	$CClF_2$	Pr-i	Me	CH_2	4-F	O		126-129
1-26	CF_3	Pr-i	Et	CH_2	H	O		87-90
1-27	CF_3	Pr-i	Et	CH_2	4-F	O		130-132
1-28	CF_3	Pr-i	Me	NH	H	O		149-150
1-29	CF_3	Pr-i	Me	NH	4-Cl	O		

Table 2

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (° C) or refractive index (n_D^{20})
1-30	CF_3	Me	Me	CH_2	H	O		1.5258
1-31	CF_3	Me	Me	CH_2	4-F	O		1.5202
1-32	CF_3	Et	Me	CH_2	H	O		40-41
1-33	CF_3	Et	Me	CH_2	4-F	O		72-73
1-34	CF_3	Pr-c	Me	CH_2	H	O		Not Measurable
1-35	CF_3	Pr-c	Me	CH_2	4-F	O		97-99
1-36	CF_3	Ph	Me	CH_2	4-F	O		93-94
1-37	$CClF_2$	Pr-i	Me	NH	4-Me	O		135-137
1-38	$CClF_2$	Pr-i	Me	NH	H	O		146-147
1-39	CF_3	Pr-i	Me	CH_2	3-CF_3	O		119-120
1-40	CF_3	Pr-i	Me	CH_2	2,5-F_2	O		145-146
1-41	CF_3	Pr-i	Me	CH_2	4-Br	O		93-95
1-42	CF_3	Pr-i	Me	CH_2	4-I	O		102-104
1-43	CF_3	Pr-i	Me	CH_2	4-Bu-t	O		101-102
1-44	CF_3	Pr-i	Me	CH_2	4-SMe	O		69-71
1-45	CF_3	Pr-i	Me	CH_2	3,4-Cl_2	O		145-146
1-46	CF_3	Pr-i	Me	CH_2	2-F,4-Cl	O		115-116
1-47	CF_3	Pr-i	Me	CH_2	3-OMe,4-Cl	O		129-131
1-48	CF_3	Pr-i	Et	CH_2	4-Cl	O		120-123
1-49	CF_3	Pr-i	Pr	CH_2	4-Cl	O		140-141
1-50	CF_3	Pr-i	Me	CH_2	2-Me	O		154-155
1-51	CF_3	Pr-i	Me	CH_2	3-Me	O		93-94
1-52	CF_3	Pr-i	Me	CH_2	4-NO_2	O		146-149
1-53	CF_3	Bu-t	Me	CH_2	4-F	O		91-92
1-54	CF_3	Bu-t	Me	CH_2	4-Cl	O		111-112
1-55	CF_3	Bu-t	Me	CH_2	4-Me	O		84-87
1-56	CH_3	Pr-i	Me	CH_2	4-Cl	O		118-119
1-57	CF_3	Bu-s	Me	CH_2	H	O		70-71
1-58	CF_3	Bu-s	Me	CH_2	4-F	O		84-85
1-59	CF_3	Bu-s	Me	CH_2	4-Cl	O		73-75
1-60	CF_3	Bu-s	Me	CH_2	4-Me	O		61-64
1-61	CF_3	Pr-i	Et	CH_2	4-Me	O		92-94
1-62	CF_3	Pr-i	Pr	CH_2	4-Me	O		83-86
1-63	CF_3	Pr-i	Pr	CH_2	H	O		146-147
1-64	CF_3	Pr-i	Me	CH_2O	H	O		117-119
1-65	CF_3	Pr-i	Me	CH_2O	4-Cl	O		141-142

Table 3

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-66	CF_3	Pr-i	Me	CH_2	3-F	O		120-123
1-67	CF_3	Pr-i	Me	CH_2	4-Et	O		56-58
1-68	CF_3	Pr-i	Me	CH_2	4-Pr-i	O		86-87
1-69	Pr-i	Pr-i	Me	CH_2S	H	O		
1-70	CF_3	Pr-i	Me	CH_2	3-Br	O		120-121
1-71	CF_3	Pr-i	Me	CH(Me)	4-Cl	O		1.5232
1-72	CF_3	Pr-i	Me	CH_2	3,4-$(Me)_2$	O		83-85
1-73	Pr-i	Pr-i	Me	CH_2S	4-Cl	O		
1-74	CF_3	Pr-i	Me	CH_2	3-NO_2	O		118-121
1-75	CF_3	Pr-i	Me	CH_2	4-CN	O		141-142
1-76	CF_3	Pr-i	Me	CH_2	4-CH_2OMe	O		58-60
1-77	CF_3	Pr-i	Me	CH_2CH_2	H	O		79-82
1-78	Pr-c	Pr-i	Me	CH_2	4-Cl	O		110-113
1-79	Pr-i	Me	Me	CH_2	H	O		69-70
1-80	CF_3	Pr-i	Pr-c	CH_2	H	O		83-86
1-81	CF_3	Pr-i	Pr-c	CH_2	4-Cl	O		1.5297
1-82	CF_3	Pr-i	Pr-c	CH_2	4-Me	O		1.5219
1-83	CF_3	Pr-i	Pr-c	CH_2	4-F	O		81-84
1-84	CF_3	Pr-i	Me	CH_2	4-C≡CMe	O		128-132
1-85	CF_3	Pr-i	Me	CH=CH	H	O		1.5375
1-86	CF_3	Pr-i	Me	CH=CH	4-Cl	O		1.5565
1-87	Me	Pr-i	Me	CH_2	H	O		80-83
1-88	Me	Pr-i	Me	CH_2	4-F	O		102-104
1-89	Me	Pr-i	Me	CH_2	4-Me	O		106-107
1-90	H	Pr-i	Me	CH_2	4-Cl	O		1.5503
1-91	$CClF_2$	Pr-c	Me	CH_2	H	O		111-113
1-92	$CClF_2$	Pr-c	Me	CH_2	4-F	O		91-92
1-93	$CClF_2$	Pr-c	Me	CH_2	4-Me	O		87-88
1-94	$CClF_2$	Pr-c	Me	CH_2	4-Cl	O		112-114
1-95	CF_3	Pr-i	Me	CH_2	4-OCF_3	O		80-81
1-96	CF_3	Pr-i	Me	CH_2	4-$OCHF_2$	O		54-57
1-97	CF_3	Pr-i	Me	CH_2	4-OPr-i	O		74-75
1-98	CF_3	Pr-i	Et	CH_2	4-CN	O		139-142
1-99	CF_3	Pr-i	Pr	CH_2	4-CN	O		162-163
1-100	CF_3	Pr-i	Me	CH_2	2-F	O		163-164
1-101	Pr-c	Pr-i	Me	CH_2	4-F	O		111-112

Table 4

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-102	Pr-c	Pr-i	Me	CH_2	4-Me	O		81-83
1-103	CF_3	Pr-i	Pr-i	CH_2	H	O		1.5131
1-104	CF_3	Pr-i	Me	CH_2	4-SO_2Me	O		137-139
1-105	CF_3	Pr-i	CH_2OMe	CH_2	4-Cl	O		142-145
1-106	CF_3	Pr-i	CH_2OMe	CH_2	4-Me	O		104-106
1-107	CF_3	Pr-i	CH_2OEt	CH_2	4-Me	O		91-94
1-108	CF_3	Pr-i	Me	O	4-F	O		
1-109	CF_3	Pr-i	Me	O	4-Me	O		
1-110	CF_3	Pr-i	Me	O	4-Cl	O		
1-111	CF_3	Pr	Me	CH_2	H	O		54-55
1-112	CF_3	Pr	Me	CH_2	4-F	O		67-70
1-113	CF_3	Pr	Me	CH_2	4-Me	O		63-64
1-114	CF_3	Pr	Me	CH_2	4-Cl	O		91-92
1-115	CF_3	Pr-i	Me	CH(Me)O	H	O		127-130
1-116	CF_3	Pr-i	Me	CH(Me)O	4-Cl	O		104-105
1-117	CF_3	Pr-i	Me	CH(Me)O	4-Me	O		
1-118	CF_3	Pr-i	Me	OCH_2	H	O		1.5028
1-119	CF_3	Pr-i	Me	CH_2	3-OMe,4-Me	O		1.5109
1-120	CF_3	Pr-i	Me	CH_2	3-Me,4-Cl	O		92-94
1-121	CF_3	Pr-i	Me	CH_2	3-Cl,4-Me	O		121-122
1-122	CF_3	Pr-i	Me	CH_2	3,4-F_2	O		135-136
1-123	CF_3	Pr-i	Me	CH_2	2,6-F_2	O		176-177
1-124	CF_3	Pr-i	Me	CH_2	2,4-Cl_2	O		147-149
1-125	CF_3	Pr-i	Me	CH_2O	2-F	O		157-158
1-126	CF_3	Pr-i	Me	CH_2O	3-F	O		127-128
1-127	CF_3	Pr-i	Me	CH_2O	4-F	O		119-121
1-128	Pr-i	Pr-i	Me	CH_2	H	O		118-119
1-129	Pr-i	Pr-i	Me	CH_2	4-F	O		125-126
1-130	Pr-i	Pr-i	Me	CH_2	4-Cl	O		123-126
1-131	Pr-i	Pr-i	Me	CH_2	4-Me	O		110-113
1-132	CF_3	Pr-i	Me	CH_2O	2-Cl	O		162-163
1-133	CF_3	Pr-i	Me	CH_2O	3-Cl	O		122-124
1-134	CF_3	Pr-i	Me	CH_2O	2-Me	O		136-138
1-135	CF_3	Pr-i	Me	CH_2O	3-Me	O		117-119
1-136	CF_3	Pr-i	Me	CH_2O	4-Me	O		140-141
1-137	CF_3	Pen-c	Me	CH_2	H	O		72-74

Table 5

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-138	CF_3	Pen-c	Me	CH_2	4-F	O		97-99
1-139	CF_3	Pen-c	Me	CH_2	4-Cl	O		55-57
1-140	CF_3	Pen-c	Me	CH_2	4-Br	O		69-71
1-141	CF_3	Pen-c	Me	CH_2	4-Me	O		76-78
1-142	CF_3	Pr-i	Me	CH_2	H	S		93-94
1-143	CF_3	Pr-i	Me	CH_2	4-F	S		103-104
1-144	CF_3	Pr-i	Me	CH_2	4-Cl	S		92-93
1-145	CF_3	Pr-i	Me	CH_2	4-Me	S		1.5541
1-146	CF_3	Pr-i	Me	CH_2	3,4-(-OCH_2O-)	O		82-85
1-147	CF_3	Pr-i	Me	CH_2	2,4-F_2	O		137-139
1-148	CF_3	Pr-i	Me	CH_2	2,3-F_2	O		183-184
1-149	CF_3	Pr-i	Me	CH_2	2,3,4-F_3	O		
1-150	SMe	Pr-i	Me	CH_2	H	O		88-89
1-151	SMe	Pr-i	Me	CH_2	4-Cl	O		125-127
1-152	SMe	Pr-i	Me	CH_2	4-F	O		121-124
1-153	SMe	Pr-i	Me	CH_2	4-Me	O		78-79
1-154	CF_3	Pr-i	Me	CH(SMe)	4-Cl	O		148-149
1-155	CF_3	Pr-i	Me	CH(OMe)	4-Cl	O		107-108
1-156	CF_3	Pen-c	Me	CH_2	2-F	O		111-112
1-157	CF_3	Pr-i	Me	CH_2	4-$N(Me)_2$	O		109-111
1-158	CF_3	Ph(4-F)	Me	CH_2	3-F	O		85-86
1-159	CH_3	Bu-t	Me	CH_2	H	O		93-95
1-160	CH_3	Bu-t	Me	CH_2	4-F	O		96-98
1-161	CH_3	Bu-t	Me	CH_2	4-Cl	O		107-109
1-162	CH_3	Bu-t	Me	CH_2	4-Me	O		84-85
1-163	CHF_2	Pr-i	Me	CH_2	H	O		62-65
1-164	CHF_2	Pr-i	Me	CH_2	4-F	O		82-84
1-165	CHF_2	Pr-i	Me	CH_2	4-Cl	O		85-87
1-166	CHF_2	Pr-i	Me	CH_2	4-Me	O		83-84
1-167	CF_3	Pr-i	Me	CH_2	3,5-F_2	O		156-157
1-168	CHF_2	Pr-i	Et	CH_2	H	O		85-86
1-169	CHF_2	Pr-i	Et	CH_2	4-F	O		100-103
1-170	CHF_2	Pr-i	Et	CH_2	4-Cl	O		114-117
1-171	CHF_2	Pr-i	Et	CH_2	4-Me	O		91-92
1-172	CF_3	Pr-i	$CH_2C{\equiv}CH$	CH_2	4-F	O		124-128

Table 6

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index
1-173	CF_3	Pr-i	Et	CH_2	3-F	O		119-121
1-174	CF_3	Pr-i	Et	CH_2	4-CF_3	O		100-101
1-175	CF_3	Pr-i	Me	$C(Me)_2$	4-Me	O		108-110
1-176	CF_3	Pr-i	Me	O	H	O		80-81
1-177	CF_3	Pr-i	Me	O	4-F	O		110-112
1-178	CF_3	Pr-i	Me	O	4-Cl	O		112-115
1-179	CF_3	Pr-i	Me	O	4-Me	O		94-97
1-180	CF_3	Pr-i	Me	CH_2	3-F,4-Cl	O		120-124
1-181	CF_3	Pr-i	Pr	CH_2	4-F	O		126-127
1-182	CF_3	Pr-i	Me	CH_2S	H	O		105-108
1-183	CF_3	Pr-i	Me	CH_2S	4-Cl	O		111-113
1-184	$CClF_2$	Pr-i	Me	O	4-F	O		119-123
1-185	$CClF_2$	Pr-i	Me	O	4-Cl	O		99-103
1-186	CF_3	Ph	Me	CH_2	H	O		86-87
1-187	CF_3	Ph	Me	CH_2	4-Cl	O		146-147
1-188	Bu-t	Me	Me	CH_2	H	O		1.5511
1-189	Bu-t	Me	Me	CH_2	4-F	O		88-89
1-190	Bu-t	Me	Me	CH_2	4-Cl	O		1.5532
1-191	Bu-t	Me	Me	CH_2	4-Me	O		1.5471
1-192	CF_3	Pr-i	Me	CH(Me)	4-OMe	O		1.5135
1-193	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	H	O		117-121
1-194	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	4-Cl	O		119-121
1-195	CF_3	Pr-i	Me	CH(Me)	H	O		Not Measurable
1-196	CF_3	Bu-t	Me	CH_2	H	O		107-109
1-197	CF_3	Ph	Me	CH_2	4-Me	O		151-154
1-198	CF_3	Pr-i	Me	CH(Me)	4-F	O		1.4992
1-199	CF_3	Pr-i	Me	CH(Me)	4-Me	O		
1-200	CF_3	2-thienyl	Me	CH_2	4-Cl	O		120-121
1-201	SMe	Bu-t	Me	CH_2	H	O		
1-202	SMe	Bu-t	Me	CH_2	4-F	O		
1-203	SMe	Bu-t	Me	CH_2	4-Cl	O		
1-204	SMe	Bu-t	Me	CH_2	4-Me	O		
1-205	SMe	Ph	Me	CH_2	H	O		
1-206	SMe	Ph	Me	CH_2	4-F	O		
1-207	SMe	Ph	Me	CH_2	4-Cl	O		
1-208	SMe	Ph	Me	CH_2	4-Me	O		

Table 7

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-209	Pr-i	Bu-t	Me	CH_2	H	O		
1-210	Pr-i	Bu-t	Me	CH_2	4-F	O		
1-211	Pr-i	Bu-t	Me	CH_2	4-Cl	O		
1-212	Pr-i	Bu-t	Me	CH_2	4-Me	O		
1-213	Pr-i	Ph	Me	CH_2	H	O		
1-214	Pr-i	Ph	Me	CH_2	4-F	O		90-91
1-215	Pr-i	Ph	Me	CH_2	4-Cl	O		
1-216	Pr-i	Ph	Me	CH_2	4-Me	O		
1-217	CF_3	Ph(4-F)	Me	CH_2	H	O		111-112
1-218	CF_3	Ph(4-F)	Me	CH_2	4-F	O		99-101
1-219	CF_3	Ph(4-F)	Me	CH_2	4-Cl	O		137-139
1-220	CF_3	Ph(4-F)	Me	CH_2	4-Me	O		132-134
1-221	CF_3	Ph(4-Cl)	Me	CH_2	H	O		136-137
1-222	CF_3	Ph(4-Cl)	Me	CH_2	4-F	O		114-115
1-223	CF_3	Ph(4-Cl)	Me	CH_2	4-Cl	O		
1-224	CF_3	Ph(4-Cl)	Me	CH_2	4-Me	O		
1-225	CF_3	Ph(4-Me)	Me	CH_2	H	O		1.5566
1-226	CF_3	Ph(4-Me)	Me	CH_2	4-F	O		1.5549
1-227	CF_3	Ph(4-Me)	Me	CH_2	4-Cl	O		
1-228	CF_3	Ph(4-Me)	Me	CH_2	4-Me	O		1.5531
1-229	CF_3	3-thienyl	Me	CH_2	H	O		
1-230	CF_3	3-thienyl	Me	CH_2	4-F	O		
1-231	CF_3	3-thienyl	Me	CH_2	4-Cl	O		
1-232	CF_3	3-thienyl	Me	CH_2	4-Me	O		
1-233	CF_3	2-thienyl	Me	CH_2	H	O		
1-234	CF_3	2-thienyl	Me	CH_2	4-F	O		99-103
1-235	CF_3	2-thienyl	Me	CH_2	4-Cl	O		
1-236	CF_3	2-thienyl	Me	CH_2	4-Me	O		
1-237	CF_3	Ph(3-Cl)	Me	CH_2	H	O		
1-238	CF_3	Ph(3-Cl)	Me	CH_2	4-F	O		
1-239	CF_3	Ph(3-Cl)	Me	CH_2	4-Cl	O		
1-240	CF_3	Ph(3-Cl)	Me	CH_2	4-Me	O		
1-241	CF_3	Bu-t	Me	CH_2	4-Br	O		
1-242	CF_3	Ph	Me	CH_2	4-Br	O		
1-243	CF_3	Bu-s	Me	CH_2	4-Br	O		
1-244	CHF_2	Pr-i	Me	CH_2	4-Br	O		

Table 8

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (° C) or refractive index (n_D^{20})
1-245	SMe	Pr-i	Me	CH_2	4-Br	O		
1-246	Pr-i	Pr-i	Me	CH_2	4-Br	O		
1-247	Pr-c	Pr-i	Me	CH_2	4-Br	O		
1-248	Me	Bu-t	Me	CH_2	4-Br	O		
1-249	CF_3	Bu-t	Me	CH_2	2-F,4-Cl	O		101-103
1-250	CF_3	Ph	Me	CH_2	2-F,4-Cl	O		170-173
1-251	CF_3	Bu-s	Me	CH_2	2-F,4-Cl	O		84-85
1-252	CHF_2	Pr-i	Me	CH_2	2-F,4-Cl	O		
1-253	SMe	Pr-i	Me	CH_2	2-F,4-Cl	O		
1-254	Pr-i	Pr-i	Me	CH_2	2-F,4-Cl	O		141-142
1-255	Pr-c	Pr-i	Me	CH_2	2-F,4-Cl	O		
1-256	Me	Bu-t	Me	CH_2	2-F,4-Cl	O		
1-257	CF_3	Bu-t	Me	CH_2	3,4-$(Me)_2$	O		
1-258	CF_3	Ph	Me	CH_2	3,4-$(Me)_2$	O		
1-259	CF_3	Bu-s	Me	CH_2	3,4-$(Me)_2$	O		
1-260	CHF_2	Pr-i	Me	CH_2	3,4-$(Me)_2$	O		
1-261	SMe	Pr-i	Me	CH_2	3,4-$(Me)_2$	O		
1-262	Pr-i	Pr-i	Me	CH_2	3,4-$(Me)_2$	O		
1-263	Pr-c	Pr-i	Me	CH_2	3,4-$(Me)_2$	O		
1-264	Me	Bu-t	Me	CH_2	3,4-$(Me)_2$	O		
1-265	CF_3	Bu-t	Me	CH_2	3-F	O		103-104
1-266	CF_3	Ph	Me	CH_2	3-F	O		88-90
1-267	CF_3	Bu-s	Me	CH_2	3-F	O		85-87
1-268	CHF_2	Pr-i	Me	CH_2	3-F	O		
1-269	SMe	Pr-i	Me	CH_2	3-F	O		
1-270	Pr-i	Pr-i	Me	CH_2	3-F	O		151-153
1-271	Pr-c	Pr-i	Me	CH_2	3-F	O		
1-272	Me	Bu-t	Me	CH_2	3-F	O		
1-273	CF_3	Bu-t	Me	CH_2	2,4-F_2	O		137-140
1-274	CF_3	Ph	Me	CH_2	2,4-F_2	O		107-110
1-275	CF_3	Bu-s	Me	CH_2	2,4-F_2	O		
1-276	CHF_2	Pr-i	Me	CH_2	2,4-F_2	O		
1-277	SMe	Pr-i	Me	CH_2	2,4-F_2	O		
1-278	Pr-i	Pr-i	Me	CH_2	2,4-F_2	O		
1-279	Pr-c	Pr-i	Me	CH_2	2,4-F_2	O		
1-280	Me	Bu-t	Me	CH_2	2,4-F_2	O		

Table 9

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-281	CF_3	Bu-t	Me	CH_2	3-F,4-Cl	O		
1-282	CF_3	Ph	Me	CH_2	3-F,4-Cl	O		
1-283	CF_3	Bu-s	Me	CH_2	3-F,4-Cl	O		
1-284	CHF_2	Pr-i	Me	CH_2	3-F,4-Cl	O		
1-285	SMe	Pr-i	Me	CH_2	3-F,4-Cl	O		
1-286	Pr-i	Pr-i	Me	CH_2	3-F,4-Cl	O		
1-287	Pr-c	Pr-i	Me	CH_2	3-F,4-Cl	O		
1-288	Me	Bu-t	Me	CH_2	3-F,4-Cl	O		
1-289	CF_3	Bu-t	Me	CH_2	3,4-F_2	O		
1-290	CF_3	Ph	Me	CH_2	3,4-F_2	O		
1-291	CF_3	Bu-s	Me	CH_2	3,4-F_2	O		
1-292	CHF_2	Pr-i	Me	CH_2	3,4-F_2	O		
1-293	SMe	Pr-i	Me	CH_2	3,4-F_2	O		
1-294	Pr-i	Pr-i	Me	CH_2	3,4-F_2	O		
1-295	Pr-c	Pr-i	Me	CH_2	3,4-F_2	O		
1-296	Me	Bu-t	Me	CH_2	3,4-F_2	O		
1-297	CF_3	Bu-t	Me	CH_2	3,5-F_2	O		
1-298	CF_3	Ph	Me	CH_2	3,5-F_2	O		
1-299	CF_3	Bu-s	Me	CH_2	3,5-F_2	O		
1-300	CHF_2	Pr-i	Me	CH_2	3,5-F_2	O		
1-301	SMe	Pr-i	Me	CH_2	3,5-F_2	O		
1-302	Pr-i	Pr-i	Me	CH_2	3,5-F_2	O		
1-303	Pr-c	Pr-i	Me	CH_2	3,5-F_2	O		
1-304	Me	Bu-t	Me	CH_2	3,5-F_2	O		
1-305	CF_3	Bu-t	Me	CH_2	4-CF_3	O		85-87
1-306	CF_3	Ph	Me	CH_2	4-CF_3	O		92-93
1-307	CF_3	Bu-s	Me	CH_2	4-CF_3	O		123-125
1-308	CHF_2	Pr-i	Me	CH_2	4-CF_3	O		
1-309	SMe	Pr-i	Me	CH_2	4-CF_3	O		
1-310	Pr-i	Pr-i	Me	CH_2	4-CF_3	O		139-140
1-311	Pr-c	Pr-i	Me	CH_2	4-CF_3	O		
1-312	Me	Bu-t	Me	CH_2	4-CF_3	O		
1-313	CF_3	Bu-t	Me	CH_2	3-CF_3	O		
1-314	CF_3	Ph	Me	CH_2	3-CF_3	O		
1-315	CF_3	Bu-s	Me	CH_2	3-CF_3	O		
1-316	CHF_2	Pr-i	Me	CH_2	3-CF_3	O		

Table 10

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (° C) or refractive index (n_D^{20})
1-317	SMe	Pr-i	Me	CH_2	3-CF_3	O		
1-318	Pr-i	Pr-i	Me	CH_2	3-CF_3	O		
1-319	Pr-c	Pr-i	Me	CH_2	3-CF_3	O		
1-320	Me	Bu-t	Me	CH_2	3-CF_3	O		
1-321	CF_3	Pr-i	Et	CH_2	2-F,4-Cl	O		144-146
1-322	Ph	Pr-i	Me	CH_2	H	O		82-84
1-323	Ph	Pr-i	Me	CH_2	4-F	O		104-105
1-324	Ph	Pr-i	Me	CH_2	4-Cl	O		88-90
1-325	Ph	Pr-i	Me	CH_2	4-Me	O		79-80
1-326	Pr-i	Pr-i	Me	NH	H	O		199-200
1-327	Pr-i	Pr-i	Me	N(Me)	H	O		1.5384
1-328	CF_3	Pr-i	Me	C(=O)	H	O		101-102
1-329	CF_3	Pr-i	Me	$C(Me)_2$	4-Cl	O		Not Measurable
1-330	CF_3	Bu-i	Me	CH_2	H	O		86-87
1-331	CF_3	Bu-i	Me	CH_2	4-F	O		97-98
1-332	CF_3	Pr-i	OMe	CH_2	H	O		1.5071
1-333	CF_3	Pr-i	OMe	CH_2	4-F	O		59-62
1-334	CF_3	Bu-i	Me	CH_2	3-F	O		93-94
1-335	CF_3	Pr-i	Me	C(=O)	4-Cl	O		116-119
1-336	Pr-i	Ph	Et	CH_2	4-Me	O		
1-337	CF_3	Ph(4-F)	Et	CH_2	H	O		
1-338	CF_3	Ph(4-F)	Et	CH_2	4-F	O		
1-339	CF_3	Ph(4-F)	Et	CH_2	4-Cl	O		
1-340	CF_3	Ph(4-F)	Et	CH_2	4-Me	O		
1-341	CF_3	Ph(4-Cl)	Et	CH_2	H	O		
1-342	CF_3	Ph(4-Cl)	Et	CH_2	4-F	O		
1-343	CF_3	Ph(4-Cl)	Et	CH_2	4-Cl	O		
1-344	CF_3	Ph(4-Cl)	Et	CH_2	4-Me	O		
1-345	CF_3	Ph(4-Me)	Et	CH_2	H	O		
1-346	CF_3	Ph(4-Me)	Et	CH_2	4-F	O		
1-347	CF_3	Ph(4-Me)	Et	CH_2	4-Cl	O		
1-348	CF_3	Ph(4-Me)	Et	CH_2	4-Me	O		
1-349	CF_3	3-thienyl	Et	CH_2	H	O		
1-350	CF_3	3-thienyl	Et	CH_2	4-F	O		
1-351	CF_3	3-thienyl	Et	CH_2	4-Cl	O		
1-352	CF_3	3-thienyl	Et	CH_2	4-Me	O		

Table 11

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-353	CF_3	2-thienyl	Et	CH_2	H	O		
1-354	CF_3	2-thienyl	Et	CH_2	4-F	O		
1-355	CF_3	2-thienyl	Et	CH_2	4-Cl	O		
1-356	CF_3	2-thienyl	Et	CH_2	4-Me	O		
1-357	CF_3	Ph(3-Cl)	Et	CH_2	H	O		
1-358	CF_3	Ph(3-Cl)	Et	CH_2	4-F	O		
1-359	CF_3	Ph(3-Cl)	Et	CH_2	4-Cl	O		
1-360	CF_3	Ph(3-Cl)	Et	CH_2	4-Me	O		
1-361	CF_3	Ph	Me	CH(Me)	H	O		
1-362	CF_3	Ph	Me	CH(Me)	4-F	O		
1-363	CF_3	Ph	Me	CH(Me)	4-Cl	O		
1-364	CF_3	Ph	Me	CH(Me)	4-Me	O		
1-365	CF_3	Ph(4-F)	Me	CH(Me)	H	O		
1-366	CF_3	Ph(4-F)	Me	CH(Me)	4-F	O		
1-367	CF_3	Ph(4-F)	Me	CH(Me)	4-Cl	O		
1-368	CF_3	Ph(4-F)	Me	CH(Me)	4-Me	O		
1-369	CF_3	Ph(4-Cl)	Me	CH(Me)	H	O		
1-370	CF_3	Ph(4-Cl)	Me	CH(Me)	4-F	O		
1-371	CF_3	Ph(4-Cl)	Me	CH(Me)	4-Cl	O		
1-372	CF_3	Ph(4-Cl)	Me	CH(Me)	4-Me	O		
1-373	Pr-i	Pr-i	Me	CH(Me)	H	O		
1-374	Pr-i	Pr-i	Me	CH(Me)	4-F	O		
1-375	Pr-i	Pr-i	Me	CH(Me)	4-Cl	O		
1-376	Pr-i	Pr-i	Me	CH(Me)	4-Me	O		
1-377	SMe	Pr-i	Me	CH(Me)	H	O		
1-378	SMe	Pr-i	Me	CH(Me)	4-F	O		
1-379	SMe	Pr-i	Me	CH(Me)	4-Cl	O		
1-380	SMe	Pr-i	Me	CH(Me)	4-Me	O		
1-381	CF_3	3-thienyl	Me	CH(Me)	H	O		
1-382	CF_3	3-thienyl	Me	CH(Me)	4-F	O		
1-383	CF_3	3-thienyl	Me	CH(Me)	4-Cl	O		
1-384	CF_3	3-thienyl	Me	CH(Me)	4-Me	O		
1-385	CF_3	Ph(3-Cl)	Me	CH(Me)	H	O		
1-386	CF_3	Ph(3-Cl)	Me	CH(Me)	4-F	O		
1-387	CF_3	Ph(3-Cl)	Me	CH(Me)	4-Cl	O		
1-388	CF_3	Ph(3-Cl)	Me	CH(Me)	4-Me	O		
1-389	Me	Bu-t	Me	CH(Me)	H	O		

Table 12

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-390	Me	Bu-t	Me	CH(Me)	4-F	O		
1-391	Me	Bu-t	Me	CH(Me)	4-Cl	O		
1-392	Me	Bu-t	Me	CH(Me)	4-Me	O		
1-393	CF_3	Bu-t	Me	CH(Me)	H	O		
1-394	CF_3	Bu-t	Me	CH(Me)	4-F	O		
1-395	CF_3	Bu-t	Me	CH(Me)	4-Cl	O		
1-396	CF_3	Bu-t	Me	CH(Me)	4-Me	O		
1-397	CF_3	2-thienyl	Me	CH(Me)	H	O		
1-398	CF_3	2-thienyl	Me	CH(Me)	4-F	O		
1-399	CF_3	2-thienyl	Me	CH(Me)	4-Cl	O		
1-400	CF_3	2-thienyl	Me	CH(Me)	4-Me	O		
1-401	OMe	Pr-i	Me	CH_2	H	O		1.5439
1-402	OMe	Pr-i	Me	CH_2	4-F	O		1.5332
1-403	OMe	Pr-i	Me	CH_2	4-Cl	O		79-82
1-404	OMe	Pr-i	Me	CH_2	4-Me	O		88-90
1-405	CF_3	Pr-i	OMe	CH_2	H	O		
1-406	CF_3	Pr-i	OMe	CH_2	4-F	O		
1-407	CF_3	Pr-i	OMe	CH_2	4-Cl	O		1.5159
1-408	CF_3	Pr-i	OMe	CH_2	4-Me	O		
1-409	CF_3	1-MePr-c	Me	CH_2	H	O		78-79
1-410	CF_3		Me	CH_2	4-F	O		85-87
1-411	CF_3		Me	CH_2	4-Cl	O		110-111
1-412	CF_3		Me	CH_2	4-Me	O		88-89
1-413	CF_3	CH_2SMe	Me	CH_2	4-F	O		65-66
1-414	CF_3	CH_2SMe	Me	CH_2	4-Cl	O		94-95
1-415	CF_3	CH_2SMe	Me	CH_2	4-Br	O		109-110
1-416	CF_3	CH(Me)SMe	Me	CH_2	4-F	O		118-119
1-417	CF_3	Pr-i	Me	CH_2	2,3,4-F_3	O		167-169
1-418	CF_3	Pr-i	Me	CH_2	3,4,5-F_3	O		181-183
1-419	CF_3	1-MePr-c	Me	CH_2	3-F	O		100-101
1-420	CF_3	CH_2SMe	Me	CH_2	3-F	O		66-67
1-421	CF_3	CH_2SMe	Me	CH_2	4-Me	O		87-89
1-422	CF_3	CH_2SMe	Me	CH_2	2-F-4-Cl	O		102-103

Table 13

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-423	CF_3	Et	Pr-i	CH_2	4-Cl	O		1.5207
1-424	CF_3	Pr-i	Me	N-Me	H	O		104-105
1-425	CF_3	Pr-i	Me	N-Et	H	O		63-65
1-426	CF_3	Pr-i	Me	N-Me	4-F	O		84-85
1-427	CF_3	Pr-i	Me	N-Me	4-Cl	O		106-108
1-428	CF_3	Pr-i	Me	N-Me	4-Me	O		94-96
1-429	Pr-i	Pr-i	Me	N-Me	4-F	O		1.5216
1-430	Pr-i	Pr-i	Me	N-Me	4-Cl	O		120-123
1-431	Pr-i	Pr-i	Me	N-Me	4-Me	O		93-94
1-432	Et	Pr-i	Me	CH_2	H	O		97-98
1-433	Et	Pr-i	Me	CH_2	4-F	O		93-95
1-434	Et	Pr-i	Me	CH_2	4-Cl	O		110-111
1-435	Et	Pr-i	Me	CH_2	4-Me	O		79-81
1-436	Pr-i	Et	Me	CH_2	H	O		1.5455
1-437	Pr-i	Et	Me	CH_2	4-F	O		66-67
1-438	Pr-i	Et	Me	CH_2	4-Cl	O		110-111
1-439	Pr-i	Et	Me	CH_2	4-Me	O		98-99
1-440	CF_3	3-thienyl	Me	CH_2	H	O		
1-441	CF_3	3-thienyl	Me	CH_2	4-F	O		109-110
1-442	CF_3	3-thienyl	Me	CH_2	4-Cl	O		135-138
1-443	CF_3	3-thienyl	Me	CH_2	4-Me	O		125-128
1-444	CF_3	Pr-i	Me	CH_2	2,3,5-F_3	O		167-169
1-445	Pr-n	Pr-i	Me	CH_2	H	O		67-69
1-446	Pr-n	Pr-i	Me	CH_2	4-F	O		117-118
1-447	Pr-n	Pr-i	Me	CH_2	4-Cl	O		122-123
1-448	Pr-n	Pr-i	Me	CH_2	4-Me	O		89-90
1-449	Pr-i	Pr-n	Me	CH_2	H	O		1.5402
1-450	Pr-i	Pr-n	Me	CH_2	4-F	O		83-84
1-451	Pr-i	Pr-n	Me	CH_2	4-Cl	O		78-79
1-452	Pr-i	Pr-n	Me	CH_2	4-Me	O		94-95
1-453	$CH(OEt)_2$	Pr-i	Me	CH_2	H	O		1.5253
1-454	Pr-i	$CH(OEt)_2$	Me	CH_2	H	O		1.5221
1-455	Pr-i	$CH(OEt)_2$	Me	CH_2	4-F	O		1.5101
1-456	Pr-i	$CH(OEt)_2$	Me	CH_2	4-Cl	O		
1-457	Pr-i	$CH(OEt)_2$	Me	CH_2	4-Me	O		
1-458	Pr-i	$CH(OEt)_2$	Me	OCH_2	H	O		1.5191

Table 14

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-459	CF_3	Pr-i	Me	N-Me	4-CN	O		144-145
1-460	CF_3	Pr-i	Me	N-Me	4-OMe	O		1.5081
1-461	CF_3	Pr-i	Me	$NHCH_2$	H	O		92-95
1-462	Pr-i	CH=NOMe	Me	CH_2	H	O		
1-463	Pr-i	CH=NOMe	Me	CH_2	4-F	O		1.5309
1-464	Pr-i	CH=NOMe	Me	CH_2	4-Cl	O		1.5459
1-465	Pr-i	CH=NOMe	Me	CH_2	4-Me	O		1.5412
1-466	Pr-i	CH=NOMe	Me	OCH_2	H	O		1.5352
1-467	$CH(OEt)_2$	Pr-i	Me	OCH_2	H	O		1.5236
1-468	$CH(OEt)_2$	Pr-i	Me	CH_2	4-F	O		1.5135
1-469	$CH(OEt)_2$	Pr-i	Me	CH_2	4-Cl	O		1.5282
1-470	$CH(OEt)_2$	Pr-i	Me	CH_2	4-Me	O		116-117
1-471	CH=NOMe	Pr-i	Me	OCH_2	H	O		1.5481
1-472			Me	CH_2	H	O		
1-473			Me	CH_2	4-F	O		110-112
1-474			Me	CH_2	4-Cl	O		
1-475			Me	CH_2	4-Me	O		
1-476	CF_3	Pr-i	CH_2CO_2Et	CH_2	H	O		158-159
1-477	CF_3	Pr-i	CH_2CO_2Et	CH_2	4-F	O		
1-478	CF_3	Pr-i	CH_2CO_2Et	CH_2	4-Cl	O		
1-479	CF_3	Pr-i	CH_2CO_2Et	CH_2	4-Me	O		
1-480	CF_3	Pr-i	CH_2CN	CH_2	H	O		
1-481	CF_3	Pr-i	CH_2CN	CH_2	4-F	O		
1-482	CF_3	Pr-i	CH_2CN	CH_2	4-Cl	O		164-166
1-483	CF_3	Pr-i	CH_2CN	CH_2	4-Me	O		159-161
1-484	CF_3	Ph(4-OMe)	Me	CH_2	H	O		97-98
1-485	CF_3	Ph(4-OMe)	Me	CH_2	4-F	O		103-105
1-486	CF_3	Ph(4-OMe)	Me	CH_2	4-Cl	O		131-133
1-487	CF_3	Ph(4-OMe)	Me	CH_2	4-Me	O		147-150
1-488	CF_3	Ph(2-OMe)	Me	CH_2	H	O		Not Measurable

Table 15

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-489	CF_3	Ph(2-OMe)	Me	CH_2	4-F	O		1.5474
1-490	CF_3	Ph(2-OMe)	Me	CH_2	4-Cl	O		Not Measurable
1-491	CF_3	Ph(2-OMe)	Me	CH_2	4-Me	O		1.5432
1-492	Pr-n	Pr-n	Me	CH_2	H	O		65-66
1-493	Pr-n	Pr-n	Me	CH_2	4-F	O		83-84
1-494	Pr-n	Pr-n	Me	CH_2	4-Cl	O		91-92
1-495	Pr-n	Pr-n	Me	CH_2	4-Me	O		55-56
1-496	CH=NOMe	Pr-i	Me	CH_2	H	O		113-115
1-497	CH=NOMe	Pr-i	Me	CH_2	4-F	O		155-156
1-498	CH=NOMe	Pr-i	Me	CH_2	4-Cl	O		122-123
1-499	CH=NOMe	Pr-i	Me	CH_2	4-Me	O		1.5468
1-500	CH=NOH	Pr-i	Me	CH_2	H	O		171-172
1-501	CH=NOH	Pr-i	Me	CH_2	4-F	O		197-198
1-502	CH=NOH	Pr-i	Me	CH_2	4-Cl	O		183-184
1-503	CH=NOH	Pr-i	Me	CH_2	4-Me	O		155-157
1-504	CN	Pr-i	Me	CH_2	H	O		80-81
1-505	CN	Pr-i	Me	CH_2	4-F	O		105-106
1-506	CN	Pr-i	Me	CH_2	4-Cl	O		99-100
1-507	CN	Pr-i	Me	CH_2	4-Me	O		75-76
1-508	CN	Pr-i	Me	CH(Me)	4-Cl	O		1.5569
1-509	Pr-i	$CMe(OMe)_2$	Me	CH_2	H	O		1.5352
1-510	Pr-i	$CMe(OMe)_2$	Me	CH_2	4-F	O		112-113
1-511	Pr-i	$CMe(OMe)_2$	Me	CH_2	4-Cl	O		106-107
1-512	Pr-i	$CMe(OMe)_2$	Me	CH_2	4-Me	O		104-105
1-513	Pr-i	COMe	Me	CH_2	H	O		99-100
1-514	Pr-i	COMe	Me	CH_2	4-F	O		114-115
1-515	Pr-i	COMe	Me	CH_2	4-Cl	O		108-109
1-516	Pr-i	COMe	Me	CH_2	4-Me	O		119-120
1-517	$CMe(OMe)_2$	Pr-i	Me	CH_2	4-Cl	O		78-79
1-518	CF_3	Pr-i	$CH_2CH=CH_2$	CH_2	H	O		121-122
1-519	CF_3	Pr-i	$CH_2CH=CH_2$	CH_2	4-F	O		129-130
1-520	CF_3	Pr-i	$CH_2CH=CH_2$	CH_2	4-Cl	O		124-127
1-521	CF_3	Pr-i	$CH_2CH=CH_2$	CH_2	4-Me	O		98-99
1-522	CHO	Pr-i	Me	CH_2	H	O		
1-523	CHO	Pr-i	Me	CH_2	4-F	O		1.5466
1-524	CHO	Pr-i	Me	CH_2	4-Cl	O		1.5609

Table 16

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m. p. (°C) or refractive index (n_D^{20})
1-525	CHO	Pr-i	Me	CH_2	4-Me	O		1.5558
1-526	COMe	Pr-i	Me	CH_2	H	O		Not Measurable
1-527	COMe	Pr-i	Me	CH_2	4-F	O		1.5341
1-528	COMe	Pr-i	Me	CH_2	4-Cl	O		1.5501
1-529	COMe	Pr-i	Me	CH_2	4-Me	O		1.5423
1-530	COMe	Pr-i	Me	CH(Me)	4-Cl	O		1.5395
1-531	Pr-i	CH=NOH	Me	OCH_2	H	O		1.5365
1-532	CF_3	Pr-i	Me	$N(Me)CH_2$	4-Cl	O		95-98
1-533	CF_3	Pr-i	Me	$N(CH_2C{\equiv}CH)$	4-F	O		1.5121
1-534	CF_3	Pr-i	Me	NHCH(Me) (R-isomer)	H	O		69-70
1-535	CF_3	Pr-i	Me	NHCH(Me) (S-isomer)	H	O		1.5134
1-536	CF_3	Pr-i	Me	N(Me)	2-F	O		1.5043
1-537	CF_3	Pr-i	Me	N(Me)	$2,4-F_2$	O		1.4936
1-538	Et	Pr-i	Me	N(Me)	H	O		1.5451
1-539	Et	Pr-i	Me	N(Me)	4-F	O		1.5349
1-540	Et	Pr-i	Me	N(Me)	4-Cl	O		115-117
1-541	Et	Pr-i	Me	N(Me)	4-Me	O		1.5342
1-542	CF_3	Pr-i	CH_2 	CH_2	H	O		1.5131
1-543	CF_3	Pr-i	CH_2 	CH_2	4-F	O		1.5052
1-544	CF_3	Pr-i	CH_2 	CH_2	4-Cl	O		1.5215
1-545	CF_3	Pr-i	CH_2 	CH_2	4-Me	O		1.5121
1-546	CF_3	Ph(2-F)	Me	CH_2	H	O		109-110
1-547	CF_3	Ph(2-F)	Me	CH_2	4-F	O		107-108
1-548	CF_3	Ph(2-F)	Me	CH_2	4-Cl	O		139-141
1-549	CF_3	Ph(2-F)	Me	CH_2	4-Me	O		107-110
1-550	CF_3	Ph(2-Me)	Me	CH_2	H	O		146-147
1-551	CF_3	Ph(2-Me)	Me	CH_2	4-F	O		149-150
1-552	CF_3	Ph(2-Me)	Me	CH_2	4-Cl			
1-553	CF_3	Ph(2-Me)	Me	CH_2	4-Me	O		135-136

Table 17

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-554	CF_3	Ph(2,4-F_2)	Me	CH_2	H	O		
1-555	CF_3	Ph(2,4-F_2)	Me	CH_2	4-F	O		102-104
1-556	CF_3	Ph(2,4-F_2)	Me	CH_2	4-Cl	O		
1-557	CF_3	Ph(2,4-F_2)	Me	CH_2	4-Me	O		
1-558	Et	Pr-i	$CH_2C\equiv CH$	CH_2	H	O		131-132
1-559	Et	Pr-i	$CH_2C\equiv CH$	CH_2	4-F	O		93-96
1-560	Et	Pr-i	$CH_2C\equiv CH$	CH_2	4-Cl	O		124-125
1-561	Et	Pr-i	$CH_2C\equiv CH$	CH_2	4-Me	O		110-111
1-562	Et	Pr-i	$CH_2C\equiv CH$	CH(Me)	H	O		Not Measurable
1-563	Pr-i	C(Me)=NOMe	Me	CH_2	4-F	O		73-74
1-564	Et	Bu-t	Me	CH_2	H	O		
1-565	Et	Bu-t	Me	CH_2	4-F	O		82-83
1-566	Et	Bu-t	Me	CH_2	4-Cl	O		98-99
1-567	Et	Bu-t	Me	CH_2	4-Me	O		78-80
1-568	Pr-i	CN	Me	OCH_2	H	O		1.5399
1-569	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	3-F	O		131-133
1-570	Bu-t	Et	Me	CH_2	H	O		
1-571	Bu-t	Et	Me	CH_2	4-F	O		88-90
1-572	Bu-t	Et	Me	CH_2	4-Cl	O		96-97
1-573	Bu-t	Et	Me	CH_2	4-Me	O		101-102
1-574	CF_3	Pr-i	CH_2-Pr-c	CH_2	H	O		
1-575	CF_3	Pr-i	CH_2-Pr-c	CH_2	4-F	O		108-109
1-576	CF_3	Pr-i	CH_2-Pr-c	CH_2	4-Cl	O		
1-577	CF_3	Pr-i	CH_2-Pr-c	CH_2	4-Me	O		
1-578	CF_3	Pr-i	CH_2CN	CH_2	H	O		
1-579	CF_3	Pr-i	CH_2CN	CH_2	4-F	O		162-163
1-580	CF_3	Pr-i	CH_2CN	CH_2	4-Cl	O		
1-581	CF_3	Pr-i	CH_2CN	CH_2	4-Me	O		
1-582	Pr-i	CH_2OMe	Me	CH_2	H	O		
1-583	Pr-i	CH_2OMe	Me	CH_2	4-F	O		
1-584	Pr-i	CH_2OMe	Me	CH_2	4-Cl	O		1.5391
1-585	Pr-i	CH_2OMe	Me	CH_2	4-Me	O		
1-586	Pr-i	CH_2OMe	Me	CH(Me)	4-Cl	O		1.5358
1-587	CH_2OMe	Pr-i	Me	CH_2	H	O		
1-588	CH_2OMe	Pr-i	Me	CH_2	4-F	O		
1-589	CH_2OMe	Pr-i	Me	CH_2	4-Cl	O		1.5485

Table 18

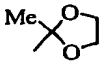
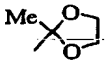
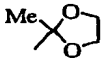
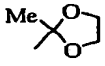
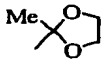
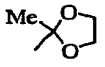
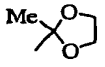
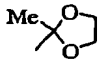
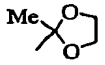
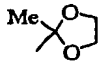
Compound No.	R^1	R^2	R^3	Z	Xn	Q	Dia-stereo-mer	m.p. (°C) or refrac-tive index (n_D^{20})
1-590	CH_2OMe	Pr-i	Me	CH_2	4-Me	O		
1-591	CH_2OMe	Pr-i	Me	CH(Me)	4-Cl	O		1.5382
1-592	CO_2Me	Pr-i	Me	CH(Me)	4-Cl	O	A-isomer	Not Measurable
1-593	CO_2Me	Pr-i	Me	CH(Me)	4-Cl	O	B-isomer	Not Measurable
1-594	CO_2Et	Pr-i	Me	CH(Me)	4-Cl	O	A-isomer	1.5406
1-595	CO_2Et	Pr-i	Me	CH(Me)	4-Cl	O	B-isomer	Not Measurable
1-596		Pr-i	Me	CH_2	H	O		
1-597		Pr-i	Me	CH_2	4-F	O		171-173
1-598		Pr-i	Me	CH_2	4-Cl	O		167-168
1-599		Pr-i	Me	CH_2	4-Me	O		141-142
1-600		Pr-i	Me	CH(Me)	4-Cl	O		95-98
1-601	Pr-i		Me	CH_2	H	O		
1-602	Pr-i		Me	CH_2	4-F	O		123-124
1-603	Pr-i		Me	CH_2	4-Cl	O		133-134
1-604	Pr-i		Me	CH_2	4-Me	O		103-104
1-605	Pr-i		Me	CH(Me)	4-Cl	O		
1-606	Et	Pr-n	$CH_2C\equiv CH$	CH_2	H	O		58-59
1-607	Et	Pr-n	$CH_2C\equiv CH$	CH_2	4-F	O		76-77
1-608	Et	Pr-n	$CH_2C\equiv CH$	CH_2	4-Cl	O		111-113
1-609	Et	Pr-n	$CH_2C\equiv CH$	CH_2	4-Me	O		90-91
1-610	Pr-i	Pr-n	$CH_2C\equiv CH$	CH_2	H	O		
1-611	Pr-i	Pr-n	$CH_2C\equiv CH$	CH_2	4-F	O		70-71
1-612	Pr-i	Pr-n	$CH_2C\equiv CH$	CH_2	4-Cl	O		

Table 19

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Dia-stereo-mer	m.p. (°C) or refrac-tive index (n_D^{20})
1-613	Pr-i	Pr-n	$CH_2C\equiv CH$	CH_2	4-Me	O		
1-614	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	3,4-F_2	O		133-134
1-615	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	2-F-4-Cl	O		122-124
1-616	Pr-n	Pr-i	$CH_2C\equiv CH$	CH_2	H	O		118-119
1-617	Pr-n	Pr-i	$CH_2C\equiv CH$	CH_2	4-F	O		106-107
1-618	Pr-n	Pr-i	$CH_2C\equiv CH$	CH_2	4-Cl	O		111-112
1-619	Pr-n	Pr-i	$CH_2C\equiv CH$	CH_2	4-Me	O		98-99
1-620	CF_3	Bu-t	$CH_2C\equiv CH$	CH_2	4-F	O		93-96
1-621	Pr-i	Pr-i	$CH_2C\equiv CH$	CH_2	H	O		137-138
1-622	Pr-i	Pr-i	$CH_2C\equiv CH$	CH_2	4-F	O		159-161
1-623	Pr-i	Pr-i	$CH_2C\equiv CH$	CH_2	4-Cl	O		131-134
1-624	Pr-i	Pr-i	$CH_2C\equiv CH$	CH_2	4-Me	O		153-154
1-625	$CH(OMe)_2$	Pr-i	Me	CH(Me)	4-Cl	O		1.5371
1-626	Et	Bu-t	$CH_2C\equiv CH$	CH_2	H	O		84-88
1-627	Et	Bu-t	$CH_2C\equiv CH$	CH_2	4-F	O		127-130
1-628	Et	Bu-t	$CH_2C\equiv CH$	CH_2	4-Cl	O		
1-629	Et	Bu-t	$CH_2C\equiv CH$	CH_2	4-Me	O		
1-630	COPr-i	Pr-i	Me	CH(Me)	4-Cl	O		116-117
1-631	C(Me)=NOMe	Pr-i	Me	CH(Me)	4-Cl	O		1.5423
1-632	CN	Bu-t	Me	CH(Me)	4-Cl	O	A-isomer	130-132
1-633	COMe	Bu-t	Me	CH(Me)	4-Cl	O		1.5439
1-634	CF_3	Pr-i	Me	CH(Me) (S-isomer)	H	O	A-isomer	128-131
1-635	CF_3	Pr-i	Me	CH(Me) (S-isomer)	H	O	B-isomer	1.5091
1-636	CF_2Cl	Pr-i	Me	O	4-Me	O		112-115
1-637	CF_2Cl	Pr-i	Me	O	4-OMe	O		123-126
1-638	CF_2Cl	Pr-i	Me	O	4-Br	O		108-111
1-639	CF_2Cl	Pr-i	Me	OCH_2	H	O		1.5251
1-640	CF_2Cl	Pr-i	Me	O	4-NO_2	O		1.5301
1-641	CF_2Cl	Pr-i	Me	O	H	S		132-135
1-642	Et	Pr-i	Me	O	4-Cl	O		80-83
1-643	Pr-n	Pr-n	Me	O	4-Cl	O		1.5379
1-644	Pr-n	Pr-i	Me	O	4-Cl	O		1.5367
1-645	Me	Me	Me	O	4-Cl	O		90-93
1-646	Et	Et	Me	O	4-Cl	O		1.5468

Table 20

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-647	Pr-i	Pr-i	Me	O	H	O		1.5331
1-648	Pr-i	Pr-i	Me	O	4-Me	O		1.5346
1-649	Pr-i	Pr-i	Me	O	4-OMe	O		1.5282
1-650	Pr-i	Pr-i	Me	O	4-F	O		1.5169
1-651	Et	CH(Me)SMe	Me	CH_2	H	O		Not Measurable
1-652	Et	CH(Me)SMe	Me	CH_2	4-F	O		Not Measurable
1-653	Et	CH(Me)SMe	Me	CH_2	4-Cl	O		88-91
1-654	Et	CH(Me)SMe	Me	CH_2	4-Me	O		1.5676
1-655	$NHCO_2CH_2Ph$	Pr-i	Me	CH(Me)	4-Cl	O		161-163
1-656	Et	$C(Me)_2CO_2Me$	Me	CH_2	4-Cl	O		1.5502
1-657	SOMe	Pr-i	Me	CH_2	4-Cl	O		
1-658	SO_2Me	Pr-i	Me	CH_2	4-Cl	O		
1-659	OEt	Pr-i	Me	CH_2	H	O		
1-660	OEt	Pr-i	Me	CH_2	4-F	O		
1-661	OEt	Pr-i	Me	CH_2	4-Cl	O		
1-662	OEt	Pr-i	Me	CH_2	4-Me	O		
1-663	$OCHF_2$	Pr-i	Me	CH_2	H	O		
1-664	$OCHF_2$	Pr-i	Me	CH_2	4-F	O		
1-665	$OCHF_2$	Pr-i	Me	CH_2	4-Cl	O		
1-666	$OCHF_2$	Pr-i	Me	CH_2	4-Me	O		
1-667	$SOCH_2CH=CH_2$	Pr-i	Me	CH_2	4-Cl	O		
1-668	$SOCH_2C\equiv CH$	Pr-i	Me	CH_2	4-Cl	O		
1-669	$OCH_2CH=CH_2$	Pr-i	Me	CH_2	H	O		
1-670	$OCH_2CH=CH_2$	Pr-i	Me	CH_2	4-F	O		
1-671	$OCH_2CH=CH_2$	Pr-i	Me	CH_2	4-Cl	O		
1-672	$OCH_2CH=CH_2$	Pr-i	Me	CH_2	4-Me	O		
1-673	$OCH_2C\equiv CH$	Pr-i	Me	CH_2	H	O		
1-674	$OCH_2C\equiv CH$	Pr-i	Me	CH_2	4-F	O		
1-675	$OCH_2C\equiv CH$	Pr-i	Me	CH_2	4-Cl	O		
1-676	$OCH_2C\equiv CH$	Pr-i	Me	CH_2	4-Me	O		
1-677	OPr-c	Pr-i	Me	CH_2	H	O		
1-678	OPr-c	Pr-i	Me	CH_2	4-F	O		
1-679	OPr-c	Pr-i	Me	CH_2	4-Cl	O		
1-680	OPr-c	Pr-i	Me	CH_2	4-Me	O		
1-681	SPr-c	Pr-i	Me	CH_2	4-Cl	O		

Table 21

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-682	SOPr-c	Pr-i	Me	CH_2	4-Cl	O		
1-683	SO_2Pr-c	Pr-i	Me	CH_2	4-Cl	O		
1-684	$N(Me)_2$	Pr-i	Me	CH_2	4-Cl	O		
1-685	NHMe	Pr-i	Me	CH_2	4-Cl	O		
1-686	$NH(CHF_2)$	Pr-i	Me	CH_2	4-Cl	O		
1-687	$NH(CH_2OMe)$	Pr-i	Me	CH_2	4-Cl	O		
1-688	$NH(CH_2SMe)$	Pr-i	Me	CH_2	4-Cl	O		
1-689	NHPr-c	Pr-i	Me	CH_2	4-Cl	O		
1-690	NHCOMe	Pr-i	Me	CH_2	4-Cl	O		
1-691	$NHSO_2Me$	Pr-i	Me	CH_2	4-Cl	O		
1-692	$NHCO_2Me$	Pr-i	Me	CH_2	4-Cl	O		
1-693	$NH(CH_2CH=CH_2)$	Pr-i	Me	CH_2	4-Cl	O		
1-694	$NH(CH_2C\equiv CH)$	Pr-i	Me	CH_2	4-Cl	O		
1-695	$CH(Me)CH=CH_2$	Pr-i	Me	CH_2	4-Cl	O		
1-696	$CH_2C\equiv CH$	Pr-i	Me	CH_2	4-Cl	O		
1-697	OH	Pr-i	Me	CH_2	4-Cl	O		
1-698	CO_2H	Pr-i	Me	CH_2	4-Cl	O		
1-699	$CON(-C_4H_8-)$	Pr-i	Me	CH_2	4-Cl	O		
1-700	$CONH(CH_2CH=CH_2)$	Pr-i	Me	CH_2	4-Cl	O		
1-701	Pr-i	$CH(Me)CH=CH_2$	Me	CH_2	4-Cl	O		
1-702	Pr-i	$CH_2C\equiv CH$	Me	CH_2	4-Cl	O		
1-703	Et	CHClMe	Me	CH_2	4-Cl	O		
1-704	Et	OEt	Me	CH_2	4-Cl	O		
1-705	Et	CH(Me)CN	Me	CH_2	4-Cl	O		
1-706	Et	CO_2Me	Me	CH_2	4-Cl	O		
1-707	Et	$CHMeN(Me)_2$	Me	CH_2	4-Cl	O		
1-708	Et	$CON(Me)_2$	Me	CH_2	4-Cl	O		
1-709	Et	$CHMeCONMe_2$	Me	CH_2	4-Cl	O		
1-710	Et	Pr-i	Me	CH_2	4-$OCH_2CH=CH_2$	O		
1-711	Et	Pr-i	Me	CH_2	4-OPr-c	O		
1-712	Et	Pr-i	Me	CH_2	4-COMe	O		

Table 22

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-713	Et	Pr-i	Me	CH_2	4-CO_2Me	O		
1-714	Et	Pr-i	Me	CH_2	4-SOMe	O		
1-715	Et	Pr-i	N(NMe_2)	CH_2	4-Cl	O		
1-716	Et	Pr-i	Me	CH_2	4-$CH_2CH=CH_2$	O		
1-717	Et	Pr-i	Me	CH_2	4-$CH_2C\equiv CH$	O		
1-718	CO_2H	Pr-i	N(Me)	CH(Me)	4-Cl	O		168-170
1-719	$CH=CHCO_2Et$	Pr-i	Me	CH(Me)	4-Cl	O		57-59
1-720	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	4-Me	O		111-113
1-721	COEt	Pr-i	Me	CH(Me)	H	O		
1-722	COEt	Pr-i	Me	CH(Me)	4-F	O		
1-723	COEt	Pr-i	Me	CH(Me)	4-Cl	O		
1-724	COEt	Pr-i	Me	CH(Me)	4-Me	O		
1-725	COEt	Pr-n	Me	CH_2	H	O		
1-726	COEt	Pr-n	Me	CH_2	4-F	O		
1-727	COEt	Pr-n	Me	CH_2	4-Cl	O		
1-728	COEt	Pr-n	Me	CH_2	4-Me	O		
1-729	COEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	H	O		
1-730	COEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-F	O		
1-731	COEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Cl	O		
1-732	COEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Me	O		
1-733	COEt	Pr-n	$CH_2C\equiv CH$	CH_2	H	O		
1-734	COEt	Pr-n	$CH_2C\equiv CH$	CH_2	4-F	O		
1-735	COEt	Pr-n	$CH_2C\equiv CH$	CH_2	4-Cl	O		
1-736	COEt	Pr-n	$CH_2C\equiv CH$	CH_2	4-Me	O		
1-737	COMe	Pr-n	Me	CH_2	H	O		
1-738	COMe	Pr-n	Me	CH_2	4-F	O		
1-739	COMe	Pr-n	Me	CH_2	4-Cl	O		
1-740	COMe	Pr-n	Me	CH_2	4-Me	O		
1-741	COMe	Pr-i	$CH_2C\equiv CH$	CH(Me)	H	O		
1-742	COMe	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-F	O		
1-743	COMe	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Cl	O		
1-744	COMe	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Me	O		
1-745	COMe	Pr-n	$CH_2C\equiv CH$	CH_2	H	O		
1-746	COMe	Pr-n	$CH_2C\equiv CH$	CH_2	4-F	O		
1-747	COMe	Pr-n	$CH_2C\equiv CH$	CH_2	4-Cl	O		
1-748	COMe	Pr-n	$CH_2C\equiv CH$	CH_2	4-Me	O		

Table 23

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-749	COPr-i	Pr-i	Me	CH(Me)	H	O		
1-750	COPr-i	Pr-i	Me	CH(Me)	4-F	O		
1-751	COPr-i	Pr-i	Me	CH(Me)	4-Me	O		
1-752	COPr-i	Pr-n	Me	CH_2	H	O		
1-753	COPr-i	Pr-n	Me	CH_2	4-F	O		
1-754	COPr-i	Pr-n	Me	CH_2	4-Cl	O		
1-755	COPr-i	Pr-n	Me	CH_2	4-Me	O		
1-756	COPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	H	O		
1-757	COPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-F	O		
1-758	COPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Cl	O		
1-759	COPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Me	O		
1-760	COPr-i	Pr-n	$CH_2C\equiv CH$	CH_2	H	O		
1-761	COPr-i	Pr-n	$CH_2C\equiv CH$	CH_2	4-F	O		
1-762	COPr-i	Pr-n	$CH_2C\equiv CH$	CH_2	4-Cl	O		
1-763	COPr-i	Pr-n	$CH_2C\equiv CH$	CH_2	4-Me	O		
1-764	OEt	Pr-n	Me	CH_2	H	O		
1-765	OEt	Pr-n	Me	CH_2	4-F	O		
1-766	OEt	Pr-n	Me	CH_2	4-Cl	O		
1-767	OEt	Pr-n	Me	CH_2	4-Me	O		
1-768	OEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	H	O		
1-769	OEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-F	O		
1-770	OEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Cl	O		
1-771	OEt	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Me	O		
1-772	OPr-i	Pr-i	Me	CH(Me)	H	O		
1-773	OPr-i	Pr-i	Me	CH(Me)	4-F	O		
1-774	OPr-i	Pr-i	Me	CH(Me)	4-Cl	O		
1-775	OPr-i	Pr-i	Me	CH(Me)	4-Me	O		
1-776	OPr-i	Pr-n	Me	CH_2	H	O		
1-777	OPr-i	Pr-n	Me	CH_2	4-F	O		
1-778	OPr-i	Pr-n	Me	CH_2	4-Cl	O		
1-779	OPr-i	Pr-n	Me	CH_2	4-Me	O		
1-780	OPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	H	O		
1-781	OPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-F	O		
1-782	OPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Cl	O		
1-783	OPr-i	Pr-i	$CH_2C\equiv CH$	CH(Me)	4-Me	O		
1-784	Et	Ph	Me	CH_2	H	O		
1-785	Et	Ph	Me	CH_2	4-F	O		

Table 24

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Dia-stereo-mer	m. p. (° C) or refrac-tive index (n_D^{20})
1-786	Et	Ph	Me	CH_2	4-Cl	O		
1-787	Et	Ph	Me	CH_2	4-Me	O		
1-788	$N(Me)_2$	Pr-i	Me	CH_2	H	O		63-66
1-789	$N(Me)_2$	Pr-i	Me	CH_2	4-F	O		
1-790	$N(Me)_2$	Pr-i	Me	CH_2	4-Me	O		
1-791	$N(Me)_2$	Pr-i	Me	CH(Me)	H	O		
1-792	$N(Me)_2$	Pr-i	Me	CH(Me)	4-F	O		
1-793	$N(Me)_2$	Pr-i	Me	CH(Me)	4-Cl	O		
1-794	$N(Me)_2$	Pr-i	Me	CH(Me)	4-Me	O		
1-795	CF_3	Pr-i	Me	$N(Me)CH_2$	H	O		
1-796	CF_3	Pr-i	Me	$N(Me)CH_2$	4-F	O		
1-797	CF_3	Pr-i	Me	$N(Me)CH_2$	4-Me	O		
1-798	Et	Pr-i	Me	$N(Me)CH_2$	H	O		
1-799	Et	Pr-i	Me	$N(Me)CH_2$	4-F	O		
1-800	Et	Pr-i	Me	$N(Me)CH_2$	4-Cl	O		
1-801	Et	Pr-i	Me	$N(Me)CH_2$	4-Me	O		
1-802	Pr-i	Pr-i	Me	$N(Me)CH_2$	H	O		
1-803	Pr-i	Pr-i	Me	$N(Me)CH_2$	4-F	O		
1-804	Pr-i	Pr-i	Me	$N(Me)CH_2$	4-Cl	O		
1-805	Pr-i	Pr-i	Me	$N(Me)CH_2$	4-Me	O		
1-806	$C(Me)=CH_2$	Pr-n	Me	CH_2	H	O		
1-807	$C(Me)=CH_2$	Pr-n	Me	CH_2	4-F	O		
1-808	$C(Me)=CH_2$	Pr-n	Me	CH_2	4-Cl	O		
1-809	$C(Me)=CH_2$	Pr-n	Me	CH_2	4-Me	O		
1-810	Pr-n	$C(Me)=CH_2$	Me	CH_2	H	O		
1-811	Pr-n	$C(Me)=CH_2$	Me	CH_2	4-F	O		
1-812	Pr-n	$C(Me)=CH_2$	Me	CH_2	4-Cl	O		
1-813	Pr-n	$C(Me)=CH_2$	Me	CH_2	4-Me	O		
1-814	Et	$C(Me)=CH_2$	Me	CH_2	H	O		
1-815	Et	$C(Me)=CH_2$	Me	CH_2	4-F	O		
1-816	Et	$C(Me)=CH_2$	Me	CH_2	4-Cl	O		
1-817	Et	$C(Me)=CH_2$	Me	CH_2	4-Me	O		
1-818	Et	OEt	Me	CH(Me)	4-Cl	O		
1-819	Et	CH(Me)CN	Me	CH(Me)	4-Cl	O		
1-820	Et	CO_2Me	Me	CH(Me)	4-Cl	O		
1-821	Et	$CHMeN(Me)_2$	Me	CH(Me)	4-Cl	O		

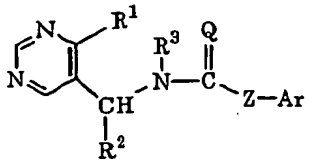
Table 25

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-822	Et	$CON(Me)_2$	Me	CH(Me)	4-Cl	O		
1-823	Et	$CHMeCONMe_2$	Me	CH(Me)	4-Cl	O		
1-824	Bu-t	Et	$CH_2C\equiv CH$	CH_2	H	O		
1-825	Bu-t	Et	$CH_2C\equiv CH$	CH_2	4-F	O		
1-826	Bu-t	Et	$CH_2C\equiv CH$	CH_2	4-Cl	O		
1-827	Bu-t	Et	$CH_2C\equiv CH$	CH_2	4-Me	O		
1-828	COPr-c	Pr-n	Me	CH_2	H	O		
1-829	COPr-c	Pr-n	Me	CH_2	4-F	O		
1-830	COPr-c	Pr-n	Me	CH_2	4-Cl	O		
1-831	COPr-c	Pr-n	Me	CH_2	4-Me	O		
1-832	Et	$C(Me)_2OH$	Me	CH_2	H	O		
1-833	Et	$C(Me)_2OH$	Me	CH_2	4-F	O		
1-834	Et	$C(Me)_2OH$	Me	CH_2	4-Cl	O		
1-835	Et	$C(Me)_2OH$	Me	CH_2	4-Me	O		
1-836	Et	$C(Me)_2Cl$	Me	CH_2	H	O		
1-837	Et	$C(Me)_2Cl$	Me	CH_2	4-F	O		
1-838	Et	$C(Me)_2Cl$	Me	CH_2	4-Cl	O		
1-839	Et	$C(Me)_2Cl$	Me	CH_2	4-Me	O		
1-840	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH_2	H	O		
1-841	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH_2	4-F	O		
1-842	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH_2	4-Cl	O		
1-843	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH_2	4-Me	O		
1-844	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH(Me)	H	O		
1-845	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH(Me)	4-F	O		
1-846	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH(Me)	4-Cl	O		
1-847	$N(Me)CH_2C\equiv CH$	Pr-i	Me	CH(Me)	4-Me	O		
1-848	CH_2CF_3	Pr-i	Me	CH_2	H	O		
1-849	CH_2CF_3	Pr-i	Me	CH_2	4-F	O		
1-850	CH_2CF_3	Pr-i	Me	CH_2	4-Cl	O		
1-851	CH_2CF_3	Pr-i	Me	CH_2	4-Me	O		
1-852	CF_2CF_3	Pr-i	Me	CH_2	H	O		106-108
1-853	CF_2CF_3	Pr-i	Me	CH_2	4-F	O		118-119
1-854	CF_2CF_3	Pr-i	Me	CH_2	4-Cl	O		122-123
1-855	CF_2CF_3	Pr-i	Me	CH_2	4-Me	O		68-69
1-856	OCF_3	Pr-i	Me	CH_2	H	O		
1-857	OCF_3	Pr-i	Me	CH_2	4-F	O		

Table 26

Compound No.	R^1	R^2	R^3	Z	Xn	Q	Diastereomer	m.p. (°C) or refractive index (n_D^{20})
1-858	OCF_3	Pr-i	Me	CH_2	4-Cl	O		
1-859	OCF_3	Pr-i	Me	CH_2	4-Me	O		
1-860	Et	CH(Me)OMe	Me	CH_2	H	O		
1-861	Et	CH(Me)OMe	Me	CH_2	4-F	O		
1-862	Et	CH(Me)OMe	Me	CH_2	4-Cl	O		
1-863	Et	CH(Me)OMe	Me	CH_2	4-Me	O		
1-864	Et	CH(Me)CN	Me	CH_2	H	O		
1-865	Et	CH(Me)CN	Me	CH_2	4-F	O		
1-866	Et	CH(Me)CN	Me	CH_2	4-Me	O		
1-867	Et	Pr-i	$CH_2C\equiv CH$	CH_2	$3,4\text{-}F_2$	O		
1-868	Et	Pr-i	$CH_2C\equiv CH$	CH_2	$2,4\text{-}F_2$	O		
1-869	Et	Pr-i	$CH_2C\equiv CH$	CH_2	3-F	O		
1-870	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	$2,4\text{-}F_2$	O		110-113
1-871	CF_3	Pr-i	Me	CH(Me) (R-isomer)	H	O	A-isomer	144-146
1-872	CF_3	Pr-i	Me	CH(Me) (R-isomer)	H	O	B-isomer	1.5164
1-873	$CClF_2$	Pr-i	Me	N(Me)	H	O		1.5341
1-874	CF_3	Pr-i	Me	NHN(Me)	H	O		72-75
1-875	$CH_2CH(OMe)_2$	Pr-i	Me	CH_2	4-Cl	O		90-91
1-876	CH_2CN	Pr-i	Me	CH_2	4-Cl	O		106-107
1-877		Et	Me	CH_2	4-Cl	O		1.5552
1-878	COMe	Et	Me	CH_2	4-Cl	O		1.5612
1-879	CMe=NOMe	Et	Me	CH_2	4-Cl	O		81-83
1-880	CF_3	Pr-i	Me	$N(Me)CH_2$	H	O		63-66
1-881	CH=NOMe	Pr-n	Me	CH(Me)	4-Cl	O		Not Measurable
1-882	CN	Pr-n	Me	CH(Me)	4-Cl	O		103-106
1-883	Et		Me	CH_2	4-Cl	O		161-162
1-884	Et	$CMe(OMe)_2$	Me	CH_2	H	O		Not Measurable
1-885	Et	CMe=NOMe	Me	CH_2	H	O		Not Measurable
1-886	Et	$CMe(OMe)_2$	Me	CH_2	4-F	O		Not Measurable
1-887	Et	COMe	Me	CH_2	4-F	O		71-73
1-888	Et	CMe=NOMe	Me	CH_2	4-F	O		1.5443
1-889	COOH	Pr-i	Me	CH_2	4-Cl	O		139-141
1-890	CN	Bu-t	Me	CHMe	4-Cl	O	B-isomer	106-108

Table 27



Compound No.	R^1	R^2	R^3	Z	Ar	Q	m.p. (° C) or refractive index (n_D^{20})
2-1	CF_3	Pr-i	Me	CH_2	2-naphtyl	O	137-138
2-2	CF_3	Pr-i	Me	CH_2	3-thienyl	O	37-38
2-3	CF_3	Pr-i	Me	CH_2	2-pyridyl	O	99-100
2-4	CF_3	Pr-i	Me	CH_2	3-pyridyl	O	93-96
2-5	CF_3	Pr-i	Me	CH_2	4-pyridyl	O	115-118
2-6	CF_3	Pr-i	Me	CH_2	2-thienyl	O	51-54
2-7	CHF_2	Pr-i	Et	CH_2	2-thienyl	O	69-71
2-8	CHF_2	Pr-i	Et	CH_2	3-thienyl	O	83-85
2-9	CF_3	Pr-i	Et	CH_2	2-thienyl	O	1.5197
2-10	CF_3	Pr-i	Et	CH_2	3-thienyl	O	1.5208
2-11	CF_3	Bu-s	Me	CH_2	2-thienyl	O	1.5215
2-12	CF_3	Bu-s	Me	CH_2	3-thienyl	O	1.5229
2-13	CF_3	Bu-t	Me	CH_2	2-thienyl	O	1.5121
2-14	CF_3	Bu-t	Me	CH_2	3-thienyl	O	58-62
2-15	CF_3	Pr-i	Me	CH(Me)	2-thienyl	O	
2-16	CF_3	Pr-i	Me	CH(Me)	3-thienyl	O	
2-17	CF_3	Bu-t	Me	CH(Me)	2-thienyl	O	
2-18	CF_3	Bu-t	Me	CH(Me)	3-thienyl	O	
2-19	CF_3	Ph	Me	CH_2	2-thienyl	O	139-142
2-20	CF_3	Ph	Me	CH_2	3-thienyl	O	142-145
2-21	SMe	Pr-i	Me	CH_2	2-thienyl	O	
2-22	SMe	Pr-i	Me	CH_2	3-thienyl	O	
2-23	Pr-i	Pr-i	Me	CH_2	2-thienyl	O	79-81
2-24	Pr-i	Pr-i	Me	CH_2	3-thienyl	O	101-103
2-25	CF_3	Pr-i	Me	CH_2	5-Cl-2-thienyl	O	94-95
2-26	CF_3	Pr-i	Me	CH_2	5-Me-2-thienyl	O	
2-27	SMe	Pr-i	Me	CH_2	5-Cl-2-thienyl	O	
2-28	SMe	Pr-i	Me	CH_2	5-Me-2-thienyl	O	

Table 28

Compound No.	R^1	R^2	R^3	Z	Ar	Q	m.p. (°C) or refractive index (n_D^{20})
2-29	Pr-i	Pr-i	Me	CH_2	5-Cl-2-thienyl	O	
2-30	Pr-i	Pr-i	Me	CH_2	5-Me-2-thienyl	O	
2-31	CF_3	Ph	Me	CH_2	5-Cl-2-thienyl	O	79-81
2-32	CF_3	Ph	Me	CH_2	5-Me-2-thienyl	O	111-113
2-33	CF_3	Ph	Me	CH(Me)	2-thienyl	O	
2-34	CF_3	Ph	Me	CH(Me)	3-thienyl	O	
2-35	CF_3	Pr-i	Me	CH_2	5-CF_3-2-thienyl	O	
2-36	CF_3	Pr-i	Me	CH_2	5-F-2-thienyl	O	
2-37	CF_3	Pr-i	Me	CH_2	3-Cl-2-thienyl	O	
2-38	CF_3	Pr-i	Me	CH_2	3-F-2-thienyl	O	
2-39	CF_3	Pr-i	Me	CH_2	5-Cl-3-thienyl	O	
2-40	CF_3	Pr-i	Me	CH_2	5-Me-3-thienyl	O	
2-41	CF_3	Pr-i	Me	CH_2	4-Br-pyrazol-1-yl	O	160-161
2-42	CF_3	Bu-t	Me	CH_2	5-Cl-2-thienyl	O	106-107
2-43	CF_3	Pr-i	Me	CH_2O	5-Cl-2-pyridyl	O	145-147
2-44	CF_3	Pr-i	Me	CH_2O	5-Cl-3-pyridyl	O	120-122
2-45	CF_3	Pr-i	Me	CH_2O	3-Cl-5-CF_3-pyridin-2-yl	O	138-141
2-46	CF_3	Pr-i	Me	CH_2O	1-Me-3-CF_3-5-Pyrazolyl	O	108-112
2-47	CF_3	Pr-i	Me	CH_2O	5-CF_3-1,3,4- thiaziazol-2-yl	O	121-122
2-48	CF_3	Pr-i	Me	CH_2O	2-benzothiazolyl	O	192-195
2-49	CF_3	Pr-i	Me	CH_2O	4-CF_3-pyridin-2-yl	O	164-167
2-50	CF_3	Pr-i	Me	CH_2	5-Me-2-thienyl	O	73-75
2-51	CF_3	Bu-i	Me	CH_2	2-thienyl	O	68-70
2-52	CF_3	Bu-i	Me	CH_2	3-thienyl	O	81-82
2-53	CF_3	Bu-t	Me	CH_2	5-Me-2-thienyl	O	94-98
2-54	CF_3	Pr-i	Me	CH_2	Pr-c	O	86-87
2-55	CF_3		Me	CH_2	2-thienyl	O	92-93
2-56	CF_3		Me	CH_2	3-thienyl	O	111-112
2-57	CF_3	Bu-s	Me	CH_2	5-Cl-2-thienyl	O	1.5295

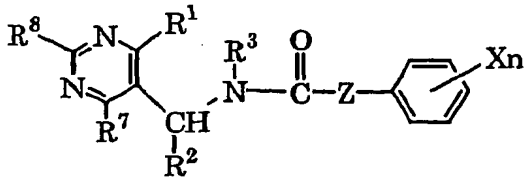
Table 29

Compound No.	R^1	R^2	R^3	Z	Ar	Q	m.p. (°C) or refractive index (n_D^{20})
2-58	CF_3		Me	CH_2	5-Cl-2-thienyl	O	Not Measurable
2-59	CF_3		Me	CH_2	5-Me-2-thienyl	O	71-74
2-60	CF_3	Pr-i	Me	CH(Me)	5-Me-2-thienyl	O	1.5141
2-61	CF_3	Pr-i	Me	CH(Me)	5-Br-2-thienyl	O	1.5331
2-62	CF_3	Pr-i	Me	CH(Me)	2-thienyl	O	Not more than 30° C
2-63	CF_3	Pr-i	Me	N(Me)	3-thienyl	O	1.5244
2-64	CF_3	Pr-i	Me	NH	2-benzothiazolyl	O	180-182
2-65	CF_3	Pr-i	Me	N(Me)	5-Cl-pyridin-2-yl	O	39-40
2-66	CF_3	Pr-i	Me	NH	cycrohexyl	O	110-112
2-67	CF_3	Pr-i	Me	N(Me)	3-Me-5-isoxazolyl	O	1.4939
2-68	CF_3	Pr-i	Me	N(Me)	4-MeO-6-Me-pyrimidin-2-yl	O	107-110
2-69	CF_3	Pr-i	Me	N(Me)	4,6-$(MeO)_2$-1,3,5-triazinyl	O	112-113
2-70	CF_3	Pr-i	Me	N(Me)	6-MeO-pyridin-3-yl	O	1.5079
2-71	Pr-i	Pr-i	Me	CH_2	5-Cl-2-thienyl	O	112-115
2-72	CF_3	Pr-i	Me	N(Me)	cycrohexyl	O	98-100
2-73	Et	Pr-i	$CH_2C\equiv CH$	CH_2	5-Cl-2-thienyl	O	90-91
2-74	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	5-Cl-2-thienyl	O	117-118
2-75	Et	Pr-i	Me	CH_2	5-Cl-2-thienyl	O	Not Measurable
2-76	Pr-i	CH_2OMe	Me	CH_2	5-Cl-2-thienyl	O	1.5488
2-77	CH_2OMe	Pr-i	Me	CH_2	5-Cl-2-thienyl	O	1.5508
2-78	CF_3	Pr-i	$CH_2C\equiv CH$	CH_2	3-thienyl	O	112-114
2-79	Pr-i	Pr-i	$CH_2C\equiv CH$	CH_2	3-thienyl	O	128-131
2-80	CF_2Cl	Pr-i	Me	O	1-naphtyl	O	123-126
2-81	CF_3	Pr-i	Me	CH_2	5-CF_3-1,3,4-thiadizol-2-yl	O	
2-82	CF_3	Pr-i	Me	CH_2	4-CF_3-1,3,4-imidazol-2-yl	O	
2-83	CF_3	Pr-i	Me	CH_2	2-Cl-5-oxazolyl	O	
2-84	CF_3	Pr-i	Me	CH_2	2-Cl-5-thiazolyl	O	
2-85	CF_3	Pr-i	Me	CH_2	5-Cl-2-furyl	O	

Table 30

Compound No.	R^1	R^2	R^3	Z	Ar	Q	m.p. (° C) or refractive index (n_D^{20})
2-86	CF_3	Pr-i	Me	CH_2	2-benzoxazolyl	O	
2-87	Et	Pr-i	Me	CH_2	2-thienyl	O	
2-88	Et	Pr-i	Me	CH_2	3-thienyl	O	
2-89	Et	Pr-i	Me	CH_2	5-Me-2-thienyl	O	
2-90	Et	Pr-i	Me	CH(Me)	2-thienyl	O	
2-91	Et	Pr-i	Me	CH(Me)	3-thienyl	O	
2-92	Et	Pr-i	Me	CH(Me)	5-Cl-2-thienyl	O	
2-93	Et	Pr-i	Me	CH(Me)	5-Me-2-thienyl	O	
2-94	Et	Pr-i	$CH_2C{\equiv}CH$	CH_2	2-thienyl	O	
2-95	Et	Pr-i	$CH_2C{\equiv}CH$	CH_2	3-thienyl	O	
2-96	Et	Pr-i	$CH_2C{\equiv}CH$	CH_2	5-Me-2-thienyl	O	
2-97	Et	Bu-s	Me	CH_2	2-thienyl	O	
2-98	Et	Bu-s	Me	CH_2	3-thienyl	O	
2-99	Et	Bu-t	Me	CH_2	2-thienyl	O	
2-100	Et	Bu-t	Me	CH_2	3-thienyl	O	
2-101	Et	Bu-s	$CH_2C{\equiv}CH$	CH_2	2-thienyl	O	
2-102	Et	Bu-s	$CH_2C{\equiv}CH$	CH_2	3-thienyl	O	
2-103	Et	Bu-t	$CH_2C{\equiv}CH$	CH_2	2-thienyl	O	
2-104	Et	Bu-t	$CH_2C{\equiv}CH$	CH_2	3-thienyl	O	
2-105	CF_3	Pr-i	Me	N(Me)	2-thienyl	O	
2-106	CF_3	Pr-i	Me	N(Me)	5-Cl-2-thienyl	O	
2-107	CF_3	Pr-i	Me	N(Me)	5-Me-2-thienyl	O	
2-108	Et	Pr-i	Me	N(Me)	2-thienyl	O	
2-109	Et	Pr-i	Me	N(Me)	3-thienyl	O	
2-110	Et	Pr-i	Me	N(Me)	5-Cl-2-thienyl	O	
2-111	Et	Pr-i	Me	N(Me)	5-Me-2-thienyl	O	
2-112	CF_3	Pr-i	Me	$NHCH_2$	2-thienyl	O	110-112

Table 31

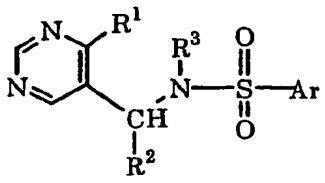


Compound No.	R_1	R_2	R_3	Z	Xn	R_7	R_8	m.p. (°C) or refractive index (n_D^{20})
3-1	CF_3	Pr-i	Me	CH_2	H	H	Me	99-101
3-2	CF_3	Pr-i	Me	CH_2	4-F	H	Me	74-75
3-3	CF_3	Pr-i	Me	CH_2	4-Cl	H	Me	67-68
3-4	CF_3	Pr-i	Me	CH_2	4-Me	H	Me	85-86
3-5	CF_3	Pr-i	Me	CH_2	H	H	Pr-c	144-145
3-6	CF_3	Pr-i	Me	CH_2	4-F	H	Pr-c	131-132
3-7	CF_3	Pr-i	Me	CH_2	4-Cl	H	Pr-c	99-100
3-8	CF_3	Pr-i	Me	CH_2	4-Me	H	Pr-c	82-83
3-9	CF_3	Pr-i	Me	CH_2	H	Me	H	
3-10	CF_3	Pr-i	Me	CH_2	4-F	Me	H	
3-11	CF_3	Pr-i	Me	CH_2	4-Cl	Me	H	
3-12	CF_3	Pr-i	Me	CH_2	4-Me	Me	H	
3-13	Me	Pr-i	Me	CH_2	H	Me	H	
3-14	Me	Pr-i	Me	CH_2	4-F	Me	H	
3-15	Me	Pr-i	Me	CH_2	4-Cl	Me	H	
3-16	Me	Pr-i	Me	CH_2	4-Me	Me	H	
3-17	Pr-i	Pr-i	Me	CH_2	H	Me	H	
3-18	Pr-i	Pr-i	Me	CH_2	4-F	Me	H	
3-19	Pr-i	Pr-i	Me	CH_2	4-Cl	Me	H	
3-20	Pr-i	Pr-i	Me	CH_2	4-Me	Me	H	
3-21	Me	Bu-t	Me	CH_2	H	Me	H	
3-22	Me	Bu-t	Me	CH_2	4-F	Me	H	
3-23	Me	Bu-t	Me	CH_2	4-Cl	Me	H	
3-24	Me	Bu-t	Me	CH_2	4-Me	Me	H	
3-25	Et	Et	Me	CH_2	H	Et	H	
3-26	Et	Et	Me	CH_2	4-F	Et	H	

Table 32

Compound No.	R_1	R_2	R_3	Z	Xn	R_7	R_8	m.p. (°C) or refractive index (n_D^{20})
3-27	Et	Et	Me	CH_2	4-Cl	Et	H	
3-28	Et	Et	Me	CH_2	4-Me	Et	H	
3-29	CF_3	Pr-i	Me	CH_2	H	H	SMe	
3-30	CF_3	Pr-i	Me	CH_2	4-F	H	SMe	
3-31	CF_3	Pr-i	Me	CH_2	4-Cl	H	SMe	
3-32	CF_3	Pr-i	Me	CH_2	4-Me	H	SMe	
3-33	CF_3	Ph	Me	CH_2	H	Me	H	
3-34	CF_3	Ph	Me	CH_2	4-F	Me	H	
3-35	CF_3	Ph	Me	CH_2	4-Cl	Me	H	
3-36	CF_3	Ph	Me	CH_2	4-Me	Me	H	
3-37	CF_3	Pr-i	Me	CH_2	H	CF_3	H	
3-38	CF_3	Pr-i	Me	CH_2	4-F	CF_3	H	
3-39	CF_3	Pr-i	Me	CH_2	4-Cl	CF_3	H	
3-40	CF_3	Pr-i	Me	CH_2	4-Me	CF_3	H	
3-41	OMe	Pr-i	Me	CH_2	4-Cl	OMe	H	107-109
3-42	CF_3	Pr-i	Me	O	4-Cl	H	Me	104-107
3-43	CF_3	Pr-i	Me	O	4-Cl	H	Pr-c	1.5178

Table 33



Compound No.	R^1	R^2	R^3	Ar	m.p. (° C) or refractive index (n_D^{20})
4-1	CF_3	Pr-i	Me	Ph(4-Cl)	1.5246
4-2	Et	Pr-i	Me	Ph	1.5446
4-3	Et	Pr-i	Me	Ph(4-F)	1.5399
4-4	Et	Pr-i	Me	Ph(3-F)	1.541
4-5	Et	Pr-i	Me	Ph(2-F)	1.5441
4-6	Et	Pr-i	Me	Ph(4-Cl)	1.5562
4-7	Et	Pr-i	Me	Ph(4-Me)	1.5475
4-8	Et	Pr-i	Me	Ph(4-CN)	1.5527
4-9	Et	Pr-i	Me	Ph(4-OMe)	102-103
4-10	Et	Pr-i	Me	Ph(4-NO_2)	106-107
4-11	Pr-i	Pr-i	Me	Ph(4-F)	93-95
4-12	CH_2OMe	Pr-i	Me	Ph(4-F)	1.5365
4-13	$CH(OEt)_2$	Pr-i	Me	Ph(4-F)	1.5234
4-14	Et	Pr	$CH_2C\equiv CH$	Ph(4-F)	1.5445
4-15	CF_3	Pr-i	Me	Ph	1.518
4-16	Pr-i	Pr-i	Me	Ph(4-CF_3)	
4-17	CF_3	Pr-i	Me	Ph(4-F)	
4-18	CF_3	Pr-i	Me	Ph(3-F)	
4-19	CF_3	Pr-i	Me	Ph(2-F)	
4-20	CF_3	Pr-i	Me	Ph(4-Me)	
4-21	CF_3	Pr-i	Me	Ph(4-CN)	
4-22	CF_3	Pr-i	Me	Ph(4-OMe)	
4-23	CF_3	Pr-i	Me	Ph(4-NO_2)	
4-24	CF_3	Pr-i	$CH_2C\equiv CH$	Ph(4-F)	
4-25	CF_3	Pr-i	Me	Ph(2-Me)	
4-26	Et	Pr-i	Me	Ph(2-Me)	1.5512
4-27	CF_3	Pr-i	M	Ph(3-Me)	
4-28	Et	Pr-i	Me	Ph(3-Me)	1.5499

Table 34

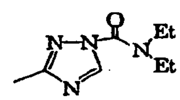
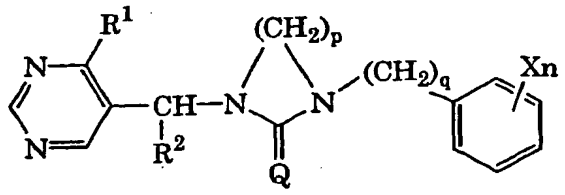
Compound No.	R^1	R^2	R^3	Ar	m. p. (° C) or refractive index (n_D^{20})
4-29	CF_3	Pr-i	$CH_2C\equiv CH$	Ph(4-F)	
4-30	Et	Pr-i	$CH_2C\equiv CH$	Ph(4-Cl)	
4-31	CF_3	Pr-i	$CH_2C\equiv CH$	Ph(4-Cl)	
4-32	Et	Pr-i	$CH_2C\equiv CH$	Ph	
4-33	CF_3	Pr-i	$CH_2C\equiv CH$	Ph	
4-34	Et	Pr-i	$CH_2C\equiv CH$	Ph(4-Me)	
4-35	CF_3	Pr-i	$CH_2C\equiv CH$	Ph(4-Me)	
4-36	CF_2Cl	Pr-i	Me	Ph	1.5382
4-37	CF_2Cl	Pr-i	Me	Ph(4-F)	1.4929
4-38	Pr-i	Pr-i	Me		132-133
4-39	Pr-i	Pr-i	Me		
4-40	Pr-i	Pr-i	Me	Ph(3-F)	
4-41	Pr-i	Pr-i	Me	Ph(2-F)	
4-42	Pr-i	Pr-i	Me	Ph(4-Me)	
4-43	Pr-i	Pr-i	Me	Ph(4-CN)	
4-44	Pr-i	Pr-i	Me	Ph(4-OMe)	
4-45	Pr-i	Pr-i	Me	Ph(4-NO_2)	
4-46	Pr-i	Pr-i	$CH_2C\equiv CH$	Ph(4-F)	
4-47	Pr-i	Pr-i	Me	Ph(2-Me)	
4-48	Pr-i	Pr-i	Me	Ph(3-Me)	
4-49	Pr-i	Pr-i	$CH_2C\equiv CH$	Ph(4-F)	
4-50	Pr-i	Pr-i	$CH_2C\equiv CH$	Ph(4-Cl)	
4-51	Pr-i	Pr-i	$CH_2C\equiv CH$	Ph	
4-52	Pr-i	Pr-i	$CH_2C\equiv CH$	Ph(4-Me)	
4-53	Et	Pr-i	Me	Ph(4-CF_3)	1.5117
4-54	Et	Et	Me	Ph(4-F)	1.5462
4-55	Et	Pr-i	Me	2-thienyl	109-110

Table 35

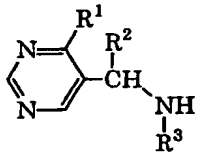


Compound No.	R^1	R^2	p	Q	q	Xn	m.p. (° C) or refractive index (n_D^{20})
5-1	CF_3	Pr-i	2	O	0	H	126–128
5-2	CF_3	Pr-i	2	O	0	4-F	1.5279
5-3	CF_3	Pr-i	2	O	0	4-Cl	
5-4	CF_3	Pr-i	2	O	0	4-Me	
5-5	CF_3	Pr-i	3	O	0	H	
5-6	CF_3	Pr-i	3	O	0	4-F	
5-7	CF_3	Pr-i	3	O	0	4-Cl	
5-8	CF_3	Pr-i	3	O	0	4-Me	
5-9	CF_3	Pr-i	2	O	1	H	93-95
5-10	CF_3	Pr-i	2	O	1	4-F	1.5090
5-11	CF_3	Pr-i	2	O	1	4-Cl	
5-12	CF_3	Pr-i	2	O	1	4-Me	
5-13	CF_3	Pr-i	3	O	1	H	1.5181
5-14	CF_3	Pr-I	3	O	1	4-F	
5-15	CF_3	Pr-i	3	O	1	4-Cl	
5-16	CF_3	Pr-i	3	O	1	4-Me	
5-17	CF_3	Pr-i	3	S	0	H	
5-18	CF_3	Pr-i	3	S	0	4-F	
5-19	CF_3	Pr-i	3	S	0	4-Cl	
5-20	CF_3	Pr-i	3	S	0	4-Me	
5-21	CF_3	Pr-i	3	S	1	H	
5-22	CF_3	Pr-i	3	S	1	4-F	
5-23	CF_3	Pr-i	3	S	1	4-Cl	
5-24	CF_3	Pr-i	3	S	1	4-Me	
5-25	CF_3	Pr-i	2	S	1	H	138–140

Table 36

Compound No.	R^1	R^2	p	Q	q	Xn	m.p. (° C) or refractive index (n_D^{20})
5-26	CF_3	Pr-i	2	S	1	4-F	
5-27	CF_3	Pr-i	2	S	1	4-Cl	
5-28	CF_3	Pr-i	2	S	1	4-Me	
5-29	Et	Pr-i	3	O	0	H	
5-30	Et	Pr-i	3	O	0	4-F	
5-31	Et	Pr-i	3	O	0	4-Cl	
5-32	Et	Pr-i	3	O	0	4-Me	
5-33	Et	Pr-i	2	O	1	H	
5-34	Et	Pr-i	2	O	1	4-F	
5-35	Et	Pr-i	2	O	1	4-Cl	
5-36	Et	Pr-i	2	O	1	4-Me	
5-37	Et	Pr-i	3	O	1	H	
5-38	Et	Pr-I	3	O	1	4-F	
5-39	Et	Pr-i	3	O	1	4-Cl	
5-40	Et	Pr-i	3	O	1	4-Me	
5-41	Et	Pr-i	3	S	0	H	
5-42	Et	Pr-i	3	S	0	4-F	
5-43	Et	Pr-i	3	S	0	4-Cl	
5-44	Et	Pr-i	3	S	0	4-Me	
5-45	Et	Pr-i	3	S	1	H	
5-46	Et	Pr-i	3	S	1	4-F	
5-47	Et	Pr-i	3	S	1	4-Cl	
5-48	Et	Pr-i	3	S	1	4-Me	

Table 37



Compound No.	R^1	R^2	R^3	m.p. (° C), refractive index (n_D^{20}) or NMR (δ (ppm), 300MHz, $CDCl_3$)
6-1	Pr-i	Pr-i	Me	44-45
6-2	Pr-i	Et	Me	1.4902
6-3	Et	Pr-i	Me	0.86(3H,d); 0.99(3H,d); 1.32(3H,t); 1.65(1H,br); 1.85-1.95(1H,m); 2.23(3H,s); 2.77-2.95(2H,m); 3.58(1H,d); 8.68(1H,s); 9.02(1H,s)
6-4	Pr	Pr-i	Me	0.86(3H,d); 0.99(3H,d); 1.00(3H,t); 1.44(1H,br); 1.73-1.83(2H,m); 1.83-1.95(1H,m); 2.23(3H,s); 2.68-2.90(2H,m); 3.59(1H,d); 8.69(1H,s); 9.01(1H,s)
6-5	Pr-i	Pr	Me	1.4929
6-6	Et	Bu-t	Me	74-75
6-7	Bu-t	Et	Me	1.02(3H,t); 1.46(9H,s); 1.65-1.72(1H,m); 2.33(3H,s); 4.16(1H,t); 8.85(1H,s); 9.00(1H,s)
6-8	Pr-i	$CH(OEt)_2$	Me	1.4794
6-9	$CH(OEt)_2$	Pr-i	Me	0.85(3H,d); 0.99(3H,d); 1.24(3H,t); 1.45(1H,br); 1.95-2.04(1H,m); 2.23(1H,s); 3.53-3.66(2H,m); 3.72-4.04(2H,m); 4.03(1H,d); 5.57(1H,s); 8.87(1H,s); 9.09(1H,s)

Table 38

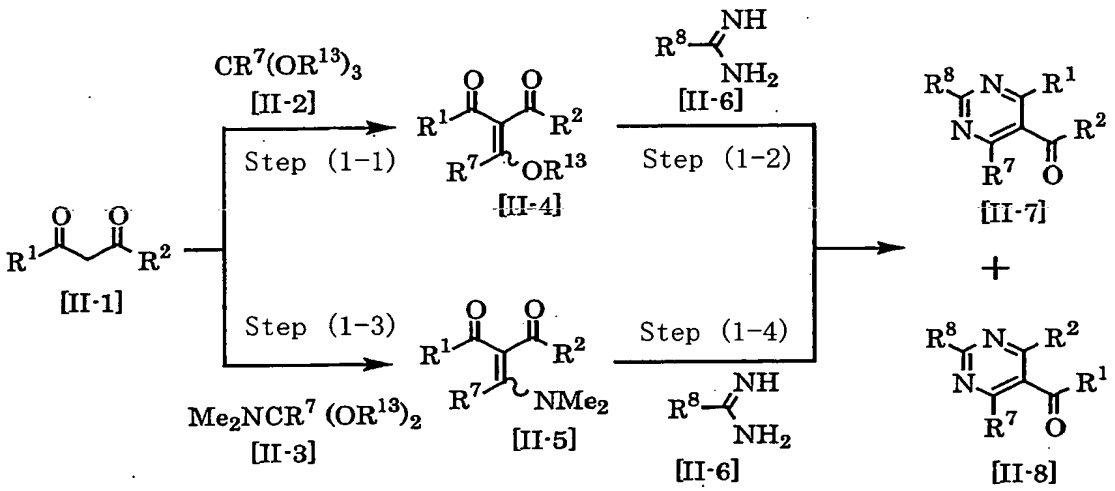
Compound No.	R^1	R^2	R^3	m.p. (° C), refractive index (n_D^{20}) or NMR (δ (ppm), 300MHz, $CDCl_3$)
6-10	$CH(OEt)_2$	Pr-n	Me	1.4811
6-11	$CH(OEt)_2$	Bu-t	Me	1.4781
6-12	Pr	Pr	Me	1.4978
6-13	Et	Pr	Me	
6-14	Pr	Et	Me	
6-15	Pr-i	CH_2OMe	Me	1.29(3H,d), 1.29(3H,d), 2.29(3H,s), 3.39(3H,s), 3.30-3.46(2H,m), 3.30-3.46(1H,m), 4.1(1H,dd), 8.80(1H,s), 9.07(1H,s)
6-16	CH_2OMe	Pr-i	Me	0.83(3H,d); 1.01(3H,d); 1.89-2.63(1H,m); 2.22(3H,s); 3.46(3H,s); 3.61(1H,d); 4.64(2H,q); 8.80(1H,s); 9.10)1H,s)
6-17	SMe	Pr-i	Me	1.5509
6-18	Pr-i	Pr-i	$CH_2C\equiv CH$	0.86(3H,d); 1.01(3H,d); 1.88-1.95(1H,m); 2.22(1H,t); 2.95(1H,dd); 3.38-3.48(1H,m); 3.38-3.44(1H,dd); 4.04(1H,d); 8.71(1H,s); 9.06(1H,s)
6-19	Pr-i	Et	$CH_2C\equiv CH$	
6-20	Et	Pr-i	$CH_2C\equiv CH$	1.5185
6-21	Pr	Pr-i	$CH_2C\equiv CH$	46-48
6-22	Pr-i	Pr	$CH_2C\equiv CH$	0.86(3H,d); 0.93(3H,d); 1.29(3H,d); 1.29(3H,d); 1.27-1.42(2H,m); 1.55-1.70(2H,m); 2.23(1H,t); 3.03(1H,dd); 3.40(1Hdd); 3.37-3.50(1H,m); 4.29(1H,t); 8.74(1H,s); 9.05(1H,s)
6-23	Et	Bu-t	$CH_2C\equiv CH$	0,95(9H,s); 1.33(3H,t); 2.22(1H,t); 2.88(1F,dd); 2.93(2H,q); 3.41(1H,dd); 4.11(1H,s); 8.79(1H,s); 9.02(1H,s)

Table 39

Compound No.	R^1	R^2	R^3	m.p. (° C), refractive index (n_D^{20}) or NMR (δ (ppm), 300MHz, $CDCl_3$)
6-24	Bu-t	Et	$CH_2C\equiv CH$	
6-25	Pr	Pr	$CH_2C\equiv CH$	
6-26	Et	Pr	$CH_2C\equiv CH$	0.87(3H,t); 0.94(3H,t); 1.18-1.45(2H,m); 1.33(3H,t); 1.55-1.74(2H,m); 2.45(1H,t); 2.87(2H,q); 3.04(1H,dd); 3.43(1H,dd); 4.25(1H,t); 8.75(1H,s); 9.01(1H,s)
6-27	Pr	Et	$CH_2C\equiv CH$	
6-28	Et	CH(Me)(SMe)	N(Me)	0.89(3H,t); 0.94(3H,t); 1.47(1H,br); 1.66(3H,d); 1.68(3H,d); 1.60-1.81(2H,m); 2.05(3H,s); 2.07(3H,s); 2.29(3H,s); 2.33(3H,s); 3.77(1H,t); 3.86(1H,t); 4.33(1H,q); 4.42(1H,q); 8.71(1H,s); 8.77(1H,s); 9.08(1H,s)
6-29	Ph	Pr-i	N(Me)	1.5632
6-30	Pr-i	Me	N(Me)	1.5012
6-31	Bu-t	Me	N(Me)	72-73
6-32	Pr-i	Ph	N(Me)	1.5598
6-33	$CMe(OMe)_2$	Pr-i	N(Me)	75-76
6-34	Pr-i		N(Me)	79-78
6-35	Pr-i	CH=NOMe	N(Me)	1.5079
6-36		Pr-i	N(Me)	1.5089
6-37	Et	Et	N(Me)	1.5049

The compound of the present invention can be produced, for example, by the following processes, but is not restricted to such processes. Further, syntheses of intermediates will also be described.

Process 1



In the formulae, R^1, R^2, R^7 and R^8 have the same meanings as defined above, respectively, and R^{13} is a C_1-C_6 alkyl group.

Namely, in step (1-1), 1 equivalent of a compound represented by the formula [II-1] is reacted with from 1 to 10 equivalents of a compound represented by the formula [II-2] in acetic anhydride to obtain a compound represented by the formula [II-4]. Here, from 0.01 to 1.0 equivalent of a catalyst (such as zinc chloride) may be added, as the case requires.

The reaction is carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux

temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (1-2), 1 equivalent of a compound represented by the formula [II-4] is reacted with from 1 to 10 equivalents of a Lewis acid salt of a compound represented by the formula [II-6] in the presence of from 1 to 10 equivalents of a base in an inert solvent to obtain a compound represented by the formula [II-7]. By this reaction, in some cases, a compound represented by the formula [II-8] will also be obtained as a by-product.

Here, the inert solvent may, for example, be an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The base may, for example, be an alkali metal such as sodium or potassium, an alkali metal alkoxide such as sodium methoxide or potassium tert-butoxide, or an alkali metal hydride such as sodium hydride or potassium hydride.

The Lewis acid may, for example, be acetic acid or hydrochloric acid.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried

out at an optional temperature from −10°C to the reflux temperature in the reaction system and will be completed in from 1 hour to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Further, in step (1-3), 1 equivalent of a compound represented by the formula [II-1] is reacted with from 1 to 10 equivalents of a compound represented by the formula [II-3] in an inert solvent or without using any solvent, to obtain a compound represented by the formula [II-5].

Here, the inert solvent may, for example, be a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Further, in step (1-4), 1 equivalent of a compound represented by the formula [II-5] is reacted with from 1 to 5 equivalents of a Lewis acid salt of a compound

represented by the formula [II-6] in an inert solvent in the presence of from 1 to 10 equivalents of a base to obtain a compound represented by the formula [II-7]. In this reaction, sometimes, a compound represented by the formula [II-8] will also be obtained as a by-product.

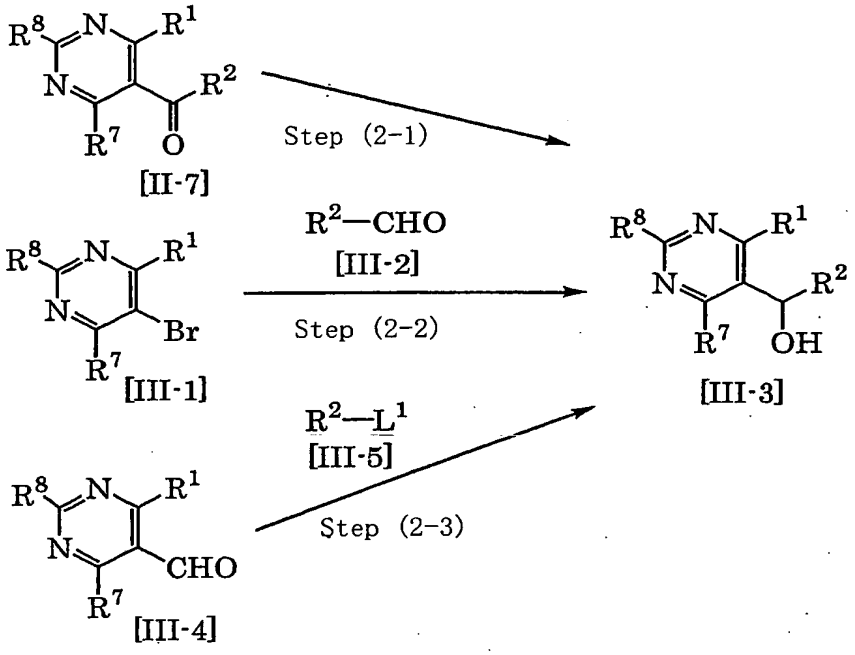
The inert solvent may, for example, be an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The base may, for example, be an alkali metal such as sodium or potassium, an alkali metal alkoxide such as sodium methoxide or potassium tert-butoxide, or an alkali metal hydride such as sodium hydride or potassium hydride.

The Lewis acid may, for example, be acetic acid or hydrochloric acid.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 2



L^1 represents a halogen atom, and R^1, R^2, R^7 and R^8 in the formulae, have the same meanings as defined above, respectively.

Namely, in step (2-1), 1 equivalent of a compound represented by the formula [II-7] is reduced with from 0.5 to 10 equivalents of a reducing agent (such as a borane-tert-butylamine complex or sodium borohydride) in an inert solvent to obtain a compound represented by the formula [III-3].

The inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol, tert-butyl alcohol or methyl alcohol.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried

out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

In step (2-2), 1 equivalent of a compound represented by the formula [III-1] is reacted with from 1 to 10 equivalents of a compound represented by the formula [III-2] in an inert solvent in the presence of from 1 to 10 equivalents of magnesium, or an alkyl lithium such as methyl lithium, ethyl lithium or n-butylithium, to obtain a compound represented by the formula [III-3].

Here, the inert solvent may, for example, be an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -100°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the

case requires.

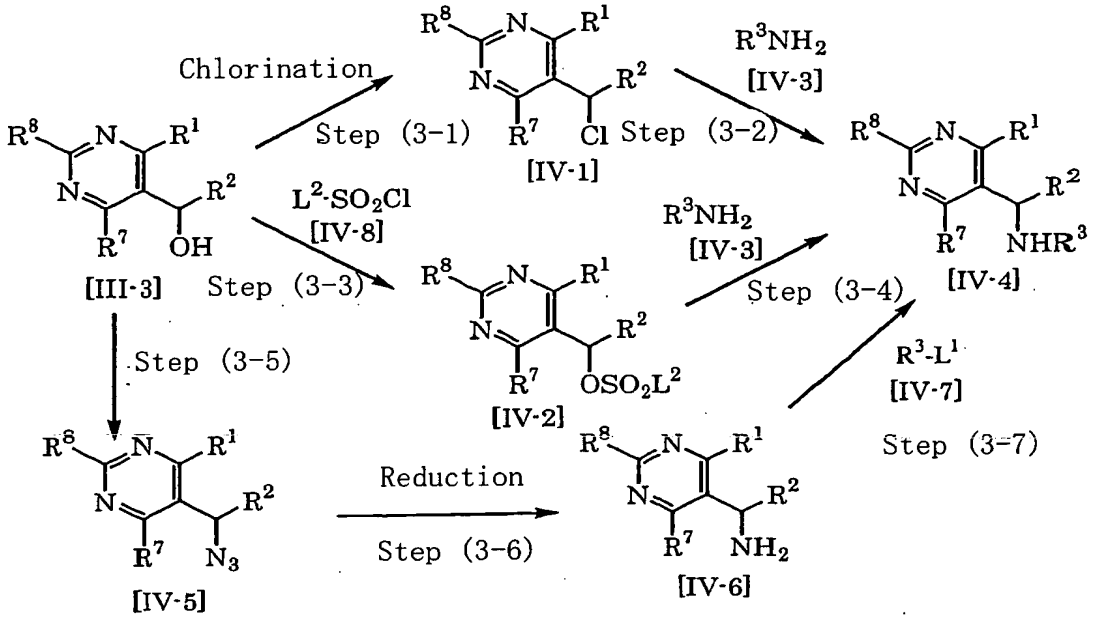
Further, the compound represented by the formula [III-1] as the intermediate to be used in the above production process, can be synthesized, for example, by a method disclosed in e.g. the specification of international application WO97/37978.

In step (2-3), 1 equivalent of a compound represented by the formula [III-4] is reacted with from 1 to 10 equivalents of a compound represented by the formula [III-5] in an inert solvent in the presence of from 1 to 10 equivalents of magnesium or an alkyl lithium such as methyl lithium, ethyl lithium or n-butylithium, to obtain a compound represented by the formula [III-3].

Here, the inert solvent may, for example, be an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -100°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 3



In the formulae, L^2 represents a C_1-C_6 alkyl group or a phenyl group which may be substituted by a C_1-C_6 alkyl group, and R^1, R^2, R^3, R^7, R^8 and L^1 have the same meanings as defined above, respectively.

Namely, in step (3-1), 1 equivalent of a compound represented by the formula [III-3] is chlorinated with from 1 to 10 equivalents of a chlorinating agent (such as thionyl chloride or hydrogen chloride) in an inert solvent, to obtain a compound represented by the formula [IV-1].

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-

hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

In step (3-2), 1 equivalent of a compound represented by the formula [IV-1] is reacted with from 1 to 10 equivalents of a compound represented by the formula [IV-3] in an inert solvent, to obtain a compound represented by the formula [IV-4].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be

purified by distillation or column chromatography, as the case requires.

Further, in step (3-3), 1 equivalent of a compound represented by the formula [III-3] is reacted with from 1 to 10 equivalents of a compound represented by the formula [IV-8] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain a compound represented by the formula [IV-2].

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or a pyridine such as pyridine.

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from

the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Further, in step (3-4), 1 equivalent of a compound represented by the formula [IV-2] is reacted with from 2 to 10 equivalents of a compound represented by the formula [IV-3] in an inert solvent, to obtain a compound represented by the formula [IV-4].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

In step (3-5), 1 equivalent of a compound represented by the formula [III-3] is azidated with from 1 to 10 equivalents of an azidation agent such as tosyl azide, diphenylphospholyl azide, sodium azide, lithium

azide or hydrogen azide in the presence or absence of boron trifluoridediethylether complex, triphenyl phosphine and trifluoroacetic acid in an inert solvent, to obtain a compound represented by the formula [IV-5].

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

In step (3-6), 1 equivalent of a compound represented by the formula [IV-5] is treated with from 1 to 10 equivalents of reducing agent such as magnesium, lithium aluminum hydride, sodium borohydride, triphenylphosphine, or iron, or subjected to a hydrogenation catalytic reduction with a catalyst such as palladium carbon, platinum carbon or Raney Nickel, to

obtain a compound represented by the formula [IV-6] in an inert solvent.

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, an alcohol such as methyl alcohol or ethyl alcohol, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

In step (3-7), 1 equivalent of a compound represented by the formula [IV-6] is reacted with from 1 to 10 equivalents of a compound represented by the formula [IV-7] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain a compound represented by the formula [IV-4].

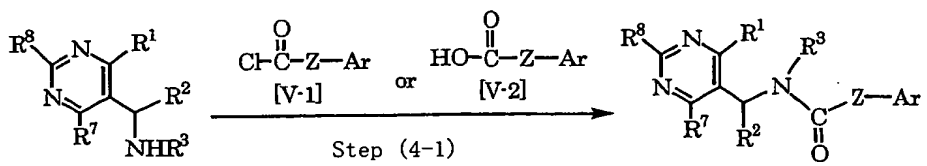
Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, an alcohol such as methyl

alcohol or ethyl alcohol, a hydrocarbon such as n-hexane, benzene, toluene or xylene, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a pyridine such as pyridine, or water.

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 4



[IV-4] [V]

In the formulae, R^1, R^2, R^3, R^7, R^8, Z and Ar have the same meanings as defined above, respectively.

Namely, in step (4-1), 1 equivalent of a compound represented by the formula [IV-4] is reacted with from 1 to 10 equivalents of a compound represented by the formula [V-1] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, or 1 equivalent of a compound represented by the formula [IV-4] is reacted with from 1 to 10 equivalents of a compound represented by the formula [V-2] in an inert solvent in the presence of from 1 to 10 equivalents of a condensing agent (such as 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride or 1,1'-carbonylbis-1H-imidazole), to obtain the desired compound of the present invention represented by the formula [V].

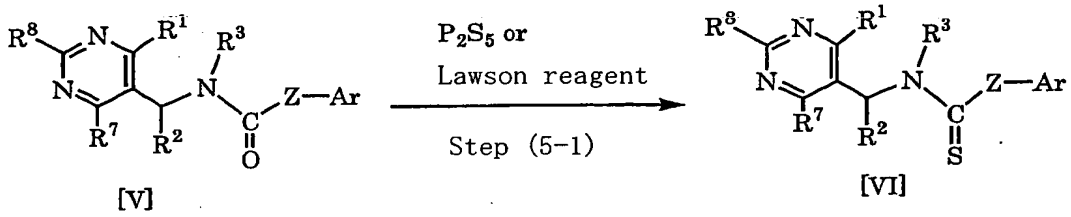
Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, diisopropyl ether, tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide.

The base may, for example, be an inorganic base such as potassium carbonate, sodium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate, sodium hydroxide or potassium hydroxide, or an organic base such as pyridine or triethylamine.

Each reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried

out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 5



[V] [VI]

In the formulae, R^1, R^2, R^3, R^7, R^8, Z and Ar have the same meanings as defined above, respectively.

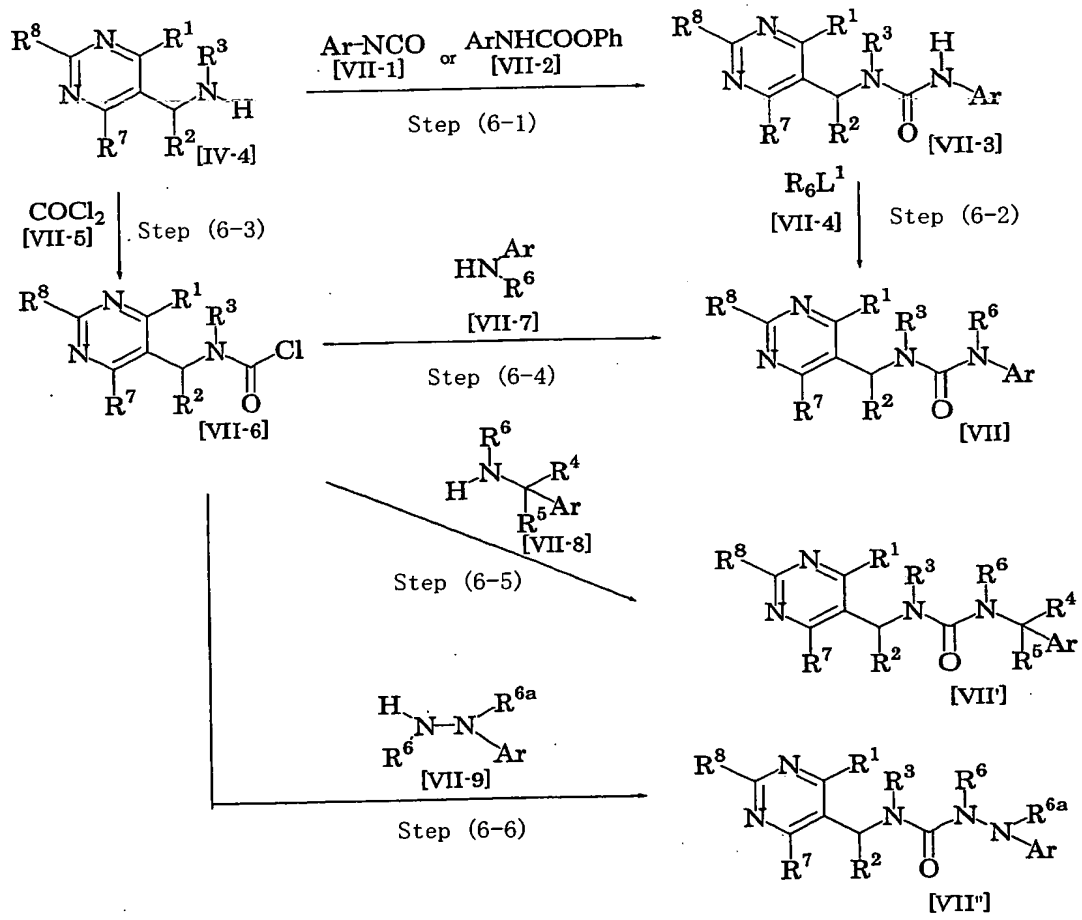
Namely, in step (5-1), 1 equivalent of the compound of the present invention represented by the formula [V] is reacted with from 0.3 to 10 equivalents of diphosphorus pentasulfide or a Lawson reagent in an inert solvent, to obtain the desired compound of the present invention represented by the formula [VI].

Here, the inert solvent may, for example, be a hydrocarbon such as n-hexane, benzene, toluene or xylene, or a pyridine such as pyridine.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux

temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 6



In the formulae, R^1, R^2, R^3, R^4, R^5, R^6, R^{6a}, R^7, R^8, Ar and L^1 have the same meanings as defined above, respectively.

Namely, in step (6-1), 1 equivalent of a compound of

the formula [IV-4] is reacted with from 0.5 to 5 equivalents of a compound represented by the formula [VII-1] or a compound represented by the formula [VII-2] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain the desired compound of the present invention represented by the formula [VII-3].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, an alcohol such as methyl alcohol, isopropyl alcohol or ethyl alcohol, a hydrocarbon such as n-hexane, benzene, toluene or xylene, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed

in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (6-2), 1 equivalent of the compound of the present invention represented by the formula [VII-3] is reacted with from 1 to 10 equivalents of a compound represented by the formula [VII-4] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain the desired product of the present invention represented by the formula [VII].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or water.

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

The reaction may be carried out in a nitrogen

stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

In step (6-3), 1 equivalent of a compound represented by the formula [IV-4] is reacted with from 1 to 10 equivalents of a compound represented by the formula [VII-5] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain a compound represented by the formula [VII-6].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, an alcohol such as methyl alcohol or ethyl alcohol, a hydrocarbon such as n-hexane, benzene, toluene or xylene, an aprotic polar solvent such

as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (6-4), step (6-5) and step (6-6), 1 equivalent of a compound represented by the formula [VII-6] is reacted with from 1 to 10 equivalents of a compound represented by the formula [VII-7], a compound represented by the formula [VII-8] and a compound represented by the formula [VII-9] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain the compound of the present invention represented by the formula [VII], a compound represented by the formula [VII'] and a compound represented by the formula [VII''].

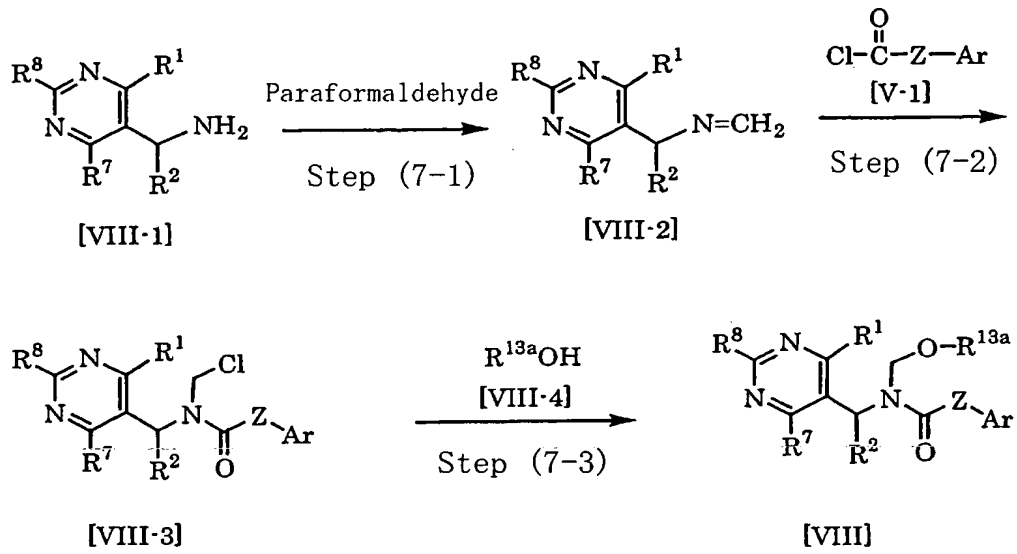
The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium

hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 7



In the formulae, R^1, R^2, R^7, R^8, Z and Ar have the same meanings as defined above, respectively, and R^{13a} is a C_1-C_6 alkyl group.

Namely, in step (7-1), 1 equivalent of a compound represented by the formula [VIII-1] is reacted with from 1 to 10 equivalents of paraformaldehyde in an inert solvent (depending upon the conditions, using a Dean Stark or adding a catalyst), to obtain a compound represented by the formula [VIII-2].

Here, the inert solvent may, for example, be a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The catalyst may, for example, be an organic base such as triethylamine.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried

out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (7-2), 1 equivalent of the compound represented by the formula [VIII-2] is reacted with from 1 to 10 equivalents of a compound represented by the formula [V-1] in an inert solvent, to obtain a compound represented by the formula [VIII-3].

Here, the inert solvent may, for example, be a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Further, in step (7-3), 1 equivalent of the compound of the formula [VIII-3] is reacted with from 1 to 4 equivalents of a compound represented by the formula [VIII-4] in an inert solvent in the presence or absence

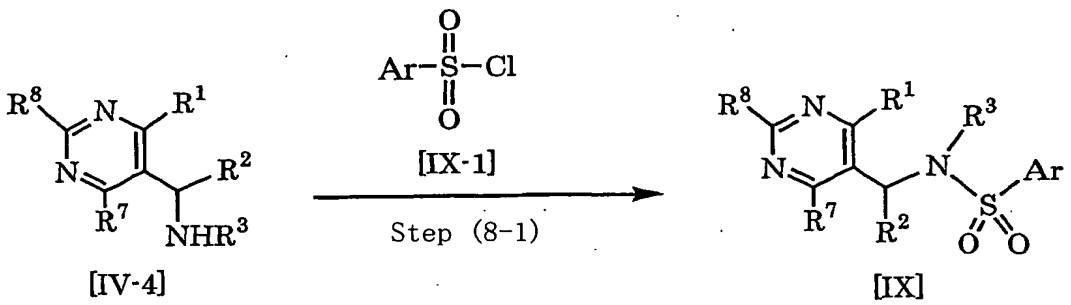
of from 1 to 10 equivalents of a base, to obtain the compound of the present invention, represented by the formula [VIII].

Here, the inert solvent may, for example, be a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The base may, for example, be an inorganic base such as potassium carbonate, sodium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate, sodium hydroxide or potassium hydroxide, or an organic base such as pyridine or triethylamine.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 8



In the formulae, R^1, R^2, R^3, R^7, R^8 and Ar have the

same meanings as defined above, respectively.

Namely, in step (8-1), 1 equivalent of a compound represented by the formula [IV-4] is reacted with from 1 to 10 equivalents of a compound represented by the formula [IX-1] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain the desired compound of the present invention represented by the formula [IX].

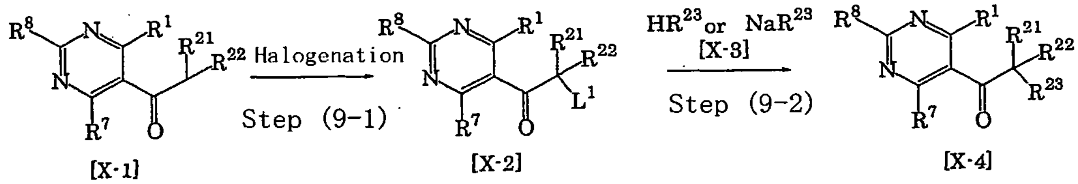
The base may, for example, be an inorganic base such as potassium carbonate, sodium carbonate, potassium hydrogencarbonate, sodium hydrogencarbonate, sodium hydroxide or potassium hydroxide, or an organic base such as pyridine or triethylamine.

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and

may be purified by distillation or column chromatography, as the case requires.

Process 9



In the formulae, R^1, R^7, R^8 and L^1 have the same meanings as defined above, respectively, and each of R^{21} and R^{22} is a hydrogen atom or a C_1-C_6 alkyl group, R^{23} is a C_1-C_6 alkoxy group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkylthio group, a cyano group or NR^9R^{10}, and R^9 and R^{10} have the same meanings as defined above, respectively.

Namely, in step (9-1), 1 equivalent of a compound represented by the formula [X-1] is halogenated with from 1 to 10 equivalents of a chlorinating agent (such as sulfuryl chloride, N-chlorosuccinimide or chlorine) in an inert solvent, to obtain a compound represented by the formula [X-2].

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as chloroform or dichloromethane, an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen atmosphere, as the case requires. The reaction is

carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (9-2), 1 equivalent of the compound represented by the formula [X-2] is reacted with from 1 to 10 equivalents of a compound represented by the formula [X-3] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain a compound represented by the formula [X-4].

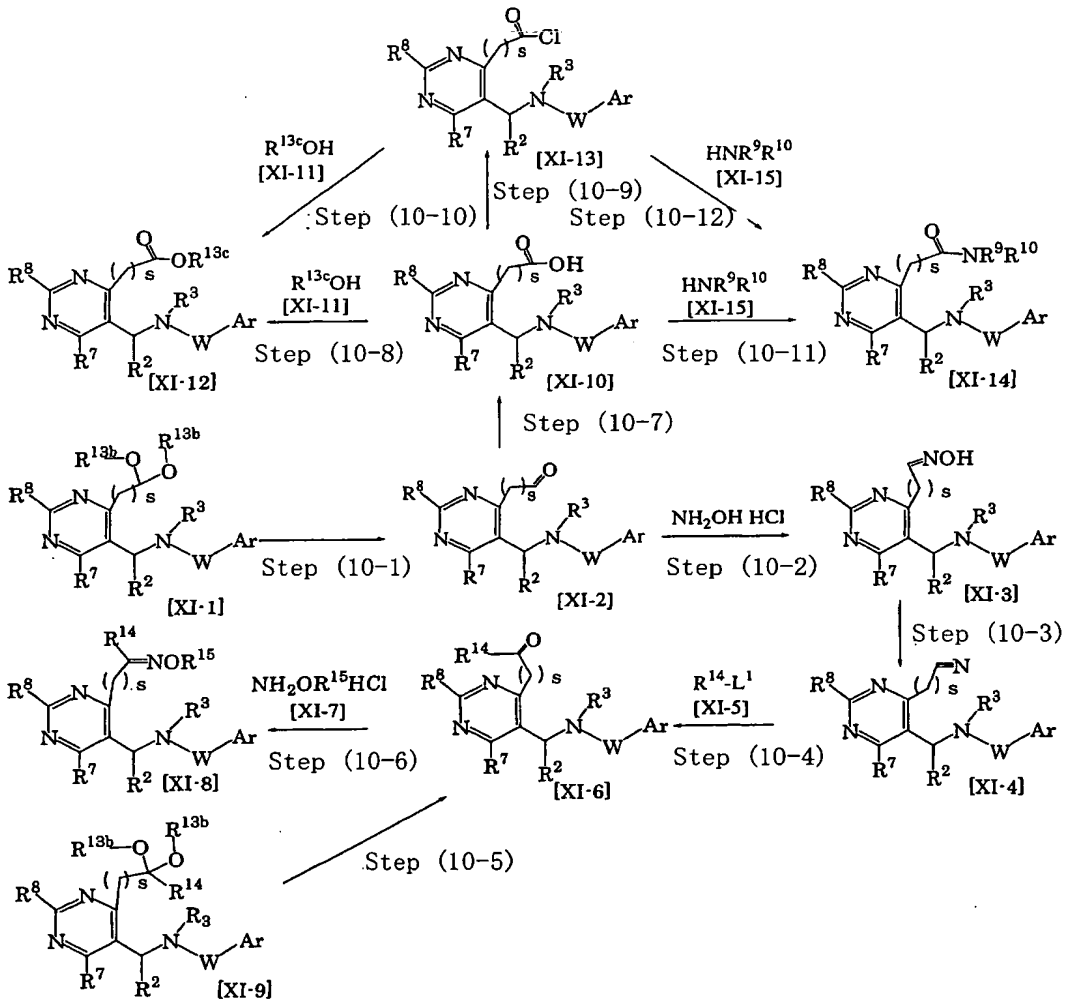
The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried

out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 10



In the formulae, R^2, R^3, R^7, R^8, R^9, R^{10}, W, L^1 and Ar

have the same meanings as defined above, respectively, R^{13b} is a C_1-C_6 alkyl group, or a C_1-C_4 saturated carbon chain, two of which may be bonded to each other, R^{13c} is a C_1-C_6 alkyl group, each of R^{14} and R^{15} is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group or a C_3-C_6 cycloalkyl group, and s is 0 or 1.

Namely, in step (10-1), 1 equivalent of a compound represented by the formula [XI-1] is reacted with from 0.9 to 20 equivalents of an acid such as hydrochloric acid or sulfuric acid in an inert solvent, to obtain the desired compound of the present invention represented by the formula [XI-2].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, a ketone such as acetone or methyl ethyl ketone, water, or a mixed solution thereof.

The reaction may be carried out in a nitrogen stream as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-2), 1 equivalent of the compound of the present invention represented by the formula [XI-

2] is reacted with from 1 to 10 equivalents of hydroxylamine hydrochloride in an inert solvent in the presence of sodium acetate, potassium acetate, sodium carbonate or potassium carbonate, to obtain the desired compound of the present invention represented by the formula [XI-3].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide, or a diethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-3), 1 equivalent of a compound represented by the formula [XI-3] is reacted with from 1 to 10 equivalents of a dehydrating agent in an inert solvent, to obtain the compound of the present invention

represented by the formula [XI-4].

The dehydrating agent may, for example, be 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride, 1,1'-carbonyldiimidazole, thionyl chloride, phosphorus pentachloride, methanesulfonyl chloride, diphosgene, p-toluene sulfonyl chloride, or acetic anhydride.

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-4), 1 equivalent of the compound of the present invention represented by the formula [XI-4] is reacted with from 1 to 10 equivalents of a compound represented by the formula [XI-5] and with of from 1 to

10 equivalents of an alkyl lithium such as methyl lithium, ethyl lithium or n-butylithium, or magnesium in an inert solvent, to obtain a compound represented by the formula [XI-6].

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Here, the inert solvent may, for example be an ether such as diethyl ether, tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or water.

Further, in step (10-5), 1 equivalent of the compound of the present invention represented by the formula [XI-9] is reacted with from 0.9 to 20 equivalents of an acid such as hydrochloric acid or sulfuric acid in an inert solvent, to obtain the desired compound of the present invention represented by the formula [XI-6].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, a ketone such as acetone or methyl ethyl ketone, water, or a mixed solution thereof.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-6), 1 equivalent of the compound of the present invention represented by the formula [XI-6] is reacted with from 1 to 10 equivalents of a compound represented by the formula [XI-7] in an inert solvent in the presence of 1 to 10 equivalents of sodium acetate, potassium acetate, sodium carbonate or potassium carbonate, to obtain the desired compound of the present invention represented by the formula [XI-8].

Here, the inert solvent may, for example be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried

out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-7), 1 equivalent of the compound of the present invention represented by the formula [XI-2] is reacted with from 1 to 10 equivalents of an oxidizing agent such as potassium permanganate, peracetic acid, hydrogen peroxide, m-chloroperbenzoic acid or sodium hypochlorite in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base such as sodium hydroxide or potassium hydroxide, to obtain the desired compound of the present invention represented by the formula [XI-10].

Here, the inert solvent may, for example, be a ketone such as acetone or methyl ethyl ketone, an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, water or a mixed solution thereof.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies

depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-8), 1 equivalent of the compound of the present invention represented by the formula [XI-10] is reacted with from 1 to 50 equivalents of a compound represented by the formula [XI-11] in an inert solvent or without using any solvent in the presence of e.g. sulfuric acid or p-toluene sulfonic acid, to obtain the desired compound of the present invention represented by the formula [XI-12].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography,

as the case requires.

In step (10-9), 1 equivalent of the compound of the present invention represented by the formula [XI-10] is reacted with from 1 to 10 equivalents of a chlorinating agent such as thionyl chloride in an inert solvent, to obtain a compound represented by the formula [XI-13].

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an ether such as diethyl ether, tetrahydrofuran or dioxane, or a hydrocarbon such as n-hexane, benzene, toluene or xylene.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (10-10), 1 equivalent of the compound represented by the formula [XI-13] is reacted with from 1 to 3 equivalents of a compound represented by the formula [XI-11] in an inert solvent in the presence or absence of from 1 to 6 equivalents of a base, to obtain the desired compound of the present invention represented by the formula [XI-12].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Further, in step (10-11), 1 equivalent of the compound of the present invention represented by the formula [XI-10] is reacted with from 1 to 3 equivalents

of a compound represented by the formula [XI-15] in an inert solvent in the presence or absence of from 1 to 6 equivalents of a base by using a peptidizing agent such as 1,1'-carbonylbis-1H-imidazole or N,N'-dicyclohexylcarbodiimide, to obtain the desired compound of the present invention represented by the formula [XI-14].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be

completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

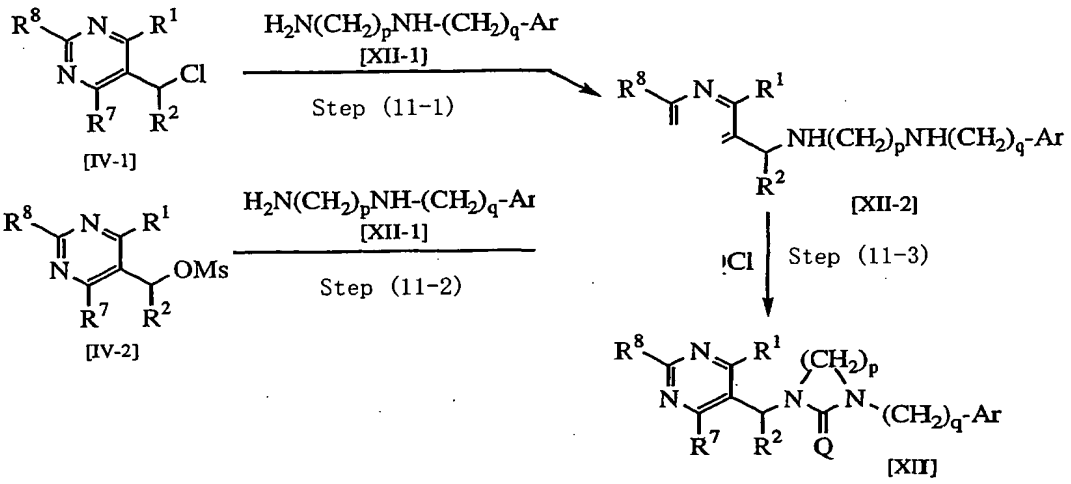
In step (10-12), 1 equivalent of the compound represented by the formula [XI-13] is reacted with from 1 to 3 equivalents of a compound represented by the formula [XI-15] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain the desired compound of the present invention represented by the formula [XI-14].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from room temperature to the reflux temperature in the reaction system and will be completed in from 1 to 100 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Process 11



In the formulae, R^1, R^2, R^7, R^8, Q and Ar have the same meanings as defined above, respectively, Ms is a methanesulfonyl group, p is 2, 3 or 4, and q is 1 or 0.

Namely, in steps (11-1) and (11-2), 1 equivalent of a compound represented by the formula [IV-1] or a compound represented by the formula [IV-2] is reacted with from 0.9 to 3 equivalents of a compound represented

by the formula [XII-1] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain a compound represented by the formula [XII-2].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the

case requires.

Then, in step (11-3), 1 equivalent of the compound represented by the formula [XII-2] is reacted with from 1 to 10 equivalents of phosgene or thiophosgene in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain the desired compound of the present invention, as represented by the formula [XII].

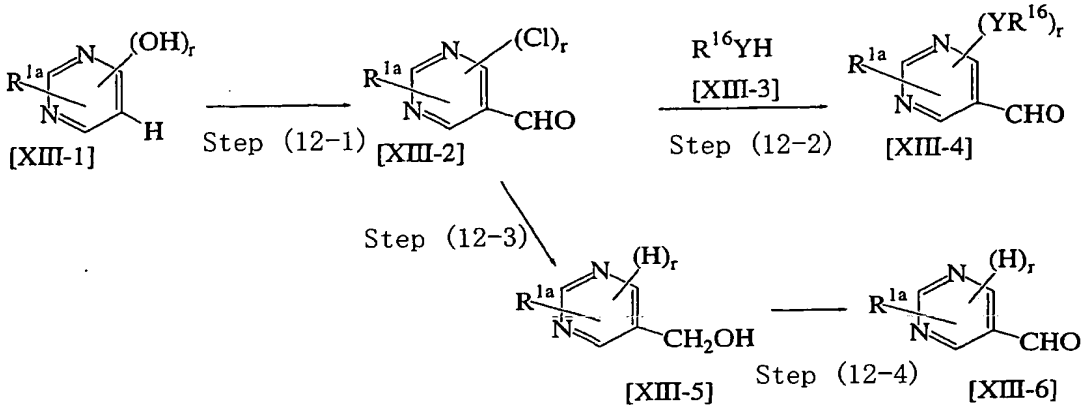
The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-butoxide.

Here, the inert solvent may, for example, be an ether such as diethyl ether, tetrahydrofuran or dioxane, a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be

purified by distillation or column chromatography, as the case requires.

Process 12



In the formulae, R^{1a} is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group, a phenyl group or a C_1-C_4 haloalkyl group, R^{16} is a hydrogen atom, a C_1-C_6 alkyl group, a C_2-C_6 alkenyl group, a C_2-C_6 alkynyl group, a C_1-C_6 alkoxy C_1-C_6 alkyl group, a C_3-C_6 cycloalkyl group or a phenyl group, Y is an oxygen atom, a sulfur atom or NR^9, R^9 has the same meaning as defined above, and r is 1 or 2.

Namely, in step (12-1), 1 equivalent of a compound represented by the formula [XIII-1] is reacted with from 1 to 10 equivalents of N-methylformanilide or N,N-dimethylformamide and from 1 to 20 equivalents of phosphorusoxychloride in an inert solvent or without using any solvent, to obtain a compound represented by the formula [XIII-2].

Here, the inert solvent may, for example, be an ether such as diethyl ether, tetrahydrofuran or dioxane, a halogenated hydrocarbon such as dichloromethane, chloroform, carbon tetrachloride, chlorobenzene or dichlorobenzene, a hydrocarbon such as n-hexane, benzene, toluene or xylene, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it depends upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (12-2), 1 equivalent of the compound represented by the formula [XIII-2] is reacted with from 1 to 3 equivalents of a compound represented by the formula [XIII-3] in an inert solvent in the presence or absence of from 1 to 10 equivalents of a base, to obtain a compound represented by the formula [XIII-4].

The base may, for example, be sodium hydride, pyridine, triethylamine, 1,8-diazabicyclo[5.4.0]-7-undecene, sodium hydroxide, potassium hydroxide, calcium hydroxide, magnesium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogencarbonate, potassium hydrogencarbonate, sodium methoxide or potassium tert-

butoxide.

Here, the solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Further, in step (12-3), 1 equivalent of the compound represented by the formula [XIII-2] is subjected to hydrogenation and reacted in an inert solvent by using from 1 to 8 equivalents of manganese oxide and from 0.01 to 4 equivalents of a catalyst such as palladium carbon or Raney Nickel, to obtain a compound represented by the formula [XIII-5].

Here, the inert solvent may, for example, be an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether,

tetrahydrofuran or dioxane, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine or water.

The reaction is carried out at an optional temperature from -10°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Then, in step (12-4), 1 equivalent of the compound represented by the formula [XIII-5] is subjected to an oxidation reaction in an inert solvent by using from 1 to 10 equivalents of oxalyl chloride, from 1 to 10 equivalents of dimethylsulfoxide and from 1 to 10 equivalents of triethylamine or the like, to obtain a compound represented by the formula [XIII-6].

Here, the inert solvent may, for example, be a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an alcohol such as ethyl alcohol, isopropyl alcohol or methyl alcohol, an ether such as diethyl ether, tetrahydrofuran or dioxane, an aprotic polar solvent such as acetonitrile, N,N-dimethylformamide or dimethylsulfoxide, a hydrocarbon such as n-hexane, benzene, toluene or xylene, a pyridine such as pyridine, or water.

The reaction may be carried out in a nitrogen stream, as the case requires. The reaction is carried out at an optional temperature from -80°C to the reflux temperature in the reaction system and will be completed in from 1 to 24 hours, although it varies depending upon the compound. The desired product can be isolated from the reaction solution by a usual method and may be purified by distillation or column chromatography, as the case requires.

Now, the processes for production, a formulation method and the application of the compound of the present invention will be described in detail with reference to Examples. Further, the processes for production of intermediates in the synthesis of the compound of the present invention will also be described.

PREPARATION EXAMPLE 1

Preparation of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]phenylacetamide (compound No. 1-8 of the present invention)

6g (26 mmol) of 4-trifluoromethyl-5-[1-(N-methylamino)-2-methylpropyl]pyrimidine and 3.6g (26 mmol) of potassium carbonate were dissolved in 150 mℓ of acetonitrile, and 4g (26 mmol) of phenylacetyl chloride was dropwise added, followed by stirring at room temperature for 3 hours. To the reaction solution, 200 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with

water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the obtained crude crystals were washed with n-hexane to obtain 7.7g (yield: 85%) of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]phenylacetamide as colorless transparent crystals (melting point: 106-109°C).

PREPARATION EXAMPLE 2

Preparation of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]-2-pyridylacetamide (compound No. 2-3 of the present invention)

0.3g (1.7 mmol) of 2-pyrimidinylacetate hydrochloride and 0.18g (1.8 mmol) of triethylamine were dissolved in 30 mℓ of tetrahydrofuran, and 0.28g (1.7 mmol) of 1,1'-carbonylbis-1H-imidazole was added, followed by stirring at room temperature for 1 hour. Then, 0.4g (1.7 mmol) of 4-trifluoromethyl-5-[1-(N-methylamino)-2-methylpropyl]pyrimidine was added, followed by heating and refluxing for further 3 hours. To the reaction solution, 100 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the obtained crude product was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate:methanol=4.5:4.5:1) to obtain 0.2g (yield: 33%)

of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]-2-pyridylacetamide as colorless transparent crystals (melting point: 99-100°C).

PREPARATION EXAMPLE 3

Preparation of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]phenylthioacetamide (compound No. 1-142 of the present invention)

0.45g (1.3 mmol) of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]phenylthioacetamide and 0.52g (1.3 mmol) of a Lawson reagent were dissolved in 30 mℓ of toluene, followed by heating and refluxing for 30 hours. To the reaction solution, 100 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried with anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the obtained crude product was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=3:1) to obtain 0.12g (yield: 26%) of N-methyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]phenylthioacetamide as slightly yellow crystals (melting point: 93-94°C).

PREPARATION EXAMPLE 4

Preparation of N-methoxymethyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]-4-chlorophenylacetamide (compound No. 1-105 of the present invention)

1.0g (4.6 mmol) of 4-trifluoromethyl-5-[1-amino-2-methylpropyl]pyrimidine, 0.23g (6.9 mmol)of paraformaldehyde and 0.1g (9.9 mmol) of triethylamine were dissolved in 50 mℓ of toluene. Heating and refluxing were carried out for 1 hour while removing water from the reaction system by means of Dean's Stark. The reaction solution was returned to room temperature, and 0.86g (4.6 mmol) of 4-chlorophenylacetylchloride was dropwise added, followed by stirring for further 2 hours. To this solution, 10 mℓ of a toluene solution containing 0.2g (6.2 mmol) of methanol and 0.5g (4.9 mmol) of triethylamine, was dropwise added, followed by stirring at room temperature for 1 hour. To the reaction solution, 100 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the obtained crude product was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=3:1) to obtain 0.5g (yield: 26%) of N-methoxymethyl-N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)propyl]-4-chlorophenylacetamide as colorless transparent crystals (melting point: 142-145°C).

PREPARATION EXAMPLE 5

Preparation of N-methyl-N-[2-methyl-1-(4-chlorodifluoromethylpyrimidin-5-yl)propyl]-N'-(4-

methylphenyl)urea (compound No. 1-37 of the present invention)

0.50g (2.1 mmol) of 4-chlorodifluoromethyl-5-[1-(N-methylamino)-2-methylpropyl]pyrimidine and 0.28g (2.1 mmol) of 4-methylphenyl isocyanate were dissolved in 30 mℓ of isopropyl ether, followed by stirring at room temperature for 1 hour. Precipitated crystals were collected by filtration to obtain 0.65g (yield: 84%) of N-methyl-N-[2-methyl-1-(4-chlorodifluoromethylpyrimidin-5-yl)propyl]-N'-(4-methylphenyl)urea as colorless transparent crystals (melting point: 135-137°C).

PREPARATION EXAMPLE 6

Preparation of 1,3-dimethyl-1-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-3-phenylurea (compound No. 1-424 of the present invention)

0.8g (3.4 mmol) of 4-trifluoromethyl-5-[1-(N-methylamino)-2-methylpropyl]pyridine was dissolved in 30 mℓ of chloroform, and 5 mℓ of a chloroform solution of 0.45g (3.8 mmol) of phenyl isocyanate was dropwise added, followed by stirring at room temperature for 10 hours. To the reaction solution, 50 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the obtained crude crystals were washed with n-hexane to obtain 1.0g (yield: 83%) of 1-methyl-1-[2-methyl-1-(4-trifluoromethyl-

pyrimidin-5-yl)-propyl]-3-phenylurea. 0.5g (1.4 mmol) of the obtained 1-methyl-1-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-3-phenylurea was dissolved in 30 mℓ of tetrahydrofuran, and 0.06g (2.5 mmol) of sodium hydride was added, followed by stirring at room temperature for 0.5 hour. Then, 0.22g (1.6 mmol) of methyl iodide was dropwise added, followed by stirring at room temperature for 4 hours. To the reaction solution, 50 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the obtained crude product was purified by silica gel chromatography (developing solvent/n-hexane:ethyl acetate 9:1 to 3:1) to obtain 0.28g (yield: 53.8%) of 1,3-dimethyl-1-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl-3-phenylurea as colorless crystals (melting point: 104-105°C).

PREPARATION EXAMPLE 7

Preparation of N-[1-(4-ethyl-pyrimidin-5-yl)-2-methylpropyl]-4-fluoro-N-methyl-benzenesulfonamide (compound No. 4-3 of the present invention)

0.4g (0.2 mmol) of [1-(4-ethyl-pyrimidin-5-yl)-propyl]-methyl-amine was dissolved in 20 mℓ of pyridine, and 0.43g (0.22 mmol) of p-fluorobenzenesulfonyl chloride was dropwise added, followed by stirring at room temperature for 10 hours. To the reaction solution, 50

mℓ of water was added, followed by extraction with diethyl ether. The obtained organic phase was washed twice with 30 mℓ of a dilute citric acid aqueous solution and then dried over anhydrous magnesium sulfate. Diethyl ether was distilled off under reduced pressure, and the obtained crude product was purified by silica gel chromatography (developing solvent/n-hexane:ethyl acetate 4:1 to 1:1) to obtain 0.4g (yield: 56%) of (N-[1-(4-ethyl-pyrimidin-5-yl)-2-methylpropyl]-4-fluoro-N-methyl-benzenesulfonamide as a colorless oil (n_D^{20}=1.5399).

PREPARATION EXAMPLE 8

Preparation of 1-(4-chlorobenzyl)-1,3-dimethyl-3-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-urea (compound No. 1-532 of the present invention)

0.16g (1.0 mmol) of (4-chlorobenzyl)-methylamine was dissolved in 30 mℓ of pyridine, and 0.3g (1.0 mmol) of N-methyl-N-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-carbamoyl chloride was dropwise added, followed by stirring at room temperature for 10 hours. To the reaction solution, 50 mℓ of water was added, followed by extraction with diethyl ether. The obtained organic phase was washed twice with 30 mℓ of a dilute citric acid aqueous solution, followed by drying over anhydrous magnesium sulfate. Diethyl ether was distilled off under reduced pressure, and the obtained crude product was purified by silica gel chromatography (developing solvent/n-hexane:ethyl acetate=8:1 to 3:1) to obtain

0.22g (yield: 52%) of 1-(4-chlorobenzyl)-1,3-dimethyl-3-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-urea as colorless crystals (melting point: 95-98°C).

PREPARATION EXAMPLE 9

Preparation of N-[1-(4-diethoxymethylpyrimidin-5-yl)-2-methylpropyl]-N-methyl-2-phenyl acetamide (compound No. 1-453 of the present invention)

8.3g (0.031 mol) of [1-(4-diethoxymethylpyrimidin-5-yl)-2-methylpropyl]methylamine and 6.4g (46 mmol) of potassium carbonate were added to 100 mℓ of acetonitrile, and then 5.8g (0.038 mol) of phenylacetyl chloride was dropwise added at room temperature and reacted for 2 hours. After completion of the reaction, the product was poured into water and extracted with ethyl acetate. The organic layer was washed with an aqueous citric acid solution, water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was purified by silica gel column chromatography (ethyl acetate:n-hexane=1:5 to ethyl acetate), to obtain 8.4g (yield: 70%) of N-[1-(4-diethoxymethylpyrimidin-5-yl)-2-methylpropyl]-N-methyl-2-phenyl acetamide as colorless viscous liquid (n_D^{20}=1.5253).

PREPARATION EXAMPLE 10

Preparation of N-[1-(4-formylpyrimidin-5-yl)-2-methylpropyl]-N-methyl-4-fluorophenylacetamide (compound No. 1-523 of the present invention)

8.4g (2.1 mmol) of N-[1-(4-diethoxymethylpyrimidin-5-yl)-2-methylpropyl]-N-methy4-fluorophenylacetamide was dissolved in 100 mℓ of acetone, and 13 mℓ of 6N hydrochloric acid was added and reacted at room temperature for 5 hours. After completion of the reaction, the reaction solution was concentrated, and an aqueous sodium hydrogencarbonate solution was added to alkaline, followed by extraction with ethyl acetate. The organic layer was washed with an aqueous citric acid solution, water and an aqueous sodium chloride solution, in this order, dried and concentrated, and the obtained oily product was purified by silica gel column chromatography (ethyl acetate:n-hexane=1:5 to ethyl acetate) to obtain 5.3g (yield: 77%) of N-[1-(4-formylpyrimidin-5-yl)-2-methylpropyl]-N-methyl-4-fluorophenylacetamide as colorless viscous liquid (n_D^{20}=1.5466).

PREPARATION EXAMPLE 11

Preparation of N-[1-(4-hydroxyiminomethylpyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide (compound No. 1-500 of the present invention)

1.0g (3.2 mmol) of N-[1-(4-formylpyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide was dissolved in 30 mℓ of methanol, and 0.45g (6.5 mmol) of hydroxylamine hydrochloride and 0.63g (6.4 mmol) of potassium acetate were added and reacted at room temperature for 1 hour. After completion of the reaction, the product was poured

into water and extracted with ethyl acetate. The organic layer was washed with an aqueous sodium hydrogencarbonate solution, an aqueous citric acid solution, water and an aqueous sodium chloride solution, in this order, dried and concentrated, and the obtained oily product was purified by silica gel column chromatography (ethyl acetate:n-hexane=1:1 to ethyl acetate) to obtain 0.45g (yield: 43%) of N-[1-(4-hydroxyiminomethylpyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide as colorless crystals (melting point: 171-172°C).

PREPARATION EXAMPLE 12

Preparation of N-[1-(4-cyanopyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide (compound No. 1-504 of the present invention)

0.25g (0.77 mmol) of N-[1-(4-hydroxyiminomethylpyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide was dissolved in 30 mℓ of chloroform, and 0.16g (0.83 mmol) of 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride was added and reacted at room temperature for 8 hours. After completion of the reaction, the solvent was distilled off, and water was added, followed by extraction with ethyl acetate. The organic layer was washed with water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was purified by silica gel column chromatography (ethyl acetate:n-hexane=1:1 to ethyl

acetate) to obtain 0.19g (yield: 88%) of N-[1-(4-cyanopyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide as colorless crystals (melting point: 80-81°C).

PREPARATION EXAMPLE 13

Preparation of 2-(4-chlorophenyl)-N-[1-(4,6-dimethoxypyrimidin-5-yl)-2-methylpropyl]-N-methyl acetamide (compound No. 3-41 of the present invention)

0.80g (3.8 mmol) of 1-(4,6-dimethoxypyrimidin-5-yl)-2-methylpropylamine, 0.59g (4.2 mmol) of methyl iodide and 0.46g (4.6 mmol) of triethylamine were added to 10 mℓ of N,N-dimethylacetamide and reacted at 80°C for 1 hour. After completion of the reaction, the product was poured into water and extracted with toluene. The organic layer was washed with water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was supplied to the subsequent reaction without purification. 0.20g (0.89 mmol) of this oily product and 0.22g (1.6 mmol) of potassium carbonate were added to 20 mℓ of acetonitrile, and then 0.30g (1.6 mmol) of 4-chlorophenylacetyl chloride was added at room temperature and reacted overnight. After completion of the reaction, the product was poured into water and extracted with ethyl acetate. The organic layer was washed with an aqueous citric acid solution, water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was purified

by preparative HPLC (ethyl acetate:n-hexane=1:1) to obtain 0.21g (yield: 15%, 2 steps) of 2-(4-chlorophenyl)-N-[1-(4,6-dimethoxypyrimidin-5-yl)-2-methylpropyl]-N-methyl acetamide as colorless crystals (melting point: 107-109°C).

PREPARATION EXAMPLE 14

Preparation of 1-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-3-phenylimidazolin-2-one (compound No. 5-1 of the present invention)

1.05g (4.2 mol) of 5-(1-chloro-2-methylpropyl)-4-trifluoromethylpyrimidine and 0.61 (4.2 mol) of N-phenylethylenediamine were added to 10 mℓ of isopropyl alcohol, followed by stirring at room temperature for 6 hours. After completion of the reaction, the reaction solution was concentrated, then poured into water and extracted with ethyl acetate, and purified by silica gel column chromatography (ethyl acetate:n-hexane=1:1 to ethyl acetate) to obtain 0.38g of N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)-propyl]-N'-phenylethane-1,2-diamine. Then, 0.38g (1 mmol) of N-[2-methyl-1-(4-trifluoromethylpyrimidin-5-yl)-propyl]-N'-phenylethane-1,2-diamine and 0.5g (5 mmol) of triethylamine were added to 10 mℓ of dichloromethane, and a dichloromethane solution containing 0.2g (2 mmol) of phosgene was dropwise added under cooling with ice. After the dropwise addition, stirring was further continued at room temperature for 1 hour to terminate the reaction. After

termination of the reaction, the product was poured into water, washed with an aqueous sodium hydrogencarbonate solution, dried and concentrated, and the obtained oily product was purified by silica gel column chromatography (ethyl acetate:n-hexane=1:1 to ethyl acetate) to obtain 0.25g (yield: 61%) of 1-[2-methyl-1-(4-trifluoromethyl-pyrimidin-5-yl)-propyl]-3-phenylimidazolin-2-one as colorless crystals (melting point: 126-128°C).

PREPARATION EXAMPLE 15

Preparation of 5-(1-{[2-(4-chlorophenyl)-propionyl]methylamino}-2-methylpropyl)-pyrimidine-4-carboxylic acid (compound No. 1-718 of the present invention)

A solution comprising 1.0g (3.2 mmol) of N-[1-(4-formylpyrimidin-5-yl)-2-methylpropyl]-N-methylphenylacetamide and 10 mℓ of tetrahydrofuran, was added to a solution comprising 0.23g (4.1 mmol) of potassium hydroxide and 10 mℓ of water. Then, 0.88g (5.56 mmol) of potassium permanganate was further added. Then, the mixture was heated at 80°C for 3 hours. After completion of the reaction, sodium sulfite was added, followed by filtration. The filtrate was acidified with hydrochloric acid and then extracted with ethyl acetate, and the extract was washed with an aqueous sodium chloride solution, dried over anhydrous magnesium sulfate and concentrated to obtain a crude product. This crude product was dissolved in a mixed solution of toluene,

ether and acetone, followed by extraction with an aqueous potassium hydroxide solution. Then, extract was acidified with diluted hydrochloric acid and extracted with ethyl acetate. The extract was dried over magnesium sulfate, concentrated and further washed with isopropyl ether to obtain 0.33g (yield: 32%) of 5-(1-{[2-(4-chlorophenyl)-propionyl]methylamino}-2-methylpropyl)-pyrimidine-4-carboxylic acid (diastereomer A-isomer) as slightly blown crystals (melting point: 168-170°C).

PREPARATION EXAMPLE 16

Preparation of 5-(1-{[2-(4-chlorophenyl)-propionyl]methylamino}-2-methylpropyl)-pyrimidine-4-carboxylic acid methyl ester (diastereomer A-isomer) (compound No. 1-592 of the present invention)

A few drops of concentrated sulfuric acid were added to a methanol solution of 2.00g (5.32 mmol) of 5-(1-{[2-(4-chlorophenyl)-propionyl]methylamino}-2-methylpropyl)-pyrimidine-4-carboxylic acid, followed by heating and refluxing for 5 hours. After completion of the reaction, water was added, followed by extraction with ethyl acetate, and the extract was washed with an aqueous sodium chloride solution, dried over magnesium sulfate and concentrated, and the obtained oily product was purified by silica gel column chromatography (ethyl acetate:n-hexane=1:1 to ethyl acetate) to obtain 0.59g (yield: 28%) of 5-(1-{[2-(4-chlorophenyl)-propionyl]methylamino}-2-methylpropyl)-pyrimidine-4-

carboxylic acid methyl ester (diastereomer A-isomer) as colorless oily product.

Examples for preparation of intermediates

REFERENCE EXAMPLE 1

Preparation of 3-ethoxymethylene-1,1,1-trifluoro-5-methyl-2,4-hexanedione

A mixture comprising 213g (1.17 mol) of 1,1,1-trifluoro-5-methyl-2,4-hexanedione, 242g (1.64 mol) of ethyl orthoformate and 166g (1.63 mol) of acetic anhydride, was heated and refluxed for 6 hours. The solvent was distilled off under reduced pressure to obtain 146g (yield: 67%) of 3-ethoxymethylene-1,1,1-trifluoro-5-methyl-2,4-hexanedione.

REFERENCE EXAMPLE 2

Preparation of 5-isopropylcarbonyl-4-trifluoromethylpyrimidine

46g (0.85 mol) of sodium methoxide was dissolved in 700 mℓ of methanol, and 76g (0.73 mol) of formamidine acetate was added, followed by stirring at room temperature for 15 minutes. Then, 146g (0.61 mol) of 3-ethoxymethylene-1,1,1-trifluoro-5-methyl-2,4-hexanedione was added under cooling with ice, followed by heating and refluxing for further 2 hours. The solvent was distilled off under reduced pressure, and 1,000 mℓ of ice water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate

was distilled off under reduced pressure, and the obtained crude product was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=6:1) to obtain 89g (yield: 67%) of 5-isopropylcarbonyl-4-trifluoromethylpyrimidine as slightly yellow liquid.

REFERENCE EXAMPLE 3

Preparation of 5-(1-hydroxy-2-methylpropyl)-4-trifluoromethylpyrimidine

25g (115 mmol) of 5-isopropylcarbonyl-4-trifluorometylpyrimidine was dissolved in 100 mℓ of ethanol, and under cooling with ice, 6g (69 mmol) of a borane-tert-butylamine complex was added, followed by stirring for 2 hours. Further, 20 mℓ of acetone was added, followed by stirring for 0.5 hour. The solvent was distilled off under reduced pressure, and the residue was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=1:1) to obtain 22g (yield: 87%) of 5-(1-hydroxy-2-methylpropyl)-4-trifluoromethylpyrimidine as slightly yellow liquid (n_D^{20}=1.4481).

REFERENCE EXAMPLE 4

Preparation of 5-(1-chloro-2-methylpropyl)-4-trifluoromethylpyrimidine

22g (100 mmol) of 5-(1-hydroxy-2-methylpropyl)-4-trifluoromethylpyrimidine was dissolved in 150 mℓ of chloroform, and 25 mℓ (342 mmol) of thionyl chloride was

added. The reaction mixture was heated and refluxed for 2 hours. The solvent and thionyl chloride was distilled off under reduced pressure, and the residue was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=6:1) to obtain 11.6g (yield: 49%) of 5-(1-chloro-2-methylpropyl)-4-trifluoromethylpyrimidine as brown liquid (refractive index n_D^{20}: 1.4558).

REFERENCE EXAMPLE 5

Preparation of 5-[1-(N-methylamino)-2-methylpropyl]-4-trifluoromethylpyrimidine

4.5g (19 mmol) of 5-(1-chloro-2-methylpropyl)-4-trifluoromethylpyrimidine was dissolved in 50 mℓ of isopropyl alcohol, and 10 mℓ (161 mmol) of a 50% methylamine aqueous solution was added, followed by stirring at room temperature for 8 hours. The solvent was distilled off under reduced pressure, and 100 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure to obtain 3.4g (yield: 77%) of 5-[1-(N-methylamino)-2-methylpropyl]-4-trifluoromethylpyrimidine as slightly yellow liquid (refractive index n_D^{20}: 1.4529).

REFERENCE EXAMPLE 6

Preparation of 4-ethoxymethylene-2,6-dimethyl-3,5-heptanedione

A mixture comprising 17.2g (110 mmol) of 2,6-dimethyl-3,5-heptanedione, 22.8g (153 mmol) of ethyl orthoformate and 31.5g (309 mmol) of acetic anhydride, was reacted for 2 hours at 110°C. The solvent was distilled off under reduced pressure to obtain 11.5g (yield: 49%) of 4-ethoxymethylene-2,6-dimethyl-3,5-heptanedione.

REFERENCE EXAMPLE 7

Preparation of 5-isopropylcarbonyl-4-isopropylpyrimidine

11.5g (60 mmol) of a 28% sodium methoxide solution was dissolved in 100 mℓ of methanol, and 5.6g (54 mmol) of formamidine acetate was added, followed by stirring at room temperature for 15 minutes. Then, 11.5g (54 mmol) of 4-ethoxymethylene-2,6-dimethyl-3,5-heptanedione was added under cooling with ice. The reaction mixture was further reacted at 50°C for one hour. The solvent was distilled off under reduced pressure, and 200 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the residue was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=4:1) to obtain 9.2g (yield: 89%) of 5-isopropylcarbonyl-4-isopropylpyrimidine as slightly yellow liquid.

REFERENCE EXAMPLE 8

Preparation of 5-(1-hydroxy-2-methylpropyl)-4-

isopropylpyrimidine

9.2g (48 mmol) of 5-isopropylcarbonyl-4-isopropylpyrimidine was dissolved in 50 mℓ of ethanol, and under cooling with ice, 2.5g (29 mmol) of a borane-tert-butylamine complex was added, followed by stirring for 2 hours. Further, 20 mℓ of acetone was added, followed by stirring for 0.5 hour. The solvent was distilled off under reduced pressure, and 200 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure to obtain 8.3g (yield: 89%) of the desired product i.e. 5-(1-hydroxy-2-methylpropyl)-4-isopropylpyrimidine.

REFERENCE EXAMPLE 9

Preparation of 5-(1-methylsulfonyloxy-2-methylpropyl)-4-isopropylpyrimidine

8.3g (43 mmol) of 5-(1-hydroxy-2-methylpropyl)-4-isopropylpyrimidine was dissolved in 10 mℓ of pyridine, and under cooling with ice, 9.8g (86 mmol) of methylsulfonyl chloride was dropwise added. The reaction mixture was reacted at room temperature for 2 hours, and then, 100 mℓ of ice water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with an aqueous citric acid solution and water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure to

obtain 10.6g (yield: 90%) of 5-(1-methylsulfonyloxy-2-methylpropyl)-4-isopropylpyrimidine.

[^{1}H-NMR (300MHz, $CDCl_3$, TMS δ (ppm)) 0.91 (3H, d), 1.14 (3H, d), 1.31 (3H, dd), 2.1-2.2 (1H, m), 2.89 (3H, s), 3.2-3.3 (3H, m), 5.56 (1H, d), 8.68 (1H, s), 9.14 (1H, s)]

REFERENCE EXAMPLE 10

Preparation of 5-[1-(N-methylamino)-2-methylpropyl]-4-isopropylpyrimidine

10.6g (39 mmol) of 5-(1-methylsulfonyloxy-2-methylpropyl)-4-isopropylpyrimidine was dissolved in 50 mℓ of isopropyl alcohol, and 10 mℓ (129 mmol) of a 40% methylamine aqueous solution was added, followed by stirring at room temperature for 8 hours. After completion of the reaction, the solvent was distilled off under reduced pressure, and 100 mℓ of water was added, followed by extraction with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the residue was purified by silica gel column chromatography (developing solvent=ethyl acetate) to obtain 2.9g (yield: 36%) of 5-[1-(N-methylamino)-2-methylpropyl]-4-isopropylpyrimidine as slightly yellow crystals (melting point: 37-39°C).

REFERENCE EXAMPLE 11

Preparation of 5-(1-hydroxy-2-methylpropyl)-4-methylthiopyrimidine

10.6g (52 mmol) of 5-bromo-4-methylthiopyrimidine

was dissolved in 100 mℓ of tetrahydrofuran, and at -60°C, 36 mℓ of a n-butylithium hexane solution (1.6 mol/ℓ) was dropwise added. After stirring at -60°C for 30 minutes, 4.1g (57 mmol) of isobutylaldehyde was dropwise added and further reacted for 1 hour. The reaction solution was poured into water and extracted with ethyl acetate. The obtained organic layer was washed with water and then dried over anhydrous magnesium sulfate. Ethyl acetate was distilled off under reduced pressure, and the residue was purified by silica gel column chromatography (developing solvent/n-hexane:ethyl acetate=3:2) to obtain 2.9g (yield: 28%) of 5-(1-hydroxy-2-methylpropyl)-4-methylthiopyrimidine as slightly yellow crystals (melting point: 123-127°C).

REFERENCE EXAMPLE 12

Preparation of 2-bromo-1-(4-ethylpyrimidin-5-yl)-propan-1-one

49.2g (0.30 mol) of 1-(4-ethylpyrimidin-5-yl)propan-1-one was dissolved in 500 mℓ of carbon tetrachloride, and 53g (0.30 mol) of N-bromosuccinimide and 0.3g of azoisobutyronitrile were added, followed by refluxing for 2 hours. After cooling, crystals were removed by filtration, and the filtrate was concentrated and the obtained oily product was purified by column chromatography (ethyl acetate:n-hexane=1:4 to 1:2) to obtain 64.3g (yield: 89%) of 2-bromo-1-(4-ethylpyrimidin-5-yl)-propan-1-one as yellow liquid.

REFERENCE EXAMPLE 13

Preparation of 1-(4-ethylpyrimidin-5-yl)-2-methylthio-propan-1-one

10.0g (0.041 mol) of 2-bromo-1-(4-ethylpyrimidin-5-yl)propan-1-one was dissolved in 40 mℓ of isopropyl alcohol, and 21g (0.045 mol) of a 15% sodium methythiolate aqueous solution was added under cooling with ice and then reacted at room temperature for 1 hour. After completion of the reaction, the product was poured into water and extracted with ethyl acetate. The organic layer was washed with an aqueous sodium chloride solution, dried and concentrated to obtain 9.5g of crude 1-(4-ethylpyrimidin-5-yl)-2-methylthio-propan-1-one. The product was used for the subsequent reaction without purification.

REFERENCE EXAMPLE 14

Preparation of 4,6-dichloropyrimidine-5-carboaldehyde

To 65.0g (0.89 mol) of N,N-dimethylformamide, 356g (2.3 mol) of phosphorus oxychloride was added at a temperature of at most 20°C under cooling with ice, followed by stirring at room temperature for 10 minutes. 50.0g (0.45 mol) of 4,6-dihydroxypyrimidine was slowly added under cooling with ice. After completion of the addition, when the temperature-rising was terminated, the mixture was reacted at 90°C for 3 hours. Excess phosphorus oxychloride was distilled off under reduced pressure, and 300 mℓ of chloroform was added, and the

mixture was slowly added into ice water. The organic layer was washed with an aqueous sodium hydrogencarbonate solution, water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained crude crystals were washed with n-hexane to obtain 43.8g (yield: 55%) of 4,6-dichloropyrimidine-5-carboaldehyde as brown crystals (melting point: 65-66°C).

REFERENCE EXAMPLE 15

Preparation of 4,6-dimethoxypyrimidine-5-carboaldehyde

43.6g (246 mmol) of 4,6-dichloropyrimidine-5-carboaldehyde was dissolved in 200 mℓ of methanol, and 120g (622 mmol) of 28% sodium methoxide was added under cooling with ice and then reacted for 2 hours at room temperature. After completion of the reaction, the solvent was distilled off, and an aqueous citric acid solution was added, followed by extraction with ethyl acetate. The organic layer was washed with an aqueous sodium hydrogencarbonate solution, an aqueous citric acid solution, water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained crude crystals were washed with isopropyl ether to obtain 8.3g (yield: 20%) of 4,6-dimethoxypyrimidine-5-carboaldehyde.

REFERENCE EXAMPLE 16

Preparation of 1-(4,6-dimethoxypyrimidin-5-yl)-3-methylbutan-2-ol

0.81g (33 mmol) of magnesium was added to 30 mℓ of

tetrahydrofuran, and 4.1g (33 mmol) of 2-bromopropane was added to prepare a tetrahydrofuran solution of isopropyl magnesium bromide. 2.8g (17 mmol) of 4,6-dimethoxypyrimidine-5-carboaldehyde was dissolved in 50 mℓ of tetrahydrofuran, and the solution was added to the above tetrahydrofuran solution at room temperature and reacted overnight. The reaction solution was poured into an aqueous ammonium chloride solution and extracted with ethyl acetate. The organic layer was washed with an aqueous citric acid solution, water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was purified by column chromatography (ethyl acetate:n-hexane=1:4) to obtain 1.9g (yield: 54%) of 1-(4,6-dimethoxy pyrimidin-5-yl)-3-methylbutan-2-ol as slightly yellow crystals.

REFERENCE EXAMPLE 17

Preparation of 5-(2-azide-3-methylbutyl)-4,6-dimethoxypyrimidine

1.05g (5 mmol) of 1-(4,6-dimethoxypyrimidin-5-yl)-3-methylbutan-2-ol was dissolved in 10 mℓ of toluene, and under cooling with ice, 1.01g (10 mmol) of trimethylsilylazide and 1.42g (10 mmol) of boron trifluoride diethyl ether complex were added sequentially and then reacted for 7 hours at room temperature. After completion of the reaction, the product was poured into water and extracted with toluene. The organic layer was washed with an aqueous sodium hydrogencarbonate solution,

water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was purified by column chromatography (ethyl actate:n-hexane=1:9) to obtain 1.22g (yield: 100%) of 5-(2-azide-3-methylbutyl)-4,6-dimethoxypyrimidine as colorless liquid.

[^{1}H−NMR (300MHz、$CDCl_3$, TMS δ (ppm)) 0.70 (3H, d)、1.13 (3H, d)、2.44 (1H, m)、4.00 (6H, s)、4.38 (1H, d)、8.39 (1H, s)]

REFERENCE EXAMPLE 18

Preparation of 1-(4,6-dimethoxypyrimidin-5-yl)-2-methylpropylamine

1.2g (5.1 mmol) of 5-(2-azide-3-methylbutyl)-4,6-dimethoxypyrimidine was dissolved in 20 mℓ of methanol, and under cooling with ice, 1.5g (0.062 mol) of magnesium was added and reacted overnight. After completion of the reaction, the solvent was distilled off, and ether was added. Insolubles were filtered off, followed by extraction with diluted hydrochloric acid. An aqueous sodium hydroxide solution was added to alkaline, followed by extraction with toluene. The organic layer was washed with water and an aqueous sodium chloride solution in this order, dried and concentrated, and the obtained oily product was purified by column chromatography (ethyl acetate:n-hexane=1:1) to obtain 0.80g (yield: 75%) of 1-(4,6-dimethoxypyrimidin-5-yl)-2-methylpropylamine as colorless crystals.

〔^{1}H−NMR (300MHz, $CDCl_3$, TMS δ (ppm)) 0.70 (3H, d)、1.07 (3H, d)、1.71 (2H, s)、2.02 (1H, m)、3.78 (1H, d)、3.97 (6H, s)、8.33 (1H, s) 〕

Now, the physical properties (^{1}H-NMR values ($CDCl_3$/TMS δ (ppm)) of the compounds of the present invention prepared in accordance with the methods disclosed in processes 1 to 12, will be shown in Tables 40 to 43.

Table 40

Compound No.	NMR (δ (ppm), 300MHz, TMS-$CDCl_3$)
1-016	0.81 (3H, d)、1.01 (3H, d)、2.75-2.87 (1H, m)、2.90 (1H, s)、3.60 (2H, dd)、3.78 (3H, s)、5.44 (1H, d)、6.83 (2H, d)、7.11 (2H, d)、9.23 (1H, s)、9.25 (1H, s)
1-034	0.29-0.32 (1H, m)、0.75-0.77 (3H, m)、1.48-1.60 (1H, m)、2.99 (3H, s)、3.70 (2H, s)、4.84 (1H, d)、7.17-7.33 (5H, m)、9.25 (1H, s)、9.31 (1H, s)
1-195	0.76-0.81 (3H, t、3H, t)、0.91-0.98 (3H, t、3H, t)、1.36-1.41 (3H, d、3H, d)、2.64-2.90 (1H, m、1H, m)、2.75 (3H, s)、2.85 (3H, s)、3.69-3.80 (1H, q、1H, q)、5.13 (1H, d)、5.51 (1H, d)、7.17-7.31 (5H, m、5H, m)、9.22 (1H, s)、9.23 (1H, s)、9.27 (1H, s)、9.30 (1H, s)、mixture of diastereoisomers
1-329	0.80 (3H, d)、1.07 (3H, d)、1.45 (3H, s)、1.50 (3H, s)、2.39 (3H, s)、2.67-2.80 (1H, m)、5.58 (1H, d)、7.08 (2H, d)、7.25 (2H, d)、9.15 (1H, s)、9.27 (1H, s)
1-488	2.76 (3H, s)、2.88 (3H, s)、3.70 (3H, s)、3.72 (3H, s)、3.77 (2H, s)、3.79 (2H, s)、7.36-7.73 (9H, m、9H, m)、8.57 (1H, s)、8.61 (1H, s)、9.25 (1H, s)、9.27 (1H, s)、mixture of diastereoisomers
1-490	2.76 (3H, s)、2.88 (3H, s)、3.70 (3H, s)、3.72 (3H, s)、3.77 (2H, s)、3.79 (2H, s)、6.70-7.40 (8H, m、8H, m)、8.55 (1H, s)、8.60 (1H, s)、9.25 (1H, s)、9.27 (1H, s)、mixture of diastereoisomers
1-526	0.89 (3H, d)、0.98 (3H, d)、2.48-2.60 (1H, m)、2.68 (3H, s)、2.92 (3H, s)、3.63 (2H, s)、5.38 (1H, d)、7.05-7.28 (5H, m)、8.94 (1H, s)、9.13 (1H, s)

Table 41

Compound No.	NMR (δ (ppm), 300MHz, TMS-$CDCl_3$)
1-562	0.79 (3H, d)、0.89 (3H, d)、0.89 (3H, d)、1.12 (3H, t)、1.16 (3H, d)、1.29 (3H, t)、1.25 (3H, d)、1.52 (3H, d)、1.88 (1H, t)、2.27 (1H, t)、2.04−2.94 (3H, m、3H, m)、3.44−3.56 (1H, m、1H, m)、3.69−3.81 (2H, m)、3.90−4.00 (2H, m)、5.77 (2H, d、2H, d)、7.19−7.35 (5H, m、5H, m)、8.59 (1H, s)、8.61 (1H, s)、9.00 (1H, s)、9.08 (1H, s)、mixture of diastereoisomers
1-592	0.90 (3H, d)、1.01 (3H, d)、1.36 (3H, d)、2.53−2.65 (1H, m)、2.73 (3H, s)、3.78 (1H, q)、4.01 (3H, s)、5.70 (1H, d)、6.99 (2H, d)、7.18 (2H, d)、8.89 (1H, s)、9.16 (1H, s)
1-593	0.80 (3H, d)、0.92 (3H, d)、1.36 (3H, d)、2.40−2.50 (1H, m)、2.62 (3H. s)、3.68 (1H, q)、4.02 (3H, s)、5.76 (1H, d)、7.14 (2H, d)、7.27 (2H, d)、8.92 (1H, s)、9.19 (1H, s)
1-595	0.80 (3H, d)、0.91 (3H, d)、1.35 (3H, d)、1.45 (3H, t)、2.40−2.50 (1H, m)、2.63 (3H. s)、3.67 (1H, q)、4.51 (2H, q)、5.78 (1H, d)、7.14 (2H, d)、7.27 (2H, d)、8.92 (1H, s)、9.19 (1H, s)
1-651	0.94 (3H, t、3H, t)、1.47 (3H, d)、1.66 (3H, d)、1.88−1.98 (2H, m、2, m)、2.08 (3H, s)、2.09 (3H, s)、2.61 (3H, s)、2.68 (3H, s)、3.74 (2H, dd、2H, dd)、4.29 (1H, q、1H, q)、6.03 (1H, t)、6.12 (1H, t)、7.23−7.37 (5H, m、5H, m)、8.61 (1H, s)、8.63 (1H, s)、9.09 (1H, s)、9.11 (1H, s)、mixture of diastereoisomers
1-652	0.94 (3H, t、3H, t)、1.49 (3H, d)、1.65 (3H, d)、1.91−1.99 (2H, m、2H, m)、2.07 (3H, s)、2.63 (3H, s)、2.70 (3H, s)、3.70 (2H, s、2H, s)、4.25−4.32 (1H, m、1H, m)、6.01 (1H, t)、6.11 (1H, t)、6.99−7.28 (4H, m、4H, m)、8.62 (1H, s)、8.64 (1H, s)、9.10 (1H, s)、9.11 (1H, s)、mixture of diastereoisomers

Table 42

Compound No.	NMR (δ (ppm), 300MHz, TMS-$CDCl_3$)
1-872	0.77 (3H, d)、0.97 (3H, d)、1.37 (3H, d)、2.85 (3H, s)、2.81−2.91 (1H, m)、3.77 (1H, q) 5.14 (1H, d)、7.16−7.29 (5H, m) 9.22 (1H, s)、9.29 (1H, s)
1-873	0.80 (3H, d)、1.15 (3H, d)、2.43 (3H, s)、2.76−2.84 (1H, m)、3.18 (3H, s)、5.23 (1H, d)、6.77 (2H, d)、7.02 (1H, t)、7.15 (2H, d) 9.16 (1H, s)、9.26 (1H, s)
1-877	0.82 (3H, t)、0.93 (3H, t)、1.72 (3H, s)、1.78 (3H, s)、1.86−1.92 (2H, m)、2.77 (3H, s)、3.01 (3H, s)、3.70 (2H, s)、4.06 (2H, s)、3.70−4.20 (4H, m)、5.86 (1H, t)、6.09 (1H, t)、7.14−7.30 (4H, m)、8.70 (1H, s)、8.80 (1H, s)、9.13 (1H, s)、9.22 (1H, s)
1-878	0.89 (3H, t)、0.97 (3H, t)、1.70−1.90 (2H, m)、1.90−2.10 (2H, m)、2.66 (3H, s)、2.70 (3H, s)、2.94 (3H, s)、3.00 (3H, s)、3.62 (2H, s)、3.73 (2H, dd)、5.62 (1H, t)、5.70−5.79 (1H, m)、7.05−7.27 (4H, m、4H, m)、8.68 (1H, s)、8.83 (1H, s)、9.15 (1H, s)、9.20 (1H, s)
2-58	0.44−0.53 (2H, m)、0.55−0.65 (H, m)、1.16 (3H, s)、3.13 (3H, s)、3.80 (2H, s)、5.64 (1H, s)、6.63 (1H, d)、6.75 (1H, d)、9.12 (1H, s)、9.26 (1H, s)
2-75	0.89 (3H, d)、0.99 (3H, d)、1.23 (3H, t)、2.43−2.51 (1H, m)、2.71−3.05 (2H, m)、2.77 (3H, s)、3.80 (2H, dd)、5.72 (1H, d)、6.65 (1H, d)、6.74 (1H, d)、8.61 (1H, s)、9.06 (1H, s)

Table 43

Compound No.	NMR (δ (ppm), 300MHz, TMS-$CDCl_3$)
4-26	0.78 (3H, t)、1.11−1.21 (3H, m)、1.31 (3H, t)、2.36 (3H, s)、2.58 (3H, s)、2.44−2.67 (1H, m)、2.77 (3H, s)、2.86 (3H, s)、2.88−3.16 (2H, m)、5.02 (1H, dd)、7.04−7.45 (4H, m)、8.52 (1H, s)、8.69 (1H, s)、9.01 (1H, s)、9.10 (1H, s)
4-28	0.77 (3H, d)、1.15 (3H, d)、1.31 (3H, t)、2.31 (3H, s)、2.33-2.43 (1H, m) 2.80 (3H, s)、2.89-3.17 (2H, m)、5.02 (1H, d)、7.22−7.35 (4H, m)、8.52 (1H, s)、9.01 (1H, s)
4-36	0.77 (3H, d)、1.12 (3H, d)、2.48−2.58 (1H, m)、3.04 (3H, s)、5.09 (1H, d)、7.35−7.39 (2H, m)、7.46-7.54 (3H, m) 9.05 (1H, s)、9.21 (1H, s)
4-37	0.77 (3H, d)、1.13 (3H, d)、2.53−2.59 (1H,)、3.02 (3H, s)、5.1 (1H, d)、7.04−7.08 (2H, m)、7.54-7.58 (2H, m) 9.06 (1H, s)、9.24 (1H, s)
4-54	0.86 (3H, t)、1.34 (3H, t)、1.63−2.09 (2H, m)、2.76 (3H, s)、2.91−3.09 (2H, m)、5.27 (1H, dd) 7.17−7.21 (2H, m) 7.72−7.79 (2H, m)、8.47 (1H, s)、9.06 (1H, s)
5-13	0.79 (3H, d)、1.16 (3H, d)、1.83−1.90 (2H, m)、2.91−2.99 (1H, m)、3.07−3.14 (3H, m)、3.32−3.40 (1H, m)、4.40 (1H, d)、4.68 (1H, d)、5.02 (1H, d) 7.20−7.33 (5H, m)、9.25 (1H, s)、9.46 (1H, s)

The herbicide of the present invention comprises the pyrimidine derivative represented by the formula [I] as an active ingredient.

In order to use the compound of the present invention as a herbicide, the compound of the present invention may be used by itself, but it may be used as formulated in e.g. a dust, a wettable powder, an emulsifiable concentrate, a microgranule or a granule by incorporating a carrier, a surfactant, a dispersant or an adjuvant which are commonly used for formulations. The carrier to be used for formulation may, for example, be a solid carrier such as talc, bentonite, clay, kaolin, diatomaceous earth, white carbon, vermiculite, calcium carbonate, slaked lime, silica sand, ammonium sulfate or urea, or a liquid carrier such as isopropyl alcohol, xylene, cyclohexane or methylnaphthalene.

The surfactant and the dispersant may, for example, be a metal salt of an alkylbenzene sulfonic acid, a metal salt of dinaphthylmethanedisulfonic acid, an alcohol/sulfuric acid ester, an alkylaryl sulfonate, lignin sulfonate, polyoxyethylene glycol ether, polyoxyethylene alkylaryl ether, and polyoxyethylene sorbitan monoalkylate. The adjuvant may, for example, be carboxymethylcellulose, polyethylene glycol or gum Arabic. In the actual use, it may be applied as diluted to a proper concentration or may be directly applied.

The herbicide of the present invention can be used

by application to foliage, application to soil or application to water surface. The blend proportion of the active ingredient may suitably be selected, as the case requires. However, in the case of a dust or a granule, it is preferably selected within a range of from 0.01 to 10% (weight), preferably from 0.05 to 5% (weight). Further, in the case of an emulsifiable concentrate and a wettable powder, it is preferably selected within a range of from 1 to 50% (weight), preferably from 5 to 30% (weight).

The dose of the herbicide of the present invention varies depending upon the type of the compound to be used, the objective weeds, the germination tendency, the environmental conditions as well as the formulation to be used. However, when it is used as it is, in the case of a dust or a granule, the dose is preferably selected within a range of from 0.1g to 5 kg, preferably from 1g to 1 kg, per 10 ares as an active ingredient. Further, in a case where it is used in a liquid state as in the case of an emulsifiable concentrate or wettable powder, the dose is preferably selected within a range of from 0.1 to 50,000 ppm, preferably from 10 to 10,000 ppm.

Further, the compound of the present invention may be used in combination with an insecticide, a fungicide, another herbicide, a plant growth regulator, a fertilizer, etc., as the case requires.

Now, the formulation method will be described in

detail with reference to typical Formulation Examples. However, the compounds, the types of the additives and the blend ratios are not limited thereto and may be varied within wide ranges. In the following description, "parts" means "parts by weight ".

FORMULATION EXAMPLE 1: WETTABLE POWDER

To 10 parts of compound (1-8), 0.5 part of polyoxyethyleneoctylphenyl ether, 0.5 part of a sodium salt of β-naphthalene sulfonic acid formalin condensate, 20 parts of diatomaceous earth and 69 parts of clay were mixed and pulverized to obtain a wettable powder.

FORMULATION EXAMPLE 2: WETTABLE POWDER

To 10 parts of compound (1-8), 0.5 part of polyoxyethyleneoctylphenyl ether, 0.5 part of a sodium salt of β-naphthalene sulfonic acid formalin condensate, 20 parts of diatomaceous earth, 5 parts of white carbon and 64 parts of clay were mixed and pulverized to obtain a wettable powder.

FORMULATION EXAMPLE 3: WETTABLE POWDER

To 10 parts of compound (1-8), 0.5 part of polyoxyethyleneoctylphenyl ether, 0.5 part of a sodium salt of β-naphthalene sulfonic acid formalin condensate, 20 parts of diatomaceous earth, 5 parts of white carbon and 64 parts of calcium carbonate, were mixed and pulverized to obtain a wettable powder.

FORMULATION EXAMPLE 4: EMULSIFIABLE CONCENTRATE

To 30 parts of compound (1-8), 60 parts of a mixture

of equal amounts of xylene and isophorone, and 10 parts of a mixture comprising a surfactant polyoxyethylenesorbitan alkylate, a polyoxyethylene alkylaryl polymer and an alkylaryl sulfonate, were added, followed by thorough stirring to obtain an emulsifiable concentrate.

FORMULATION EXAMPLE 5: GRANULE

10 parts of compound (1-8), 80 parts of an extender having talc and bentonite mixed in a ratio of 1:3, 5 parts of white carbon, 5 parts of a mixture comprising a surfactant polyoxyethylenesorbitan alkylate, a polyoxyethylene alkylaryl polymer and an alkylaryl sulfonate, and 10 parts of water were mixed and thoroughly kneaded to obtain a paste, which was extruded through a screen having openings having a diameter of 0.7 mm, then dried and cut into a length of from 0.5 to 1 mm to obtain a granule.

Now, the effects of the compound of the present invention will be described with reference to Test Examples.

TEST EXAMPLE 1: TESTS OF HERBICIDAL EFFECTS BY FLOODED PADDY FIELD TREATMENT

In a 100 cm^2 plastic pot, paddy field soil was filled and paddled. Then, seeds of barnyard grass (Eo) and monochoria (Mo) were sown, and water was introduced to a depth of 3 cm. Next day, a wettable powder prepared in accordance with Formulation Example 1 was diluted with

water and dropwise applied to the water surface. The dose was 100g of the active ingredient per 10 ares. Thereafter, cultivation was carried out in a green house, and on the 21st day after the treatment, the herbicidal effects were examined in accordance with the standards as identified in Table 44. The results are shown in Tables 45 to 52.

Table 44

Index number	Herbicidal effects (growth-inhibition degree) and phytotoxity
5	Herbicidal effect or phytotoxity for controlling more than 90%
4	Herbicidal effect or phytotoxity of at least 70% and less than 90%
3	Herbicidal effect or phytotoxity of at least 50% and less than 70%
2	Herbicidal effect or phytotoxity of at least 30% and less than 50%
1	Herbicidal effect or phytotoxity of at least 10% and less than 30%
0	Herbicidal effect or phytotoxity of at least 0% and less than 10%

Table 45

Compound No.	Dose (gai/10a)	Eo	Mo
1-4	100	5	5
1-8	100	5	5
1-9	100	5	5
1-10	100	5	5
1-12	100	5	5
1-13	100	5	5
1-15	100	5	5
1-16	100	5	5
1-17	100	5	5
1-18	100	5	5
1-19	100	5	5
1-20	100	5	5
1-21	100	5	5
1-22	100	5	5
1-23	100	5	5
1-24	100	5	5
1-25	100	5	5
1-26	100	5	5
1-27	100	5	5
1-32	100	5	5
1-33	100	5	5
1-34	100	5	5
1-35	100	5	5
1-36	100	5	5
1-37	100	5	5
1-38	100	5	5
1-39	100	5	5
1-40	100	5	5
1-41	100	5	5
1-42	100	5	5
1-43	100	5	5
1-44	100	5	5
1-45	100	5	5
1-46	100	5	5
1-47	100	5	5
1-48	100	5	5
1-49	100	5	5
1-50	100	5	5
1-51	100	5	5
1-52	100	5	5
1-53	100	5	5
1-54	100	5	5
1-55	100	5	5
1-56	100	5	5
1-57	100	5	5
1-58	100	5	5
1-59	100	5	5
1-60	100	5	5
1-61	100	5	5
1-62	100	5	5
1-63	100	5	5
1-64	100	5	5
1-65	100	5	5

Table 46

Compound No.	Dose (gai/10a)	Eo	Mo
1-66	100	5	5
1-67	100	5	5
1-68	100	5	5
1-70	100	5	5
1-71	100	5	5
1-72	100	5	5
1-74	100	5	5
1-75	100	5	5
1-76	100	5	5
1-78	100	5	5
1-80	100	5	5
1-81	100	5	5
1-82	100	5	5
1-83	100	5	5
1-84	100	5	5
1-85	100	5	5
1-86	100	5	5
1-87	100	5	5
1-88	100	5	5
1-89	100	5	5
1-90	100	5	5
1-91	100	5	5
1-93	100	5	5
1-94	100	5	5
1-95	100	5	5
1-96	100	5	5
1-97	100	5	5
1-98	100	5	5
1-99	100	5	5
1-100	100	5	5
1-101	100	5	5
1-102	100	5	5
1-103	100	5	5
1-104	100	5	5
1-105	100	5	5
1-106	100	5	5
1-107	100	5	5
1-111	100	5	4
1-112	100	5	5
1-113	100	5	5
1-114	100	5	5
1-115	100	5	5
1-116	100	5	5
1-118	100	5	5
1-119	100	5	5
1-120	100	5	5
1-121	100	5	5
1-122	100	5	5
1-123	100	5	5
1-124	100	5	5
1-125	100	5	5
1-126	100	5	5
1-127	100	5	5

Table 47

Compound No.	Dose (gai/10a)	Eo	Mo
1-128	100	5	4
1-129	100	5	5
1-130	100	5	5
1-131	100	5	5
1-132	100	5	5
1-133	100	5	5
1-134	100	5	5
1-135	100	5	5
1-136	100	5	5
1-137	100	5	5
1-138	100	5	5
1-139	100	5	5
1-140	100	5	5
1-141	100	5	5
1-142	100	5	5
1-143	100	5	5
1-144	100	5	5
1-145	100	5	5
1-146	100	5	5
1-147	100	5	5
1-148	100	5	5
1-150	100	5	5
1-151	100	5	5
1-152	100	5	5
1-153	100	5	5
1-154	100	5	5
1-155	100	5	5
1-156	100	5	5
1-159	100	5	5
1-160	100	5	5
1-161	100	5	5
1-162	100	5	5
1-163	100	5	5
1-164	100	5	5
1-165	100	5	5
1-166	100	5	5
1-167	100	5	5
1-168	100	5	5
1-169	100	5	5
1-170	100	5	5
1-171	100	5	5
1-172	100	5	5
1-173	100	5	5
1-174	100	5	5
1-175	100	5	5
1-177	100	5	5
1-178	100	5	4
1-180	100	5	5
1-181	100	5	5
1-182	100	5	5
1-183	100	5	5
1-184	100	5	5
1-185	100	5	5

Table 48

Compound No.	Dose (gai/10a)	Eo	Mo
1-186	100	5	5
1-187	100	5	5
1-188	100	5	5
1-189	100	5	5
1-190	100	5	5
1-191	100	5	5
1-192	100	5	5
1-193	100	5	5
1-194	100	5	5
1-195	100	5	5
1-196	100	5	5
1-197	100	5	5
1-198	100	5	5
1-200	100	5	5
1-214	100	5	5
1-217	100	5	5
1-218	100	5	5
1-219	100	5	5
1-220	100	5	5
1-221	100	5	5
1-222	100	5	5
1-225	100	5	5
1-226	100	5	5
1-228	100	5	5
1-234	100	5	5
1-249	100	5	5
1-250	100	5	5
1-251	100	5	5
1-254	100	5	5
1-265	100	5	5
1-266	100	5	5
1-267	100	5	5
1-270	100	5	5
1-273	100	5	5
1-274	100	5	5
1-305	100	5	5
1-306	100	5	5
1-307	100	5	5
1-310	100	5	5
1-321	100	5	5
1-322	100	5	5
1-323	100	5	5
1-324	100	5	5
1-325	100	5	5
1-327	100	5	5
1-328	100	5	5
1-329	100	5	5
1-330	100	5	5
1-331	100	5	5
1-333	100	5	5
1-334	100	5	5
1-335	100	5	5
1-401	100	5	5

Table 49

Compound No.	Dose (gai/10a)	Eo	Mo
1-402	100	5	5
1-403	100	5	5
1-404	100	5	5
1-407	100	5	5
1-409	100	5	5
1-410	100	5	5
1-411	100	5	5
1-412	100	5	5
1-413	100	5	5
1-414	100	5	5
1-415	100	5	5
1-416	100	5	5
1-417	100	5	5
1-418	100	5	5
1-419	100	5	5
1-420	100	5	5
1-421	100	5	5
1-422	100	5	5
1-424	100	5	5
1-425	100	5	5
1-426	100	5	5
1-427	100	5	5
1-428	100	5	5
1-429	100	5	5
1-430	100	5	5
1-431	100	5	5
1-432	100	5	5
1-433	100	5	5
1-434	100	5	5
1-435	100	5	5
1-436	100	5	5
1-437	100	5	5
1-438	100	5	5
1-439	100	5	5
1-441	100	5	5
1-442	100	5	5
1-443	100	5	5
1-444	100	5	5
1-445	100	5	5
1-446	100	5	5
1-447	100	5	5
1-448	100	5	5
1-449	100	5	5
1-450	100	5	5
1-451	100	5	5
1-452	100	5	5
1-454	100	5	5
1-455	100	5	5
1-458	100	5	5
1-459	100	5	5
1-460	100	5	5
1-461	100	5	5
1-463	100	5	5

Table 50

Compound No.	Dose (gai/10a)	Eo	Mo
1-464	100	5	5
1-465	100	5	5
1-469	100	5	5
1-473	100	5	5
1-476	100	5	5
1-482	100	5	5
1-483	100	5	5
1-484	100	5	5
1-485	100	5	5
1-486	100	5	5
1-487	100	5	5
1-488	100	5	5
1-489	100	5	5
1-490	100	5	5
1-491	100	5	5
1-492	100	5	5
1-493	100	5	5
1-494	100	5	5
1-495	100	5	5
1-496	100	5	5
1-497	100	5	5
1-498	100	5	5
1-499	100	5	5
1-500	100	5	5
1-501	100	5	5
1-502	100	5	5
1-504	100	5	5
1-505	100	5	5
1-506	100	5	5
1-507	100	5	5
1-510	100	5	5
1-511	100	5	5
1-517	100	5	5
1-518	100	5	5
1-519	100	5	5
1-520	100	5	5
1-521	100	5	5
1-524	100	5	5
1-526	100	5	5
1-527	100	5	5
1-528	100	5	5
1-529	100	5	5
1-530	100	5	5
1-532	100	5	5
1-533	100	5	5
1-535	100	5	5
1-536	100	5	5
1-537	100	5	5
1-538	100	5	5
1-539	100	5	5
1-540	100	5	5
1-541	100	5	5
1-546	100	5	5

Table 51

Compound No.	Dose (gai/10a)	Eo	Mo
1-547	100	5	5
1-548	100	5	5
1-549	100	5	5
1-550	100	5	5
1-551	100	5	5
1-553	100	5	5
1-555	100	5	5
1-558	100	5	5
1-559	100	5	5
1-560	100	5	5
1-561	100	5	5
1-562	100	5	5
1-563	100	5	5
1-565	100	5	5
1-566	100	5	5
1-567	100	5	5
1-569	100	5	5
1-571	100	5	4
1-572	100	5	5
1-573	100	5	5
1-579	100	5	5
1-584	100	5	5
1-586	100	5	5
1-589	100	5	5
1-591	100	5	5
1-592	100	5	5
1-593	100	5	5
1-594	100	5	5
1-595	100	5	5
1-597	100	5	5
1-598	100	5	5
1-599	100	5	5
1-600	100	5	5
1-602	100	5	5
1-603	100	5	5
1-604	100	5	5
1-606	100	5	5
1-607	100	5	5
1-608	100	5	5
1-609	100	5	5
1-611	100	5	5
1-614	100	5	5
1-615	100	5	5
1-624	100	5	5
1-626	100	5	5
1-627	100	5	5
1-637	100	5	5
1-639	100	5	5
1-640	100	5	5
1-641	100	5	5
2-1	100	5	5
2-2	100	5	5
2-3	100	5	5

Table 52

Compound No.	Dose (gai/10a)	Eo	Mo
2-5	100	5	5
2-6	100	5	5
2-7	100	5	5
2-8	100	5	5
2-9	100	5	5
2-10	100	5	5
2-11	100	5	5
2-12	100	5	5
2-13	100	5	5
2-14	100	5	5
2-19	100	5	5
2-20	100	5	5
2-23	100	5	5
2-24	100	5	5
2-25	100	5	5
2-31	100	5	5
2-32	100	5	5
2-41	100	5	5
2-42	100	5	5
2-44	100	5	5
2-46	100	5	5
2-48	100	5	5
2-50	100	5	5
2-51	100	5	5
2-52	100	5	5
2-53	100	5	5
2-54	100	5	5
2-55	100	5	5
2-56	100	5	5
2-57	100	5	5
2-58	100	5	5
2-59	100	5	5
2-60	100	5	5
2-61	100	5	5
2-62	100	5	5
2-63	100	5	5
2-71	100	5	5
2-72	100	5	5
2-73	100	5	5
2-74	100	5	5
2-75	100	5	5
2-77	100	5	5
2-78	100	5	5
2-80	100	5	4
4-1	100	5	5
4-2	100	5	5

TEST EXAMPLE 2: TEST OF HERBICIDAL EFFECTS BY UPLAND SOIL TREATMENT

In a 80 cm^2 plastic pot, upland soil was filled, and seeds of barnyard grass (Ec) and green foxtail (Se) were sown and covered with soil. A wettable powder prepared in accordance with Formulation Example 1 was diluted with water and uniformly applied to the soil surface by means of a small size spray at a rate of 100ℓ per 10 ares, so that the dose of the active ingredient would be 100g per 10 ares. Thereafter, cultivation was carried out in a green house, and on the 21st day after the treatment, the herbicidal effects were examined in accordance with the standards as identified in Table 44. The results are shown in Tables 53 to 60.

Table 53

Compound No.	Dose (gai/10a)	Ec	Se
1-8	100	5	5
1-9	100	5	5
1-10	100	4	4
1-12	100	5	5
1-13	100	5	5
1-15	100	5	5
1-16	100	4	5
1-17	100	4	4
1-20	100	5	5
1-21	100	5	5
1-22	100	5	5
1-23	100	5	5
1-24	100	5	5
1-25	100	5	5
1-26	100	5	5
1-27	100	5	5
1-32	100	5	5
1-33	100	5	5
1-34	100	5	5
1-35	100	5	5
1-36	100	5	5
1-37	100	5	5
1-38	100	5	4
1-40	100	5	4
1-41	100	5	5
1-42	100	5	5
1-45	100	5	5
1-46	100	5	5
1-47	100	5	5
1-48	100	5	5
1-49	100	5	4
1-50	100	5	5
1-51	100	5	5
1-52	100	5	5
1-53	100	5	5
1-54	100	5	5
1-55	100	5	5
1-56	100	5	5
1-57	100	5	5
1-58	100	5	5
1-59	100	5	5
1-60	100	5	5
1-61	100	5	5
1-62	100	4	4
1-63	100	5	5
1-64	100	5	5
1-65	100	5	5
1-66	100	5	5
1-67	100	5	5
1-68	100	5	5
1-70	100	5	5
1-71	100	5	5
1-72	100	5	4

Table 54

Compound No.	Dose (gai/10a)	Ec	Se
1-74	100	5	5
1-75	100	5	5
1-76	100	5	5
1-77	100	5	5
1-78	100	5	5
1-80	100	5	5
1-81	100	5	5
1-83	100	5	5
1-85	100	5	5
1-87	100	5	5
1-88	100	5	5
1-89	100	5	5
1-90	100	5	5
1-91	100	4	5
1-92	100	5	5
1-95	100	5	5
1-96	100	5	5
1-97	100	5	5
1-98	100	5	5
1-99	100	5	5
1-100	100	5	5
1-101	100	5	5
1-102	100	5	5
1-103	100	5	5
1-105	100	5	5
1-106	100	5	4
1-111	100	5	5
1-112	100	5	5
1-113	100	5	4
1-114	100	5	5
1-115	100	5	5
1-116	100	5	4
1-118	100	5	5
1-119	100	5	4
1-120	100	5	4
1-121	100	5	4
1-122	100	5	5
1-123	100	5	5
1-124	100	5	5
1-125	100	5	5
1-126	100	5	5
1-127	100	5	5
1-128	100	5	5
1-130	100	5	5
1-131	100	5	5
1-133	100	5	5
1-134	100	5	5
1-135	100	5	5
1-136	100	5	5
1-137	100	5	5
1-138	100	5	5
1-139	100	5	5
1-140	100	5	5

Table 55

Compound No.	Dose (gai/10a)	Ec	Se
1-141	100	5	5
1-142	100	5	5
1-143	100	5	5
1-144	100	5	5
1-145	100	5	5
1-146	100	4	4
1-147	100	5	5
1-148	100	5	5
1-150	100	5	5
1-151	100	5	5
1-152	100	5	5
1-153	100	5	5
1-155	100	4	4
1-159	100	5	5
1-160	100	5	5
1-161	100	5	5
1-162	100	5	4
1-163	100	5	5
1-164	100	5	5
1-165	100	5	5
1-166	100	5	5
1-167	100	5	5
1-168	100	5	5
1-169	100	5	5
1-170	100	5	5
1-171	100	5	4
1-172	100	5	5
1-173	100	5	5
1-174	100	5	5
1-177	100	4	4
1-180	100	5	5
1-181	100	5	5
1-182	100	5	5
1-186	100	5	5
1-187	100	5	5
1-190	100	4	4
1-192	100	5	5
1-193	100	5	5
1-194	100	5	5
1-195	100	5	5
1-196	100	5	5
1-197	100	5	5
1-198	100	5	5
1-200	100	5	5
1-214	100	5	5
1-217	100	5	5
1-218	100	5	5
1-219	100	5	5
1-220	100	5	5
1-222	100	5	5
1-225	100	5	5
1-226	100	5	4
1-228	100	5	5

Table 56

Compound No.	Dose (gai/10a)	Ec	Se
1-234	100	5	5
1-249	100	5	5
1-250	100	4	5
1-251	100	5	5
1-254	100	5	5
1-265	100	5	5
1-266	100	5	5
1-267	100	5	5
1-270	100	5	5
1-273	100	5	5
1-274	100	5	5
1-305	100	5	5
1-306	100	5	5
1-307	100	5	5
1-310	100	5	5
1-321	100	5	5
1-323	100	4	4
1-326	100	4	4
1-327	100	5	5
1-328	100	5	5
1-329	100	5	5
1-330	100	5	5
1-331	100	5	5
1-332	100	5	5
1-333	100	5	5
1-334	100	5	5
1-335	100	5	5
1-401	100	5	5
1-402	100	5	5
1-403	100	5	5
1-404	100	5	5
1-407	100	5	5
1-409	100	5	5
1-410	100	5	5
1-411	100	5	5
1-412	100	5	5
1-414	100	4	5
1-416	100	5	5
1-417	100	5	5
1-418	100	5	5
1-419	100	5	5
1-424	100	5	5
1-425	100	5	5
1-426	100	5	5
1-427	100	5	5
1-428	100	5	5
1-429	100	5	5
1-430	100	5	5
1-431	100	5	5
1-432	100	5	5
1-433	100	5	5
1-434	100	5	5
1-435	100	5	5

Table 57

Compound No.	Dose (gai/10a)	Ec	Se
1-436	100	5	5
1-437	100	5	5
1-438	100	5	5
1-439	100	5	4
1-441	100	5	5
1-442	100	5	5
1-443	100	5	5
1-444	100	5	5
1-445	100	5	5
1-446	100	5	5
1-447	100	5	5
1-448	100	5	4
1-449	100	5	5
1-450	100	5	5
1-451	100	5	5
1-452	100	5	5
1-454	100	4	5
1-455	100	5	5
1-458	100	5	4
1-459	100	5	5
1-460	100	5	5
1-461	100	5	5
1-463	100	4	5
1-464	100	5	5
1-465	100	5	5
1-466	100	4	4
1-471	100	5	4
1-473	100	5	4
1-476	100	5	5
1-482	100	5	5
1-483	100	5	4
1-484	100	5	5
1-485	100	5	5
1-488	100	5	5
1-489	100	5	5
1-490	100	5	5
1-492	100	5	5
1-493	100	5	5
1-494	100	5	5
1-495	100	5	5
1-496	100	5	4
1-497	100	5	5
1-498	100	5	5
1-499	100	5	4
1-502	100	4	4
1-504	100	5	5
1-505	100	5	5
1-506	100	5	5
1-507	100	5	5
1-508	100	5	5
1-511	100	4	4
1-517	100	5	5
1-518	100	5	5

Table 58

Compound No.	Dose (gai/10a)	Ec	Se
1-519	100	5	5
1-520	100	5	5
1-521	100	5	5
1-523	100	4	5
1-524	100	5	5
1-526	100	5	5
1-527	100	5	5
1-528	100	5	5
1-529	100	5	5
1-530	100	5	5
1-531	100	4	4
1-532	100	5	5
1-533	100	5	5
1-535	100	5	5
1-536	100	5	5
1-537	100	5	5
1-538	100	5	5
1-539	100	5	5
1-540	100	5	5
1-541	100	5	4
1-546	100	5	5
1-547	100	5	5
1-548	100	5	5
1-549	100	5	5
1-550	100	5	5
1-551	100	5	5
1-553	100	5	5
1-555	100	5	5
1-558	100	5	5
1-559	100	5	5
1-560	100	5	5
1-561	100	5	4
1-562	100	5	5
1-563	100	5	5
1-565	100	5	5
1-566	100	5	5
1-567	100	5	5
1-569	100	5	5
1-571	100	5	5
1-572	100	5	5
1-573	100	5	4
1-579	100	5	5
1-584	100	5	5
1-586	100	5	5
1-589	100	5	5
1-591	100	5	5
1-592	100	5	5
1-594	100	5	5
1-597	100	4	4
1-598	100	5	4
1-600	100	4	5
1-602	100	5	5
1-603	100	4	4

Table 59

Compound No.	Dose (gai/10a)	Ec	Se
1-604	100	5	4
1-606	100	5	5
1-607	100	4	4
1-608	100	5	5
1-609	100	5	4
1-611	100	5	5
1-614	100	5	5
1-616	100	5	5
1-617	100	5	5
1-618	100	5	5
1-619	100	5	5
1-620	100	5	5
1-621	100	5	5
1-622	100	4	5
1-623	100	5	4
1-624	100	5	5
1-625	100	4	5
1-626	100	5	4
1-627	100	5	5
1-636	100	4	4
1-639	100	5	5
1-640	100	4	4
1-641	100	5	4
1-642	100	4	4
1-646	100	4	4
2-1	100	5	4
2-2	100	5	4
2-6	100	5	4
2-7	100	5	5
2-8	100	5	5
2-9	100	5	5
2-10	100	5	5
2-11	100	5	5
2-12	100	5	5
2-13	100	5	5
2-14	100	5	5
2-19	100	5	5
2-20	100	5	5
2-23	100	5	5
2-24	100	5	5
2-25	100	5	5
2-31	100	5	5
2-32	100	5	5
2-44	100	5	5
2-46	100	5	5
2-47	100	4	5
2-50	100	5	5
2-51	100	5	5
2-52	100	5	5
2-53	100	5	5
2-54	100	5	5
2-55	100	5	5
2-56	100	5	5

Table 60

Compound No.	Dose (gai/10a)	Ec	Se
2-57	100	5	5
2-58	100	5	5
2-59	100	5	4
2-60	100	5	5
2-61	100	5	5
2-62	100	5	5
2-63	100	5	4
2-71	100	5	5
2-72	100	5	5
2-73	100	5	5
2-74	100	5	5
2-75	100	5	5
2-77	100	5	5
2-78	100	5	5
2-79	100	5	5
4-1	100	5	5
4-2	100	5	4

TEST EXAMPLE 3: TEST OF HERBICIDAL EFFECTS BY FOLIAGE TREATMENT IN UPLAND FIELD

In a 80 cm^2 plastic pot, upland soil was filled, and seeds of barnyard grass (Ec) and green foxtail (Se) were sown and cultured in a green house for 2 weeks. Then, a wettable powder prepared in accordance with Formulation Example 1 was diluted with water and applied to the entire foliage from above the plants by means of a small size spray at a rate of 100ℓ per 10 ares so that the dose of the active ingredient would be 100g per 10 ares. Thereafter, cultivation was carried out in a green house, and on the 14th day after the treatment, the herbicidal effects were examined in accordance with the standards of Table 44. The results are shown in Tables 61 to 64.

Table 61

Compound No.	Dose (gai/10a)	Ec	Se
1-1	100	4	4
1-8	100	5	4
1-9	100	5	4
1-10	100	4	4
1-12	100	5	4
1-13	100	5	4
1-21	100	4	4
1-22	100	4	4
1-24	100	4	4
1-26	100	4	4
1-27	100	4	4
1-41	100	5	4
1-42	100	4	4
1-46	100	4	4
1-47	100	4	4
1-48	100	5	4
1-50	100	4	4
1-53	100	4	4
1-54	100	5	4
1-55	100	4	4
1-56	100	4	4
1-57	100	5	4
1-58	100	4	4
1-59	100	4	4
1-60	100	4	4
1-61	100	4	4
1-66	100	5	4
1-78	100	5	4
1-81	100	4	4
1-83	100	5	4
1-87	100	4	4
1-88	100	4	4
1-89	100	5	4
1-92	100	5	4
1-95	100	5	5
1-96	100	5	5
1-98	100	4	4
1-100	100	5	5
1-107	100	4	4
1-111	100	4	4
1-112	100	4	5
1-113	100	4	4
1-122	100	4	4
1-127	100	4	4
1-129	100	5	5
1-133	100	5	4
1-137	100	5	4
1-142	100	4	4
1-143	100	4	4
1-144	100	4	4
1-152	100	4	4
1-153	100	4	4
1-164	100	4	4

Table 62

Compound No.	Dose (gai/10a)	Ec	Se
1-172	100	5	5
1-186	100	5	4
1-192	100	4	4
1-193	100	5	4
1-194	100	5	4
1-195	100	5	4
1-196	100	5	4
1-198	100	4	4
1-214	100	4	4
1-218	100	4	4
1-220	100	5	5
1-221	100	4	4
1-251	100	4	4
1-267	100	4	4
1-270	100	4	4
1-330	100	4	4
1-331	100	4	4
1-333	100	4	4
1-334	100	4	4
1-401	100	5	5
1-402	100	5	4
1-403	100	4	4
1-404	100	5	4
1-407	100	4	4
1-409	100	4	4
1-410	100	4	4
1-411	100	4	4
1-412	100	4	4
1-416	100	5	4
1-417	100	4	5
1-419	100	4	5
1-424	100	4	4
1-426	100	4	4
1-427	100	4	4
1-428	100	4	4
1-430	100	4	4
1-431	100	4	4
1-432	100	5	4
1-434	100	4	4
1-435	100	4	4
1-436	100	4	4
1-437	100	4	4
1-441	100	4	4
1-442	100	4	4
1-445	100	5	5
1-446	100	5	4
1-447	100	5	4
1-449	100	4	4
1-450	100	4	4
1-451	100	4	4
1-452	100	4	4
1-454	100	4	4
1-455	100	4	4

Table 63

Compound No.	Dose (gai/10a)	Ec	Se
1-459	100	4	4
1-461	100	4	4
1-463	100	4	4
1-464	100	4	4
1-473	100	5	4
1-482	100	5	4
1-484	100	5	5
1-486	100	4	4
1-488	100	5	4
1-489	100	4	4
1-490	100	4	4
1-492	100	5	4
1-493	100	4	4
1-494	100	5	4
1-496	100	4	4
1-497	100	4	4
1-504	100	5	4
1-505	100	5	5
1-506	100	4	4
1-507	100	4	4
1-508	100	4	4
1-517	100	4	4
1-519	100	4	4
1-526	100	5	4
1-527	100	4	4
1-528	100	4	4
1-529	100	5	4
1-530	100	4	4
1-532	100	5	4
1-536	100	4	4
1-537	100	4	4
1-538	100	4	4
1-539	100	4	4
1-540	100	4	4
1-541	100	4	4
1-546	100	4	4
1-547	100	4	4
1-558	100	4	4
1-559	100	4	4
1-560	100	4	4
1-561	100	5	4
1-563	100	5	4
1-565	100	5	4
1-566	100	4	4
1-569	100	5	4
1-571	100	4	4
1-572	100	4	4
1-579	100	4	4
1-584	100	4	4
1-586	100	5	4
1-589	100	4	4
1-591	100	4	4
1-592	100	5	4

Table 64

Compound No.	Dose (gai/10a)	Ec	Se
1-594	100	5	5
1-598	100	4	4
1-600	100	5	4
1-602	100	4	4
1-606	100	4	4
1-607	100	4	4
1-609	100	4	4
1-611	100	4	4
1-617	100	4	4
1-619	100	4	4
1-622	100	4	4
1-642	100	4	4
2-11	100	5	4
2-12	100	5	4
2-23	100	4	4
2-25	100	5	4
2-46	100	4	4
2-50	100	4	4
2-51	100	4	4
2-53	100	4	4
2-57	100	5	5
2-60	100	4	4
2-61	100	4	4
2-62	100	4	4
2-71	100	5	4
2-74	100	4	4
2-75	100	5	4
2-79	100	4	4
4-2	100	5	4

TEST EXAMPLE 4: TEST OF SELECTIVITY FOR A CROP PLANT BY FLOODED PADDY FIELD TREATMENT

In a 100 cm^2 plastic pot, a paddy field soil was filled and paddled. Then, seeds of barnyard grass (Eo) and monochoria (Mo) were sown, and rice (Or) of second leaf stage was transplanted, and water was introduced to a depth of 3 cm. Next day, a wettable powder prepared in accordance with Formulation Example 1 was diluted with water and dropwise applied to the water surface. The dose was 25g of the active ingredient per 10 ares. Thereafter, cultivation was carried out in a green house, and on the 21st day after the treatment, the herbicidal effects were examined in accordance with the standards of Table 44. The results are shown in Tables 65 to 69.

Table 65

Compound No.	Dose (gai/10a)	Eo	Mo	Or
1-4	25	5	4	1
1-13	25	5	5	1
1-16	25	5	5	1
1-17	25	5	5	1
1-18	25	5	4	1
1-20	25	5	5	1
1-21	25	5	5	1
1-22	25	5	5	1
1-23	25	5	5	1
1-26	25	5	5	1
1-33	25	5	5	1
1-34	25	5	5	1
1-36	25	5	5	1
1-38	25	5	5	0
1-39	25	5	5	0
1-40	25	5	5	0
1-41	25	5	5	0
1-42	25	5	5	0
1-43	25	5	5	1
1-44	25	5	5	0
1-45	25	5	5	0
1-46	25	5	5	0
1-47	25	5	5	0
1-48	25	5	5	1
1-49	25	5	5	0
1-50	25	5	5	1
1-51	25	5	5	1
1-52	25	5	5	1
1-53	25	5	5	1
1-54	25	5	5	1
1-55	25	5	5	1
1-59	25	5	5	0
1-60	25	5	5	1
1-61	25	5	5	1
1-62	25	5	5	1
1-63	25	5	5	1
1-67	25	5	5	1
1-72	25	5	5	0
1-74	25	5	5	0
1-76	25	5	5	0
1-78	25	5	5	1
1-81	25	5	5	0
1-82	25	5	5	0
1-83	25	5	5	1
1-84	25	5	5	0
1-85	25	5	5	0
1-86	25	5	5	0
1-87	25	5	5	1
1-89	25	5	5	0
1-91	25	5	5	1
1-93	25	5	5	0
1-97	25	5	5	0
1-98	25	5	5	1

Table 66

Compound No.	Dose (gai/10a)	Eo	Mo	Or
1-99	25	5	5	1
1-103	25	5	5	1
1-104	25	5	5	1
1-106	25	5	5	0
1-107	25	5	5	0
1-113	25	5	5	0
1-116	25	5	5	0
1-118	25	5	3	0
1-119	25	5	5	0
1-120	25	5	5	0
1-121	25	5	5	1
1-123	25	5	5	1
1-124	25	5	5	0
1-125	25	5	5	0
1-126	25	5	5	1
1-130	25	5	5	1
1-131	25	5	5	1
1-132	25	5	5	0
1-133	25	5	5	1
1-134	25	5	5	0
1-135	25	5	5	1
1-136	25	5	5	1
1-137	25	5	5	1
1-139	25	5	5	0
1-140	25	5	5	0
1-141	25	5	5	1
1-144	25	5	5	1
1-145	25	5	5	1
1-146	25	5	5	1
1-147	25	5	5	1
1-150	25	5	5	1
1-152	25	5	5	1
1-153	25	5	5	1
1-161	25	5	5	0
1-162	25	5	5	0
1-166	25	5	5	1
1-167	25	5	5	1
1-168	25	5	5	1
1-169	25	5	5	1
1-170	25	5	5	1
1-171	25	5	5	1
1-172	25	5	5	1
1-175	25	5	5	0
1-180	25	5	5	1
1-181	25	5	5	1
1-182	25	5	5	1
1-183	25	5	5	0
1-188	25	5	5	0
1-189	25	5	5	0
1-190	25	5	5	0
1-197	25	5	5	0
1-200	25	5	5	1
1-217	25	5	5	1

Table 67

Compound No.	Dose (gai/10a)	Eo	Mo	Or
1-218	25	5	5	1
1-219	25	5	5	1
1-220	25	5	5	1
1-221	25	5	5	1
1-225	25	5	5	0
1-226	25	5	5	1
1-228	25	5	5	0
1-234	25	5	5	1
1-250	25	5	5	1
1-251	25	5	5	1
1-306	25	5	5	1
1-321	25	5	5	1
1-324	25	5	5	1
1-325	25	5	5	1
1-329	25	5	5	1
1-333	25	5	5	1
1-334	25	5	5	0
1-401	25	5	5	1
1-404	25	5	5	1
1-412	25	5	5	1
1-416	25	5	5	1
1-417	25	5	5	1
1-418	25	5	5	1
1-425	25	5	5	1
1-436	25	5	5	1
1-439	25	5	5	1
1-441	25	5	5	1
1-442	25	5	5	1
1-443	25	5	5	1
1-444	25	5	5	1
1-448	25	5	5	1
1-452	25	5	5	0
1-454	25	5	5	1
1-455	25	5	5	1
1-459	25	5	5	1
1-461	25	5	5	1
1-465	25	5	5	0
1-473	25	5	5	1
1-483	25	5	5	1
1-484	25	5	5	1
1-485	25	5	5	1
1-486	25	5	5	1
1-487	25	5	5	1
1-489	25	5	5	1
1-490	25	5	5	0
1-491	25	5	5	0
1-492	25	5	5	0
1-493	25	5	5	1
1-494	25	5	5	1
1-495	25	5	5	0
1-496	25	5	5	1
1-498	25	5	5	0
1-504	25	5	5	1

Table 68

Compound No.	Dose (gai/10a)	Eo	Mo	Or
1-505	25	5	5	0
1-506	25	5	5	1
1-507	25	5	5	1
1-511	25	5	5	0
1-517	25	5	5	1
1-519	25	5	5	1
1-520	25	5	5	1
1-521	25	5	5	1
1-527	25	5	5	1
1-528	25	5	5	1
1-529	25	5	5	1
1-530	25	5	5	1
1-532	25	5	5	1
1-533	25	5	5	1
1-541	25	5	5	1
1-547	25	5	5	1
1-548	25	5	5	1
1-549	25	5	5	0
1-551	25	5	5	0
1-553	25	5	5	1
1-558	25	5	5	1
1-566	25	5	5	1
1-567	25	5	5	1
1-569	25	5	5	1
1-572	25	5	5	1
1-573	25	5	5	1
1-579	25	5	5	1
1-584	25	5	5	1
1-589	25	5	5	1
1-591	25	5	5	1
1-593	25	5	4	0
1-594	25	5	5	1
1-598	25	5	5	1
1-599	25	5	5	1
1-604	25	5	5	1
1-606	25	5	5	1
1-607	25	5	5	1
1-608	25	5	5	1
1-609	25	5	5	1
1-611	25	5	5	1
1-614	25	5	5	1
1-615	25	5	5	1
1-624	25	5	5	1
1-626	25	5	5	1
1-627	25	5	5	1
1-639	25	5	4	1
2-1	25	5	5	0
2-2	25	5	5	1
2-7	25	5	5	1
2-8	25	5	5	0
2-19	25	5	5	1
2-20	25	5	5	1
2-25	25	5	5	1

Table 69

Compound No.	Dose (gai/10a)	Eo	Mo	Or
2-31	25	5	5	0
2-32	25	5	5	1
2-42	25	5	5	0
2-48	25	5	5	1
2-50	25	5	5	1
2-55	25	5	5	1
2-56	25	5	5	1
2-57	25	5	5	1
2-58	25	5	5	1
2-71	25	5	5	1
2-73	25	5	5	1
2-74	25	5	5	1
2-75	25	5	5	1
2-78	25	5	5	1
4-1	25	5	5	1
4-2	25	5	5	1

TEST EXAMPLE 5: TEST OF SELECTIVITY FOR A CROP PLANT BY SOIL TREATMENT IN UPLAND FIELD

In a 80 cm^2 plastic pot, upland soil was filled, and seeds of barnyard grass (Ec), green foxtail (Se), soybean (G1), wheat (Tr) and corn (Ze) were sown and covered with soil. A wettable powder prepared in accordance with Formulation Example 1 was diluted with water and uniformly applied to the soil surface by a small size spray at a rate of 100ℓ per 10 ares so that the dose of the active ingredient would be 25g per 10 ares. Thereafter, cultivation was carried out in a green house, and on the 21st day after the treatment, the herbicidal effects were examined in accordance with the standards of Table 44. The results are shown in Table 70.

Table 70

Compound No.	Dose (gai/10a)	Ec	Se	Gl	Tr	Ze
1-15	25	5	5	-	1	1
1-23	25	5	5	-	2	1
1-33	25	4	4	0	2	1
1-42	25	5	5	0	0	0
1-45	25	4	5	0	2	0
1-46	25	5	5	1	3	0
1-48	25	4	5	0	1	0
1-49	25	5	5	1	0	3
1-50	25	4	5	3	3	0
1-51	25	5	5	0	1	0
1-53	25	4	5	1	2	0
1-54	25	4	5	0	1	0
1-55	25	5	4	1	1	0
1-56	25	5	5	0	4	3
1-58	25	5	5	0	3	0
1-59	25	5	5	0	1	0
1-60	25	5	5	1	2	0
1-61	25	5	5	1	3	0
1-64	25	4	4	2	3	0
1-65	25	5	5	0	0	0
1-68	25	4	4	0	2	2
1-70	25	5	4	1	4	1
1-71	25	5	5	-	3	0
1-75	25	4	4	0	3	2
1-76	25	4	4	0	2	2
1-77	25	5	5	1	3	2
1-78	25	5	5	0	1	0
1-80	25	5	5	0	5	1
1-81	25	4	4	0	1	0
1-83	25	5	5	1	2	1
1-84	25	4	4	0	1	0
1-85	25	4	4	0	1	0
1-89	25	4	5	0	2	2
1-95	25	5	5	1	0	0
1-97	25	4	4	3	1	0
1-98	25	4	5	0	1	1
1-99	25	4	4	0	3	0
1-100	25	5	5	0	2	2

INDUSTRIAL APPLICABILITY

The compound of the present invention represented by the formula [I] exhibits excellent herbicidal effects over a wide range from preemergence to the growing period of various weeds which are problematic in upland fields, including, for example, broad leaf weeds such as smartweed, slender amaranth, lambsquaters, chickweed, velvetleaf, prickly sida, hemp sesbania, morning glory and cocklebur, perenial and annual cyperaceous weeds such as purple nutsedge, yellow nutsedge, himekugu, chufa and rice flatsedge, and glass weeds such as barnyard grass, crab grass, green foxtail, annual bluegrass, Johnson grass, water foxtail and wild oat. Further, it can control annual weeds such as barnyard grass, umbrella plant and monochoria, and perenial weeds such as Japanese ribbon wapato, arrowhead, water nutgrass, water chestnut, Japanese bulrush and narrowleaf waterplantain, which germinate in paddy fields. On the other hand, the herbicide of the present invetion has high safety to crop plants and exhibits particularly high safety to rice, wheat, barley, corn, grain solgum, soybean, cotton, beet, etc.